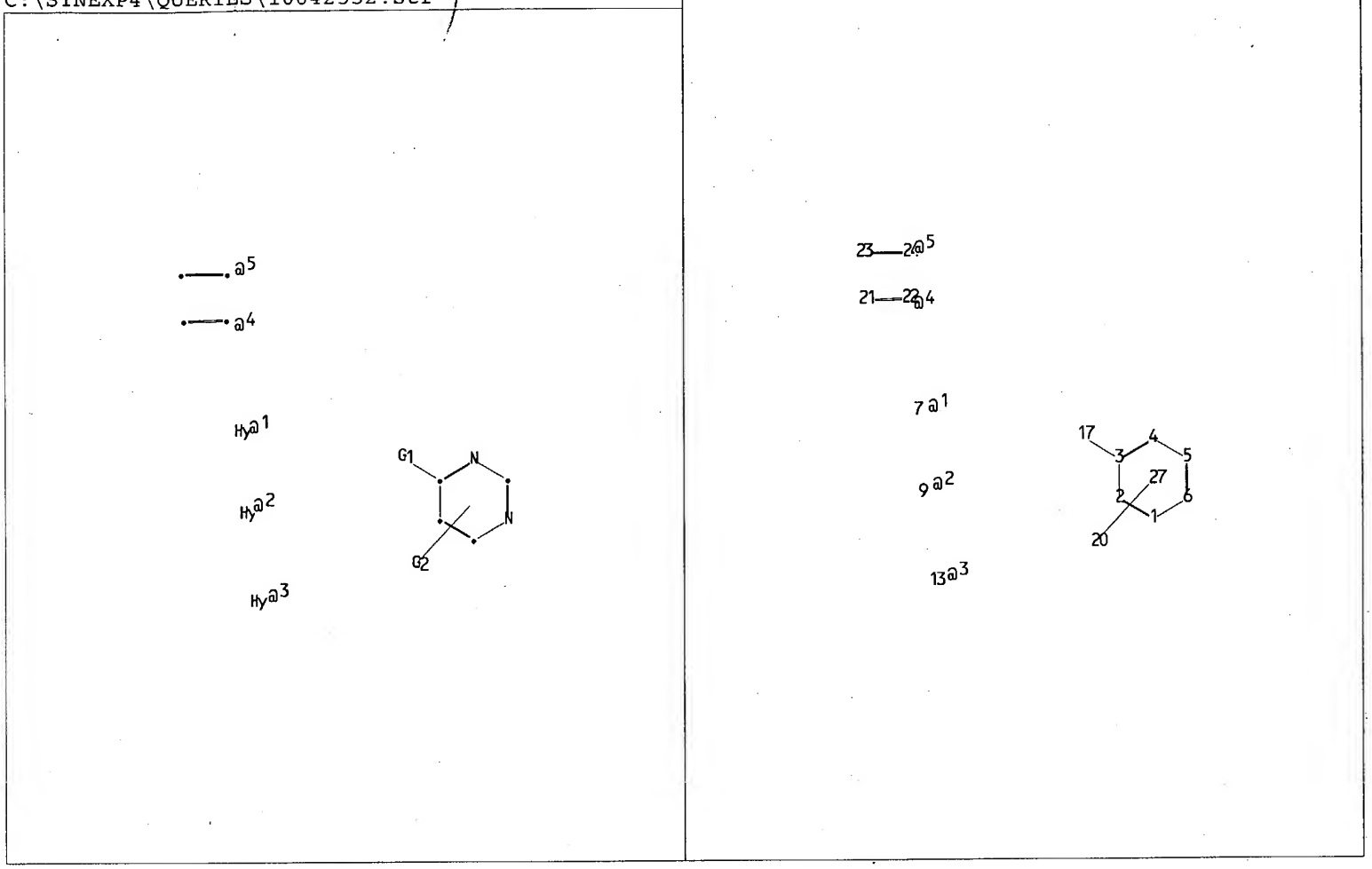
C:\STNEXP4\QUERIES\10642552.str



chain nodes :
7 9 13 17 20 21 22 23 24
ring nodes :
1 2 3 4 5 6
chain bonds :
3-17 21-22 23-24
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
3-17
exact bonds :
21-22 23-24
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 :

G1:[*1],[*2],[*3]

G2:Cl,Br,F,I,[*4],[*5]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 9:Atom 13:Atom 17:CLASS 20:CLASS
21:CLASS 22:CLASS 23:CLASS 24:CLASS 27:CLASS
Generic attributes :
7:
Saturation : Unsaturated
Number of Carbon Atoms : less than 7
Number of Hetero Atoms : 2 or more
Type of Ring System : Monocyclic
9:

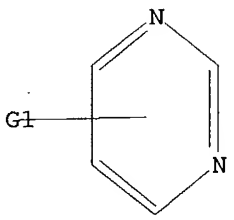
```
   Saturation              : Unsaturated
   Number of Carbon Atoms  : 7 or more
   Number of Hetero Atoms  : 2 or more
   Type of Ring System     : Polycyclic
   13:
   Saturation              : Unsaturated
   Number of Carbon Atoms  : less than 7
   Number of Hetero Atoms  : 2 or more
   Type of Ring System     : Monocyclic

Element Count :
   Node 7: Limited
       C,C2-3
       N,N2-3
       O,O0
       S,S0

   Node 9: Limited
       N,N2
       C,C7
       O,O0
       S,S0

   Node 13: Limited
       C,C1
       N,N4
       O,O0
       S,S0
```

=>
Uploading 10642552.str

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR

Hy 1



Hy 2

Hy 3

G1 [@1],[@2],[@3]

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam
SAMPLE SEARCH INITIATED 17:10:38 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 34035 TO ITERATE

2.9% PROCESSED 1000 ITERATIONS 14 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**
PROJECTED ITERATIONS: 669691 TO 691709
PROJECTED ANSWERS: 8220 TO 10838

L2 14 SEA SSS SAM L1

=>
Uploading 10642552.str

L3 STRUCTURE UPLOADED

=> d l3
L3 HAS NO ANSWERS
L3 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

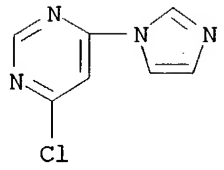
```
=> s l3 sss sam
SAMPLE SEARCH INITIATED 17:14:37 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -  14704 TO ITERATE

  6.8% PROCESSED    1000 ITERATIONS                                0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       286822 TO   301338
PROJECTED ANSWERS:               0 TO        0

L4            0 SEA SSS SAM L3

=> s l3 sss ful
FULL SEARCH INITIATED 17:14:47 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 295351 TO ITERATE

100.0% PROCESSED  295351 ITERATIONS                            155 ANSWERS
SEARCH TIME: 00.00.03

L5          155 SEA SSS FUL L3

=> s l5
L6           54 L5

=> d l6 1-54 bib,ab,hitstr
```

L6 ANSWER 1 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:874972 CAPLUS
DN 139:364960
TI Composition and antiviral activity of substituted azaindoleoxoacetic piperazine derivatives
IN Wang, Tao; Zhang, Zhongxing; Meanwell, Nicholas A.; Kadow, John F.; Yin, Zhiwei; Xue, Qiufen May
PA USA
SO U.S. Pat. Appl. Publ., 277 pp., Cont.-in-part of U.S. Ser. No. 38,306.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003207910	A1	20031106	US 2002-214982	20020807
	US 2003069266	A1	20030410	US 2002-38306	20020102
	WO 2004014380	A1	20040219	WO 2003-US24415	20030804

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2001-266183P P 20010202
US 2001-314406P P 20010823
US 2002-38306 A2 20020102
US 2002-214982 A 20020807
OS MARPAT 139:364960
AB Title compds. I [n = 1 or 2; Q = (un)substituted azaindole heterocycle; A = alkoxy, (un)substituted aryl or heteroaryl; R1-8 are independently selected from H, alkyl or haloalkyl consisting of up to three halogen substituents with same or different halogens] having drug and bio-affecting properties, their pharmaceutical compns., method of use, and synthetic prepn. are disclosed. Thus, e.g., II was prepd. via palladium catalyzed coupling of 1-benzoyl-3-(R)-methyl-4-[(7-(4-fluorophenyl)-6-azaindol-3-yl)oxoacetyl]-piperazine (prepn. given) with 4-fluorophenylboronic acid. II demonstrated 56% inhibition of luciferase expression at 10 .mu.M. These compds. possess unique antiviral activity, whether used alone or in combination with other antivirals, antiinfectives, immunomodulators or HIV entry inhibitors. More particularly, the present invention relates to the treatment of HIV and AIDS.
IT **114834-02-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; prepn. and antiviral activity of substituted azaindoleoxoacetic piperazine derivs.)
RN 114834-02-5 CAPLUS
CN Pyrimidine, 4-chloro-6-(1H-imidazol-1-yl)- (9CI) (CA INDEX NAME)



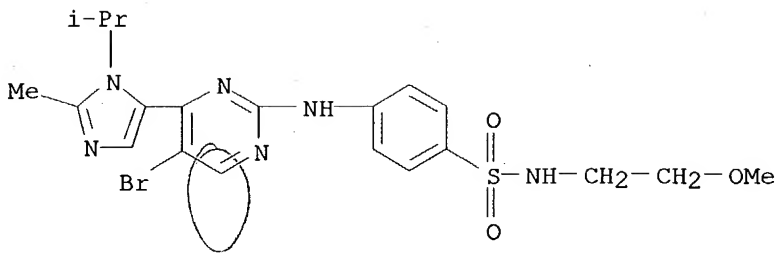
b no polyfluoroalkyl (R^2)

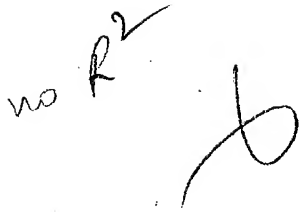
L6 ANSWER 2 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:737755 CAPLUS
DN 139:261318
TI Preparation of 4-(imidazol-5-yl)-2-(4-sulfoanilino)pyrimidines with CDK inhibitory activity
IN Newcombe, Nicholas John; Thomas, Andrew Peter
PA Astrazeneca AB, Swed.; Astrazeneca UK Limited
SO PCT Int. Appl., 90 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003076436	A1	20030918	WO 2003-GB983	20030306

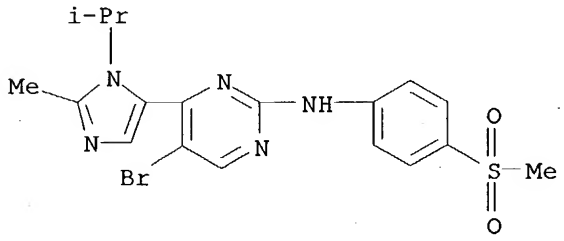
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI GB 2002-5693 A 20020309
OS MARPAT 139:261318
AB The title compds. [I; R1 = (un)substituted alkyl, alkylamino, heteroarylalkylamino, etc.; R2 = halo, CN, alkoxy, etc.; R3 = H, halo, CN; R4 = Me, Et, iso-Pr, etc.; R5 = H, Me, alkenyl, etc.; p = 0-2], use as medicaments, particularly medicaments for producing a cell cycle inhibitory (anti-cell-proliferation) effect in a warm-blooded animal, such as man, were prepd. and formulated. Thus, treating 2-anilino-4-(1-ethyl-2-methylimidazol-5-yl)pyrimidine (prepn. given) with ClSO3H in SOCl2 followed by reacting the resulting intermediate with 2-ethoxyethylamine and diethylmethylamine in MeOH afforded 47% I [R1 = 2-ethoxyethylamino; p = 0; R3 = H; R4 = Et; R5 = Me]. In general activity possessed by compds. I may be demonstrated at IC50 values in the range 250 .mu.M to 1 nM in the in vitro assay.
IT **602306-44-5P 602306-49-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 4-(imidazol-5-yl)-2-(4-sulfoanilino)pyrimidines with CDK inhibitory activity)
RN 602306-44-5 CAPLUS
CN Benzenesulfonamide, 4-[[5-bromo-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)

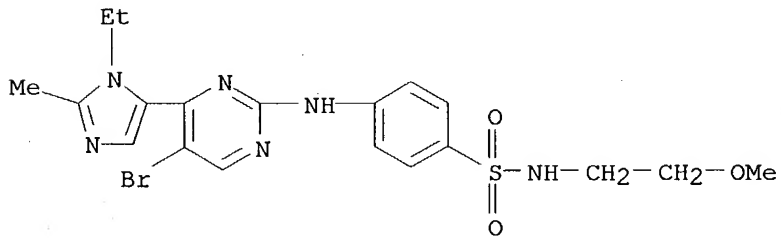




RN 602306-49-0 CAPLUS
CN 2-Pyrimidinamine, 5-bromo-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



IT **403792-46-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 4-(imidazol-5-yl)-2-(4-sulfoanilino)pyrimidines with CDK inhibitory activity)
RN 403792-46-1 CAPLUS
CN Benzenesulfonamide, 4-[[5-bromo-4-(1-ethyl-2-methyl-1H-imidazol-5-yl)-2-pyrimidinyl]amino]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)

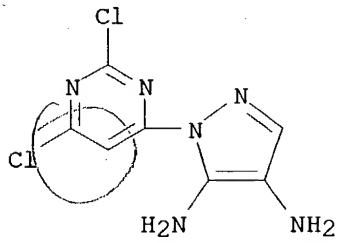


RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:76771 CAPLUS
DN 138:138769
TI 2-(Heteroaryl)-3,4-diaminopyrazoles and their use in oxidative hair dyes
IN Fessmann, Thilo; Terranova, Eric
PA L'oreal, Fr.
SO PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DT Patent
LA French
FAN.CNT 1

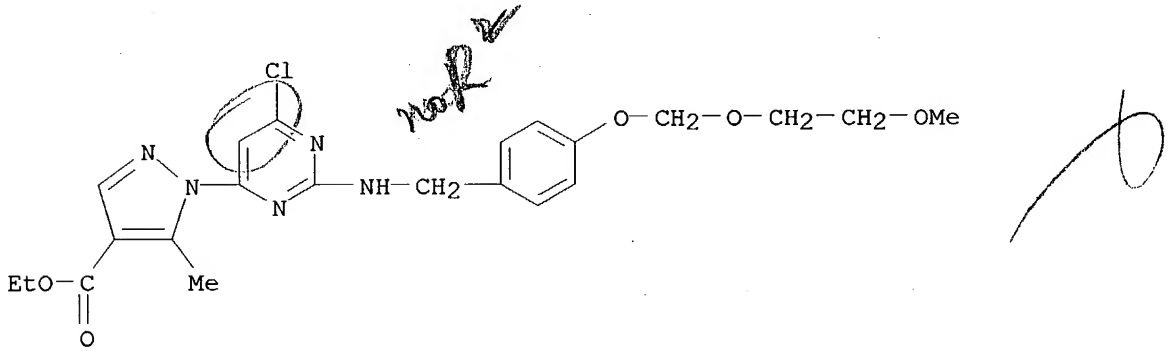
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003008405	A1	20030130	WO 2002-FR2397	20020709
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	FR 2827603	A1	20030124	FR 2001-9622	20010718
	FR 2827603	B1	20031017		
PRAI	FR 2001-9622	A	20010718		

OS MARPAT 138:138769
AB The invention concerns diaminopyrazoles and their physiol. acceptable salts. The invention also concerns their use as base components to be used with couplers in oxidative hair dyes. Examples were given in which the beige dihydrochloride of 2-(2-pyridyl)-2H-pyrazole-3,4-diamine was obtained starting from 2-hydrazinopyridine and 3-ethoxyacrylonitrile. Applications of this base under alk. and neutral conditions using various aminophenol deriv. couplers were provided.
IT **491872-36-7**
RL: TEM (Technical or engineered material use); USES (Uses)
(pyrazolediamine heterocyclic derivs. for use in oxidative hair dyes)
RN 491872-36-7 CAPLUS
CN 1H-Pyrazole-4,5-diamine, 1-(2,6-dichloro-4-pyrimidinyl)- (9CI) (CA INDEX NAME)

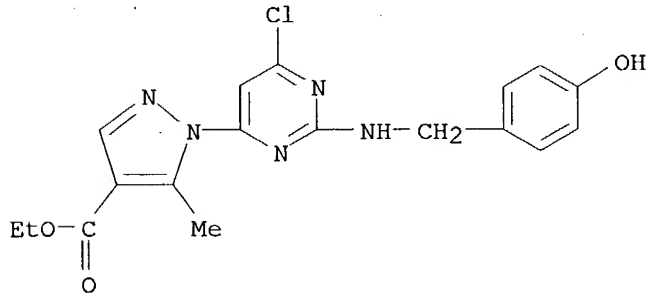


RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

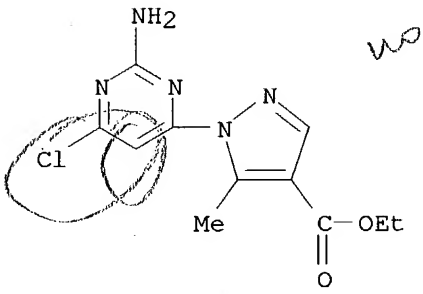
L6 ANSWER 4 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:928744 CAPLUS
DN 138:287622
TI Solid-phase synthesis of 2-(4-carbamoylpyrazolyl)-4-alkylamino-6-aminopyrimidine derivatives
AU Haruta, Makoto; Ejima, Akio; Tanaka, Hiroshi; Takahashi, Takashi
CS Discovery Res. Lab. New Product Res. Lab., Daiichi Pharmaceutical Co. Ltd., 16-13, Kita-Kasai 1-Chome Edogawa-ku, Tokyo, Japan
SO Heterocycles (2002), 58, 79-83
CODEN: HTCYAM; ISSN: 0385-5414
PB Japan Institute of Heterocyclic Chemistry
DT Journal
LA English
OS CASREACT 138:287622
AB Solid-phase synthesis of 2-(4-carbamoylpyrazolyl)-4-alkylamino-6-aminopyrimidines, e.g. I, was accomplished via amination/amidation of solid supported phenol derivs. II (R = NHCH2C6H4OH-4). The methodol. allows the construction of a library of 2-(1-pyrazolyl)pyrimidines.
IT **504434-50-8P 504434-52-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(solid-phase synthesis of (carbamoylpyrazolyl)(alkylamino)aminopyrimidine derivs. via amination/amidation)
RN 504434-50-8 CAPLUS
CN 1H-Pyrazole-4-carboxylic acid, 1-[6-chloro-2-[[[4-[(2-methoxyethoxy)methoxy]phenyl]methyl]amino]-4-pyrimidinyl]-5-methyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 504434-52-0 CAPLUS
CN 1H-Pyrazole-4-carboxylic acid, 1-[6-chloro-2-[[(4-hydroxyphenyl)methyl]amino]-4-pyrimidinyl]-5-methyl-, ethyl ester (9CI) (CA INDEX NAME)



IT **256930-33-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid-phase synthesis of (carbamoylpyrazolyl)(alkylamino)aminopyrimidine derivs. via amination/amidation)
RN 256930-33-3 CAPLUS
CN 1H-Pyrazole-4-carboxylic acid, 1-(2-amino-6-chloro-4-pyrimidinyl)-5-methyl-, ethyl ester (9CI) (CA INDEX NAME)

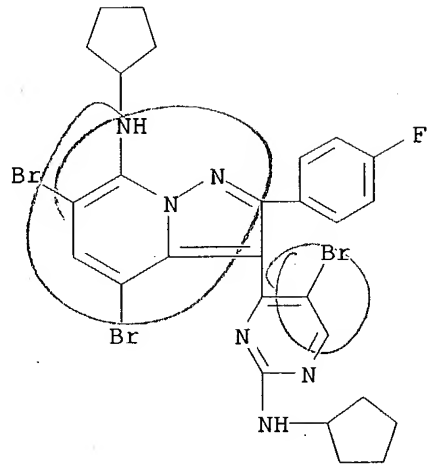


RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

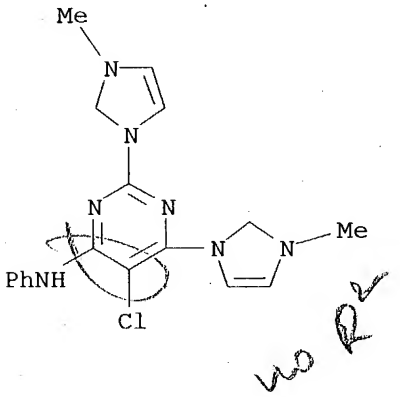
L6 ANSWER 5 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:849629 CAPLUS
DN 137:337887
TI Pyrazolopyridines as virucides for herpes virus infections
IN Gudmundsson, Kristjan; Johns, Brian A.
PA Smithkline Beecham Corporation, USA
SO PCT Int. Appl., 150 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002088124	A2	20021107	WO 2002-US10687	20020405
	WO 2002088124	A3	20030508		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1385847	A2	20040204	EP 2002-733945	20020405
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRAI	US 2001-286948P	P	20010427		
	WO 2002-US10687	W	20020405		

OS MARPAT 137:337887
AB Pyrazolopyridines I [Y = N, CH; R = (un)substituted Ph; R1 = halo, aryl, heterocyclic, amino; R2 = halo, alkenyl, cycloalkyl, cycloalkenyl, aryl, heterocyclic, (un)substituted OH, SH, S(O)H, SO2H, NH2; R3, R4 = H, halo, alkyl, alkenyl, cycloalkyl, aryl, heterocyclic, (un)substituted OH, CO2H, SO2NH2, NH2, alkyl, acyl; R5 = halo, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, aryl, heterocyclic, (un)substituted alkyl, OH, CO2H, CONH2, CSNH2, c(:NH)NH2, SH, SO2H, SO2NH2, NH2, acyl, CN, NO2, N3; p = 1-3] were prepd. for use in treating herpes virus infections. Thus, the pyrazolopyridine II was prepd. from 4-FC6H4COMe, 2-chloro-5-trifluoromethylpyridine, 1-pyrrolidnecarboximidamide, and cyclopentylamine in 9 steps. II had IC50 against HSV-1 of 5.3 .mu.M.
IT **474303-98-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyrazolopyridines as virucides for herpes virus infections)
RN 474303-98-5 CAPLUS
CN Pyrazolo[1,5-a]pyridin-7-amine, 4,6-dibromo-3-[5-bromo-2-(cyclopentylamino)-4-pyrimidinyl]-N-cyclopentyl-2-(4-fluorophenyl)- (9CI) (CA INDEX NAME)

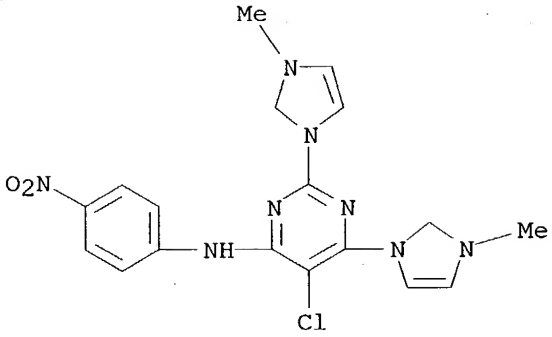

NH
F
Br
N
N
Br
Br
N
N
NH

L6 ANSWER 6 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:805370 CAPLUS
DN 138:255189
TI Synthesis and characterization of stable betainic pyrimidinaminides
AU Schmidt, Andreas
CS Institute of Organic Chemistry, Technical University of Clausthal, Clausthal-Zellerfeld, D-38678, Germany
SO Journal of Heterocyclic Chemistry (2002), 39(5), 949-956
CODEN: JHTCAD; ISSN: 0022-152X
PB HeteroCorporation
DT Journal
LA English
OS CASREACT 138:255189
AB Depending on electronically or kinetically stabilizing effects detd. by the substitution pattern or the reaction conditions, 6-amino substituted (5-chloropyrimidine-2,4-diyl)bis(pyridinium) salts I (R1 = H, Ph, 4-O2NC6H4; R2 = Me2N, pyrrolidino; X = Cl, BPh4) or 5-chloro-2,6-bis-(pyridinio)-pyrimidin-4-aminides II were formed on nucleophilic substitution of 4-(dimethylamino)pyridine or 4-(1-pyrrolidinyl)pyridine with 4-amino substituted 2,5,6-trichloropyrimidines (III). Analogous nucleophilic substitution of III with 1-methylimidazole gave the corresponding (5-chloropyrimidine-2,4-diyl)bis(1-methylimidazolium) salts.
IT **502615-92-1P 502615-93-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of (pyrimidinediyl)bis[pyridinium] salts and stable betainic pyrimidinaminides)
RN 502615-92-1 CAPLUS
CN 1H-Imidazolium, 1,1'-[5-chloro-6-(phenylamino)-2,4-pyrimidinediyl]bis[3-methyl-, dichloride (9CI) (CA INDEX NAME)



●2 Cl^-

*** FRAGMENT DIAGRAM IS INCOMPLETE ***
RN 502615-93-2 CAPLUS
CN 1H-Imidazolium, 1,1'-[5-chloro-6-[(4-nitrophenyl)amino]-2,4-pyrimidinediyl]bis[3-methyl-, dichloride (9CI) (CA INDEX NAME)



●2 Cl^-

*** FRAGMENT DIAGRAM IS INCOMPLETE ***
RE.CNT 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:777725 CAPLUS
DN 137:288973
TI Preparation and use of pyrazolopyridines for treatment or prophylaxis of herpes viral infections
IN Boyd, F. Leslie; Gudmundsson, Kristjan; Johns, Brian A.
PA Smithkline Beecham Corporation, USA
SO PCT Int. Appl., 172 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002078701	A1	20021010	WO 2002-US8621	20020320
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1372642	A1	20040102	EP 2002-723538	20020320
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

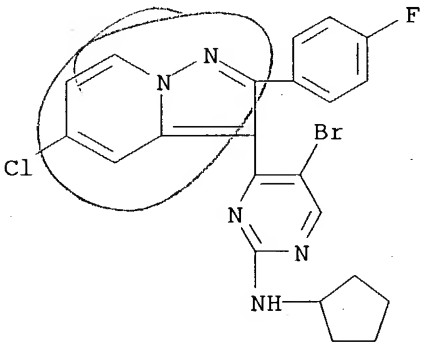
PRAI US 2001-280047P P 20010330
US 2001-307189P P 20010723
WO 2002-US8621 W 20020320
OS MARPAT 137:288973
AB The present invention provides methods using I (e.g. 4-[2-(4-fluorophenyl)pyrazolo[1,5-a]pyridin-3-yl]-N-[2-(1H-imidazol-5-yl)ethyl]-2-pyridinamine) for the treatment or prophylaxis of viral infections such as herpes viral infections. IC50 values are reported for about 70 of the claimed compds. against HSV-1 (e.g. 0.2 .mu.M for N-cyclopropyl-4-[6-cyano-2-(4-fluorophenyl)pyrazolo[1,5-a]pyridin-3-yl]-2-pyrimidinamine). In I, Z is CH or N; a is 1 or 2; b is 1, 2 or 3; c is 1, 2 or 3; each R1 is independently selected from -(X)d-(CH2)e-R5 wherein d is 0 or 1; e is 0 to 6; X is selected from O, NR6 and S(O)f where f is 0, 1 or 2. R5 is selected from H, halo, C1-6alkyl, C2-6alkenyl, C2-6alkynyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, hydroxy, cyano, nitro, trihalomethyl, NR7R8, C6H4NR7R8, C6H4(CH2)NR7R8, C(O)R7, C(O)NR7R8, OC(O)R7, OC(O)NR7R8, CO2R7, OCO2R7, SO2R7, SO2NR7R8, C(:NR7)NR7R8, N(R7)[(C:NR7)NR7R8], NHC(O)R7 and N(C1-3alkyl)C(O)R7. Each R2 is independently selected from H, cyano, halo, trihalomethyl, OC1-6alkyl, C1-6alkyl, C2-6alkenyl, C2-6alkynyl, S(O)gC1-6alkyl where g is 0, 1 or 2, NC1-6alkyl(C1-6alkyl), hydroxy and nitro. Each R4 is independently selected from -(Y)d-(CH2)e-R3 wherein d is 0 or 1; e is 0 to 6; Y is O or S(O)f where f is 0, 1 or 2. R3 is selected from H, halo, C1-6alkyl, C2-6alkenyl, C2-6alkynyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, hydroxy, cyano, nitro, trihalomethyl, phthalamido, C6H4NR7R8, C6H4(CH2)NR7R8, C(O)R7, C(O)NR7R8, OC(O)R7, OC(O)NR7R8, CO2R7, OCO2R7, SO2R7, SO2NR7R8 and C(:NR7)NR7R8. R6 is selected from H, C1-6alkyl, C2-6alkenyl, heteroaryl, cycloalkyl, and heterocyclyl. R7 and R8 are each independently selected from H, C1-8alkyl, C2-6alkenyl, SO2C1-6alkyl, (CH2)m-cycloalkyl, (CH2)m-aryl, (CH2)m-heterocyclyl and (CH2)m-heteroaryl, wherein m is 0, 1 or 2, or R7

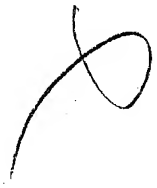
and R8 together with the N atom to which they are bound, form a heterocyclyl group. Addnl. conditions for I are stated in the claims. Although neither the methods of prepn. nor the compds. are claimed, .apprx.100 example prepns. are included.

IT **468063-50-5P**, 5-Bromo-4-[5-chloro-2-(4-fluorophenyl)pyrazolo[1,5-a]pyridin-3-yl]-N-cyclopentylpyrimidin-2-amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and use of pyrazolopyridines for treatment or prophylaxis of herpes viral infections)

RN 468063-50-5 CAPLUS

CN 2-Pyrimidinamine, 5-bromo-4-[5-chloro-2-(4-fluorophenyl)pyrazolo[1,5-a]pyridin-3-yl]-N-cyclopentyl- (9CI) (CA INDEX NAME)



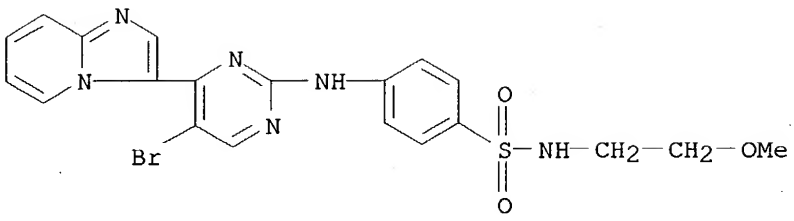


RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

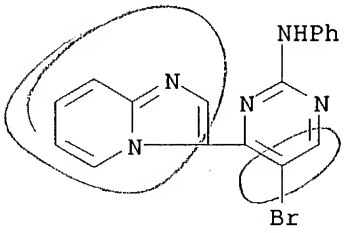
L6 ANSWER 8 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:658126 CAPLUS
DN 137:201324
TI Preparation of 4-(imidazo[1,2-a]pyrid-3-yl/pyrazolo[2,3-a]pyrid-3-yl)-2-arylaminopyrimidines for the treatment of GSK3-related disorders
IN Berg, Stefan; Bhat, Ratan; Hellberg, Sven
PA Astrazeneca AB, Swed.
SO PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002066480	A2	20020829	WO 2002-SE270	20020218
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	NO 2003003677	A	20031002	NO 2003-3677	20030819
PRAI	US 2001-269903P	P	20010220		
	WO 2002-SE270	W	20020218		

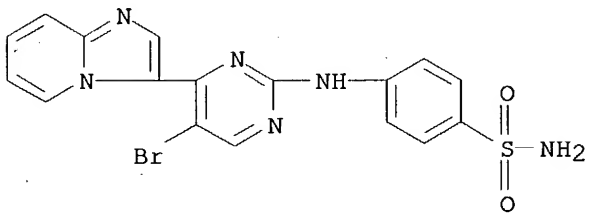
OS MARPAT 137:201324
AB The title compds. [I; ring A = imidazo[1,2-a]pyrid-3-yl or pyrazolo[2,3-a]pyrid-3-yl; R2 = halo, NO2, CN, etc.; m = 0-5; R1 = halo, NO2, CN, etc.; n = 0-2; ring B = Ph, Ph fused to cycloalkyl; R3 = halo, NO2, CN, etc.; p = 0-4; R4 = EA (A = H, alkyl, Ph, etc.; E = a direct bond, O, CO, etc.); q = 0-2], useful in the treatment and/or prophylaxis of conditions assocd. with glycogen synthase kinase-3, were prepd. and formulated. Thus, reacting 3-chloroaniline with 4-(2-methylimidazo[1,2-a]pyrid-3-yl)-2-methylthiopyrimidine (prepn. given) in the presence of NaH in NMP afforded 21% II. Typical Ki values for the compds. I are in the range of about 0.001 to about 10,100 nM in human GSK3.beta. assay.
IT **328062-09-5P 328062-26-6P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of 4-(imidazo[1,2-a]pyrid-3-yl/pyrazolo[2,3-a]pyrid-3-yl)-2-arylaminopyrimidines for the treatment of GSK3-related disorders)
RN 328062-09-5 CAPLUS
CN Benzenesulfonamide, 4-[(5-bromo-4-imidazo[1,2-a]pyridin-3-yl-2-pyrimidinyl)amino]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)



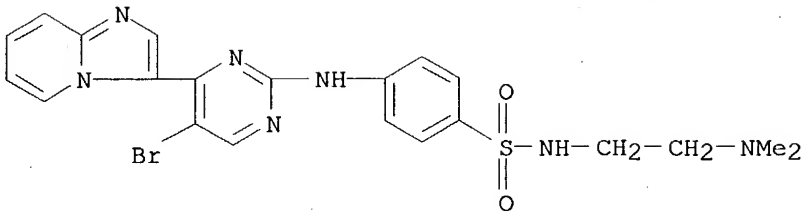
RN 328062-26-6 CAPLUS
CN 2-Pyrimidinamine, 5-bromo-4-imidazo[1,2-a]pyridin-3-yl-N-phenyl- (9CI) (CA INDEX NAME)



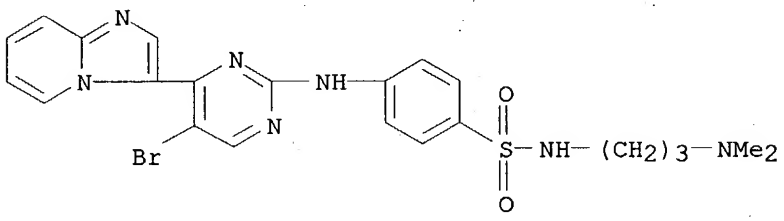
IT **328062-08-4P 328062-10-8P 328062-11-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 4-(imidazo[1,2-a]pyrid-3-yl/pyrazolo[2,3-a]pyrid-3-yl)-2-arylaminopyrimidines for the treatment of GSK3-related disorders)
RN 328062-08-4 CAPLUS
CN Benzenesulfonamide, 4-[(5-bromo-4-imidazo[1,2-a]pyridin-3-yl-2-pyrimidinyl)amino]- (9CI) (CA INDEX NAME)



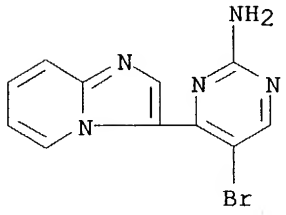
RN 328062-10-8 CAPLUS
CN Benzenesulfonamide, 4-[(5-bromo-4-imidazo[1,2-a]pyridin-3-yl-2-pyrimidinyl)amino]-N-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)



RN 328062-11-9 CAPLUS
CN Benzenesulfonamide, 4-[(5-bromo-4-imidazo[1,2-a]pyridin-3-yl-2-pyrimidinyl)amino]-N-[3-(dimethylamino)propyl]- (9CI) (CA INDEX NAME)



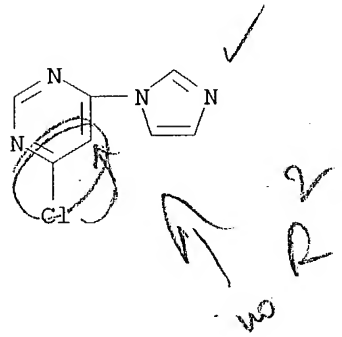
IT **328062-41-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 4-(imidazo[1,2-a]pyrid-3-yl/pyrazolo[2,3-a]pyrid-3-yl)-2-arylaminopyrimidines for the treatment of GSK3-related disorders)
RN 328062-41-5 CAPLUS
CN 2-Pyrimidinamine, 5-bromo-4-imidazo[1,2-a]pyridin-3-yl- (9CI) (CA INDEX NAME)



L6 ANSWER 9 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:615461 CAPLUS
DN 137:169502
TI Preparation and antiviral activity for HIV-1 of substituted azaindoleoxoacetylpiperazines
IN Wang, Tao; Zhang, Zhongxing; Meanwell, Nicholas A.; Kadow, John F.; Yin, Zhiwei
PA Bristol-Myers Squibb Company, USA
SO PCT Int. Appl., 367 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002062423	A1	20020815	WO 2002-US455	20020102
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1363705	A1	20031126	EP 2002-707413	20020102
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	NO 2003003436	A	20031001	NO 2003-3436	20030801
PRAI	US 2001-266183P	P	20010202		
	US 2001-314406P	P	20010823		
	WO 2002-US455	W	20020102		

OS MARPAT 137:169502
AB Title compds. Q(CO)nWCOA [Q = (un)substituted azaindolyl; W = (un)substituted piperazino; A = (un)substituted alkoxy, aryl, heteroaryl; n = 1, 2] were prepd. for use as antiviral agents, alone or in combination with other antivirals, antiinfectives, immunomodulators or HIV entry inhibitors, in the treatment of HIV and AIDS. Thus, 2-chloro-3-nitropyridine was cyclized with vinylmagnesium bromide to give 7-chloro-6-azaindole which was treated with ClCOCO2Me, followed by ester hydrolysis, amidation with (R)-3-methyl-1-benzoylpiperazine, and substitution with 4-FC6H4B(OH)2 to give the title compd. I which had an EC50 for HIV-1 in vitro of <1 .mu.M.
IT **114834-02-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. and antiviral activity for HIV-1 of substituted azaindoleoxoacetylpiperazines)
RN 114834-02-5 CAPLUS
CN Pyrimidine, 4-chloro-6-(1H-imidazol-1-yl)- (9CI) (CA INDEX NAME)



L6 ANSWER 10 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:610405 CAPLUS
DN 137:169534
TI Preparation of imidazolyl pyrimidinamines as NOS inhibitors
IN Arnaiz, Damian O.; Baldwin, John J.; Davey, David D.; Devlin, James J.; Dolle, Roland Ellwood, III; Erickson, Shawn David; McMillan, Kirk; Morrissey, Michael M.; Ohlmeyer, Michael H. J.; Pan, Gonghua; Paradkar, Vidyadhar Madhav; Parkinson, John; Phillips, Gary B.; Ye, Bin; Zhao, Zuchun
PA Berlex Laboratories, Inc., USA; Pharmacopeia, Inc.
SO U.S., 132 pp., Cont.-in-part of U.S. Ser. No. 25,124, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6432947	B1	20020813	US 1999-383813	19990826
	CN 1100777	B	20030205	CN 1998-804281	19980219
	WO 2001014371	A1	20010301	WO 2000-US23173	20000824
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	BR 2000014144	A	20020521	BR 2000-14144	20000824
	EP 1206467	A1	20020522	EP 2000-959333	20000824
	EP 1206467	B1	20031217		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
	SI 20818	C	20020831	SI 2000-20040	20000824
	EE 200200091	A	20030415	EE 2002-91	20000824
	NZ 517411	A	20030926	NZ 2000-517411	20000824
	AT 256681	E	20040115	AT 2000-959333	20000824
	ZA 2002001485	A	20030521	ZA 2002-1485	20020221
	NO 2002000925	A	20020416	NO 2002-925	20020226
	BG 106440	A	20021129	BG 2002-106440	20020226
	LT 4982	B	20030127	LT 2002-28	20020315
	US 2002165203	A1	20021107	US 2002-121886	20020412
	US 2002183323	A1	20021205	US 2002-121659	20020412
	US 2003004137	A1	20030102	US 2002-121379	20020412
	US 2003027794	A1	20030206	US 2002-121758	20020412
	US 2003060452	A1	20030327	US 2002-121212	20020412
	US 2003069210	A1	20030410	US 2002-122072	20020412
	US 2003073669	A1	20030417	US 2002-121682	20020412
	US 2003078265	A1	20030424	US 2002-121808	20020412
	US 6670473	B2	20031230		
	US 2003083332	A1	20030501	US 2002-122047	20020412
	US 2003092678	A1	20030515	US 2002-122006	20020412
PRAI	US 1997-808975	B2	19970219		
	US 1998-25124	B2	19980217		
	WO 1998-US3176	A	19980219		
	US 1999-383813	A1	19990826		
	WO 2000-US23173	W	20000824		

OS MARPAT 137:169534

AB The title compds. [I; U = N, CR5 (R5 = H, halo, alkyl, optionally substituted aralkyl or aryl, etc.); V = NR4, S, O, CHR4 (R4 = H, alkyl, aryl, aralkyl, cycloalkyl); W = N, CH; X, Y, Z = N, CR19 (R19 = H, alkyl, cyclopropyl, halo, haloalkyl); A = R1, OR1, CONR1R2, PO(NR1R2)2, NR1COR2, etc. (R1, R2 = H, optionally substituted alkyl or cycloalkyl, etc. or NR1R2 = N-heterocyclyl); B = CR17(CHR15)mQR3 (m = 1-4, R3 = H, alkyl, cycloalkyl, optionally substituted aryl, etc.; R15, R17 = H, alkyl; Q = CO, O, C:NR1, etc.); C = (CHR12)q(CHR13)r (q, r = 0-1; R12, R13 = H, alkyl); or B = C = null; R14, R20 = H, alkyl; n = 1-3], useful as inhibitors of nitric oxide synthase, were prepd. Thus, N-[(1,3-benzodioxol-5-yl)methyl]-1-[3-(1H-imidazol-1-yl)phenyl]piperidine-2-acetamide was prepd. by reaction of 1-(3-aminophenyl)imidazole, Et 7-chloro-3-oxoheptanoate, and piperonylamine. All exemplified compds. I showed iNOS inhibitory activity at concns. less than 25 .mu.M.

IT **212635-74-0P**

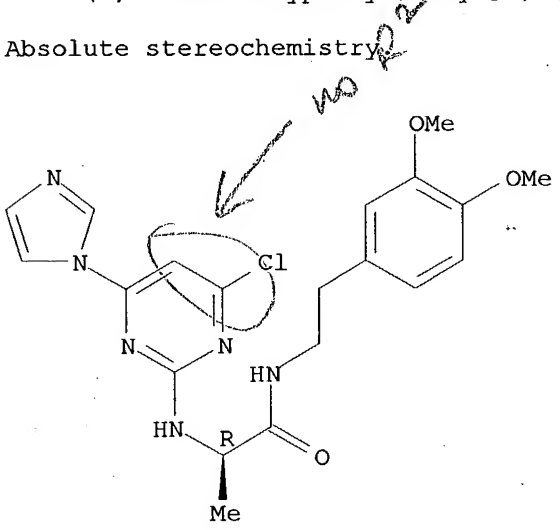
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of imidazolyl pyrimidinamines as NOS inhibitors)

RN 212635-74-0 CAPLUS

CN Propanamide, 2-[[4-chloro-6-(1H-imidazol-1-yl)-2-pyrimidinyl]amino]-N-[2-(3,4-dimethoxyphenyl)ethyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

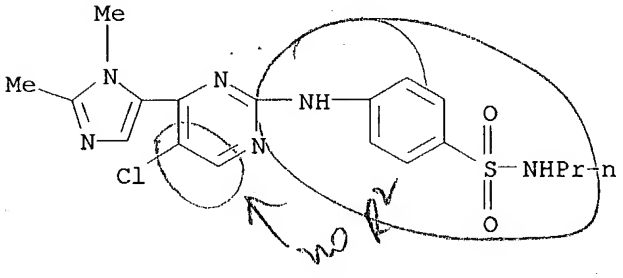
L6 ANSWER 11 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:185108 CAPLUS
DN 136:247599
TI Preparation of imidazolo-5-yl-2-anilino-pyrimidines as agents for the inhibition of the cell proliferation
IN Breault, Gloria Anne; Newcombe, Nicholas John; Thomas, Andrew Peter
PA Astrazeneca AB, Swed.; Astrazeneca UK Limited
SO PCT Int. Appl., 108 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002020512	A1	20020314	WO 2001-GB3864	20010830
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2001084192	A5	20020322	AU 2001-84192	20010830
	BR 2001013496	A	20030701	BR 2001-13496	20010830
	EP 1351958	A1	20031015	EP 2001-963159	20010830
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	BG 107579	A	20031031	BG 2003-107579	20030221
	NO 2003001006	A	20030304	NO 2003-1006	20030304
	US 2004014776	A1	20040122	US 2003-363655	20030304
PRAI	GB 2000-21726	A	20000905		
	WO 2001-GB3864	W	20010830		

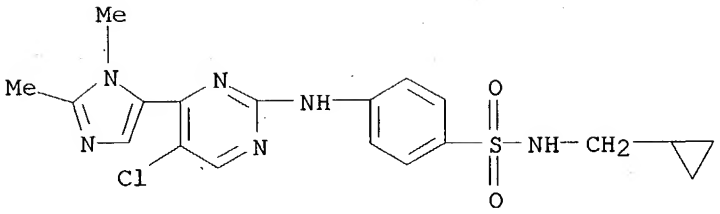
OS MARPAT 136:247599
AB Title compds. I [R1 = halo, nitro, cyano, hydroxy, amino, carboxy, carbamoyl, mercapto, alk(en/yn)yl, alkoxy; p = 0-4; R2 = sulfamoyl, Ra-Rb; q = 0-2; p + q = 0-5; R3 = halo, nitro, cyano, hydroxy, trifluoromethyl, trifluoromethoxy, amino, carboxy, carbamoyl, mercapto, sulfamoyl, alk(en/yn)yl, alkoxy, alkanoyl, etc.; n = 0-2, R4 = H, alk(en/yn)yl, cycloalkyl, Ph, etc.; R5-6 = H, halo, nitro, cyano, hydroxy, trifluoromethoxy, amino, carboxy, carbamoyl, mercapto, sulfamoyl, alk(en/yn)yl, alkoxy, etc.; Ra = alk(en/yn)yl, cycloalkyl, Ph, heterocyclyl, phenyl-alkyl, etc.; Rb = C(O), amido, carboxamido, etc.] were prepd. For instance, phenylguanidine hydrogen carbonate was condensed with 5-(3-dimethylaminoprop-2-en-1-oyl)-1-methylimidazole (i-PrOH, NaOMe, reflux, 3 h) to give II in 64% yield. The CDK2 inhibitory activity of II was measured as IC50 = 0.146 .mu.M.
IT **403791-57-1P**, 5-Chloro-4-(1,2-dimethylimidazol-5-yl)-2-(4-(N-propylsulfamoyl)anilino)pyrimidine **403791-58-2P**, 5-Chloro-4-(1,2-dimethylimidazol-5-yl)-2-(4-(N-(cyclopropylmethyl)sulfamoyl)anilino)pyrimidine **403791-59-3P**, 5-Chloro-4-(1,2-dimethylimidazol-5-yl)-2-(4-(N-(3-methoxypropyl)sulfamoyl)anilino)pyrimidine **403791-60-6P**, 5-Chloro-4-(1,2-dimethylimidazol-5-yl)-2-(4-(N-(tert-butyl)sulfamoyl)anilino)pyrimidine **403791-63-9P**, 2-Anilino-5-bromo-4-(1,2-dimethylimidazol-5-yl)pyrimidine **403791-71-9P**, 5-Chloro-4-(1,2-dimethylimidazol-5-yl)-2-(4-(N-tert-

butyl-N-methylsulfamoyl)anilino)pyrimidine **403792-09-6P**, 5-Bromo-4-(1,2-dimethylimidazol-5-yl)-2-(4-sulfamoylanilino)pyrimidine **403792-10-9P**, 5-Bromo-4-(1,2-dimethylimidazol-5-yl)-2-(4-(N-propylsulfamoyl)anilino)pyrimidine **403792-11-0P**, 5-Bromo-4-(1,2-dimethylimidazol-5-yl)-2-(4-(N-(3-methoxypropyl)sulfamoyl)anilino)pyrimidine **403792-12-1P**, 5-Bromo-4-(1,2-dimethylimidazol-5-yl)-2-(4-(N-methylsulfamoyl)anilino)pyrimidine **403792-13-2P**, 5-Bromo-4-(1,2-dimethylimidazol-5-yl)-2-(4-(N-(cyclopropylmethyl)sulfamoyl)anilino)pyrimidine **403792-45-0P**, 5-Bromo-4-(1,2-dimethylimidazol-5-yl)-2-(4-(N-(2-methoxyethyl)sulfamoyl)anilino)pyrimidine **403792-46-1P**, 5-Bromo-4-(1-ethyl-2-methylimidazol-5-yl)-2-(4-(N-(2-methoxyethyl)sulfamoyl)anilino)pyrimidine **403792-47-2P**, 5-Bromo-4-(1-(2-methoxyethyl)-2-methylimidazol-5-yl)-2-(4-(N-(2-methoxyethyl)sulfamoyl)anilino)pyrimidine **403792-48-3P**, 5-Bromo-4-(1-(2-methoxyethyl)-2-methylimidazol-5-yl)-2-(4-(N-(3-methoxypropyl)sulfamoyl)anilino)pyrimidine **403792-49-4P**, 5-Chloro-4-(1-ethyl-2-methylimidazol-5-yl)-2-(4-(N-(2-methoxyethyl)sulfamoyl)anilino)pyrimidine **403792-50-7P**, 5-Chloro-4-(1,2-dimethylimidazol-5-yl)-2-(4-(N-(2-methoxyethyl)sulfamoyl)anilino)pyrimidine **403792-51-8P**, 5-Chloro-4-(1-ethyl-2-methylimidazol-5-yl)-2-(4-(N-(tetrahydrofuran-2-ylmethyl)sulfamoyl)anilino)pyrimidine **403792-52-9P**, 5-Chloro-4-(1-ethyl-2-methylimidazol-5-yl)-2-(4-(N-cyclopropylsulfamoyl)anilino)pyrimidine **403792-53-0P**, 5-Chloro-4-(1-(2-methoxyethyl)-2-methylimidazol-5-yl)-2-(4-(N-(2-methoxyethyl)sulfamoyl)anilino)pyrimidine **403792-57-4P**, 5-Chloro-4-(1,2-dimethylimidazol-5-yl)-2-(4-sulfamoylanilino)pyrimidine **403792-59-6P**, 5-Chloro-4-(1,2-dimethylimidazol-5-yl)-2-(4-(N-methylsulfamoyl)anilino)pyrimidine **403792-61-0P**, 5-Bromo-4-(1-methylimidazol-5-yl)-2-(4-sulfamoylanilino)pyrimidine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug; imidazolo-5-yl-2-anilino-pyrimidines as agents for inhibition of cell proliferation)

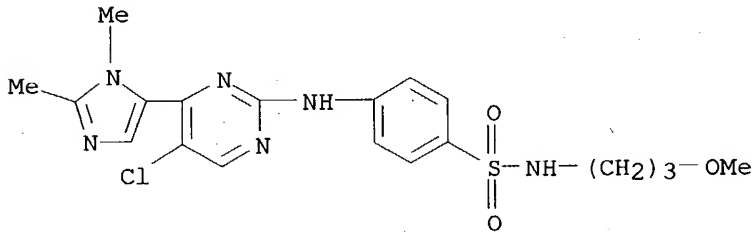
RN 403791-57-1 CAPLUS
CN Benzenesulfonamide, 4-[[5-chloro-4-(1,2-dimethyl-1H-imidazol-5-yl)-2-pyrimidinyl]amino]-N-propyl- (9CI) (CA INDEX NAME)



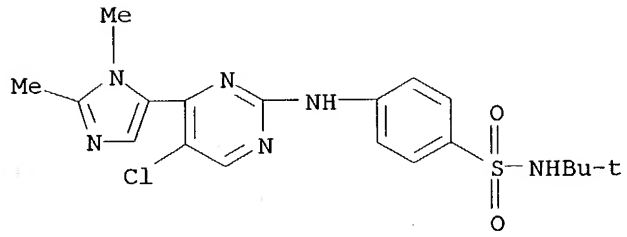
RN 403791-58-2 CAPLUS
CN Benzenesulfonamide, 4-[[5-chloro-4-(1,2-dimethyl-1H-imidazol-5-yl)-2-pyrimidinyl]amino]-N-(cyclopropylmethyl)- (9CI) (CA INDEX NAME)



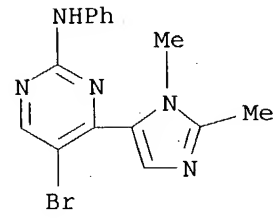
RN 403791-59-3 CAPLUS
CN Benzenesulfonamide, 4-[[5-chloro-4-(1,2-dimethyl-1H-imidazol-5-yl)-2-pyrimidinyl]amino]-N-(3-methoxypropyl)- (9CI) (CA INDEX NAME)



RN 403791-60-6 CAPLUS
CN Benzenesulfonamide, 4-[[5-chloro-4-(1,2-dimethyl-1H-imidazol-5-yl)-2-pyrimidinyl]amino]-N-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

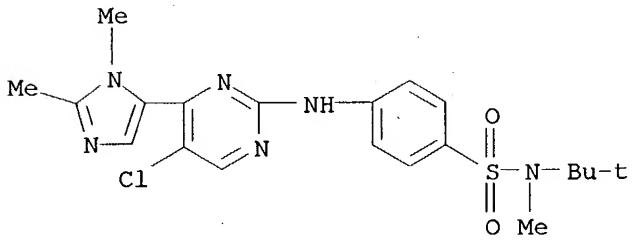


RN 403791-63-9 CAPLUS
CN 2-Pyrimidinamine, 5-bromo-4-(1,2-dimethyl-1H-imidazol-5-yl)-N-phenyl- (9CI) (CA INDEX NAME)

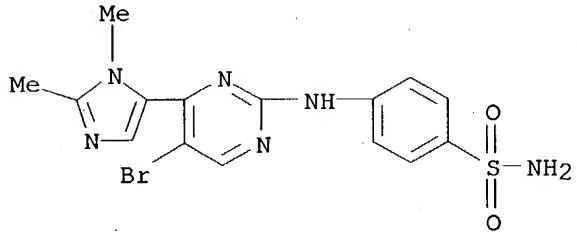


RN 403791-71-9 CAPLUS

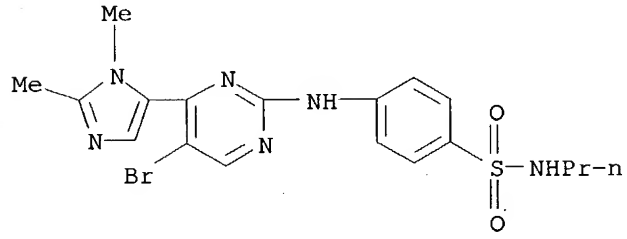
CN Benzenesulfonamide, 4-[[5-chloro-4-(1,2-dimethyl-1H-imidazol-5-yl)-2-pyrimidinyl]amino]-N-(1,1-dimethylethyl)-N-methyl- (9CI) (CA INDEX NAME)



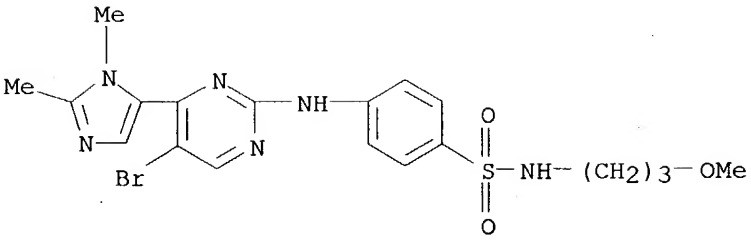
RN 403792-09-6 CAPLUS
CN Benzenesulfonamide, 4-[[5-bromo-4-(1,2-dimethyl-1H-imidazol-5-yl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



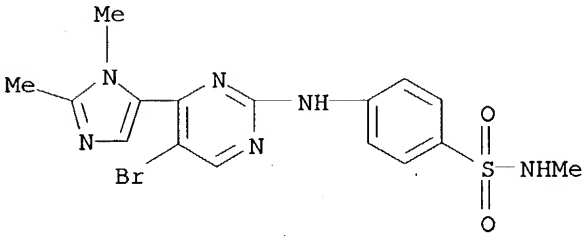
RN 403792-10-9 CAPLUS
CN Benzenesulfonamide, 4-[[5-bromo-4-(1,2-dimethyl-1H-imidazol-5-yl)-2-pyrimidinyl]amino]-N-propyl- (9CI) (CA INDEX NAME)



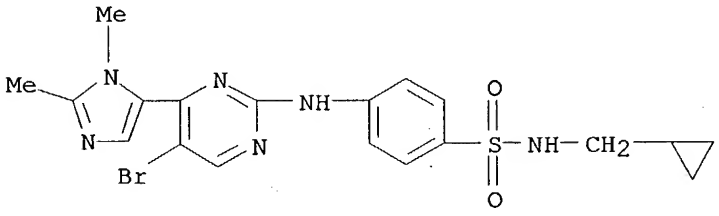
RN 403792-11-0 CAPLUS
CN Benzenesulfonamide, 4-[[5-bromo-4-(1,2-dimethyl-1H-imidazol-5-yl)-2-pyrimidinyl]amino]-N-(3-methoxypropyl)- (9CI) (CA INDEX NAME)



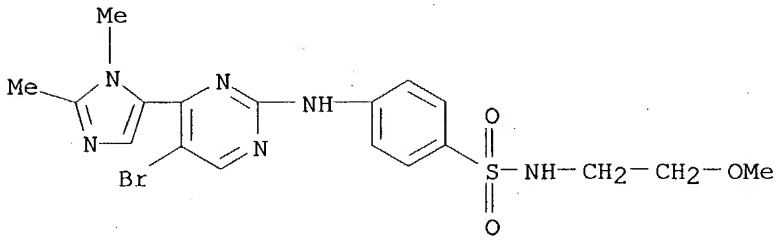
RN 403792-12-1 CAPLUS
CN Benzenesulfonamide, 4-[[5-bromo-4-(1,2-dimethyl-1H-imidazol-5-yl)-2-pyrimidinyl]amino]-N-methyl- (9CI) (CA INDEX NAME)



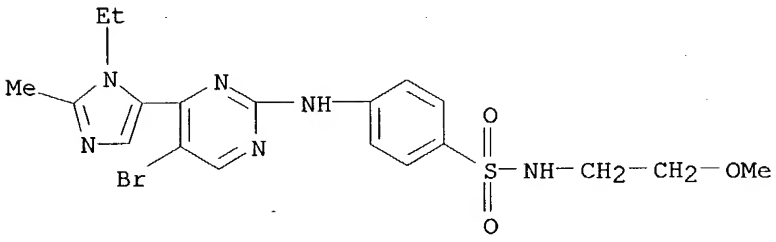
RN 403792-13-2 CAPLUS
CN Benzenesulfonamide, 4-[[5-bromo-4-(1,2-dimethyl-1H-imidazol-5-yl)-2-pyrimidinyl]amino]-N-(cyclopropylmethyl)- (9CI) (CA INDEX NAME)



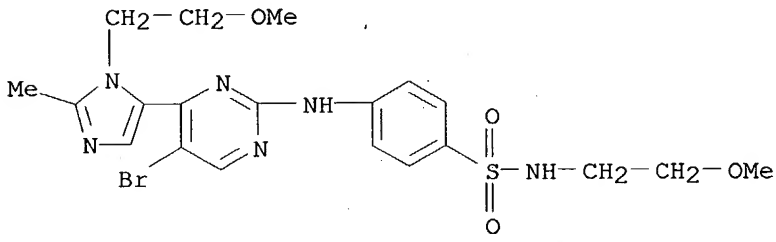
RN 403792-45-0 CAPLUS
CN Benzenesulfonamide, 4-[[5-bromo-4-(1,2-dimethyl-1H-imidazol-5-yl)-2-pyrimidinyl]amino]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)



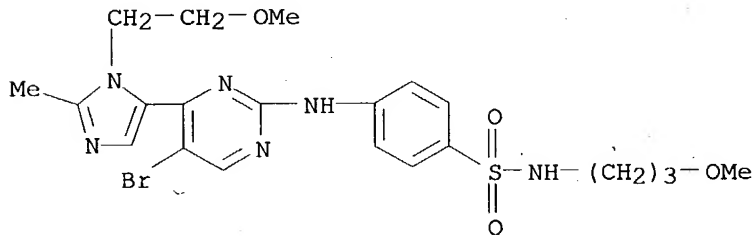
RN 403792-46-1 CAPLUS
CN Benzenesulfonamide, 4-[[5-bromo-4-(1-ethyl-2-methyl-1H-imidazol-5-yl)-2-pyrimidinyl]amino]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)



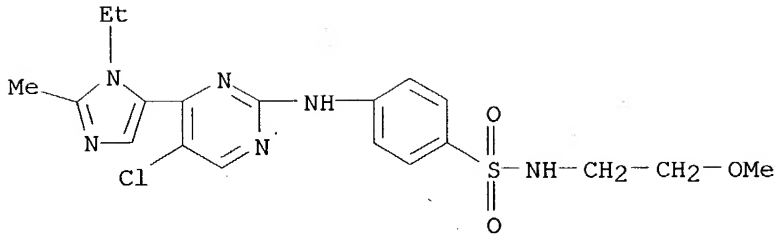
RN 403792-47-2 CAPLUS
CN Benzenesulfonamide, 4-[[5-bromo-4-[1-(2-methoxyethyl)-2-methyl-1H-imidazol-5-yl]-2-pyrimidinyl]amino]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)



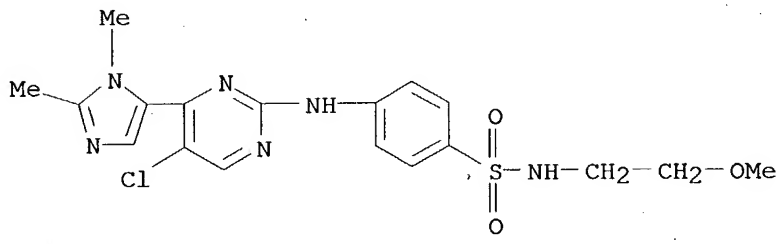
RN 403792-48-3 CAPLUS
CN Benzenesulfonamide, 4-[[5-bromo-4-[1-(2-methoxyethyl)-2-methyl-1H-imidazol-5-yl]-2-pyrimidinyl]amino]-N-(3-methoxypropyl)- (9CI) (CA INDEX NAME)



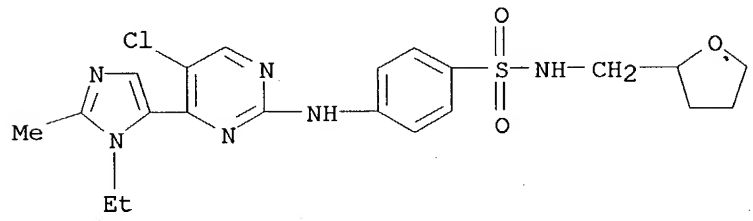
RN 403792-49-4 CAPLUS
CN Benzenesulfonamide, 4-[[5-chloro-4-(1-ethyl-2-methyl-1H-imidazol-5-yl)-2-pyrimidinyl]amino]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)



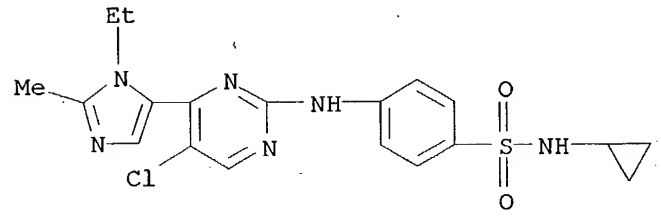
RN 403792-50-7 CAPLUS
CN Benzenesulfonamide, 4-[[5-chloro-4-(1,2-dimethyl-1H-imidazol-5-yl)-2-pyrimidinyl]amino]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)



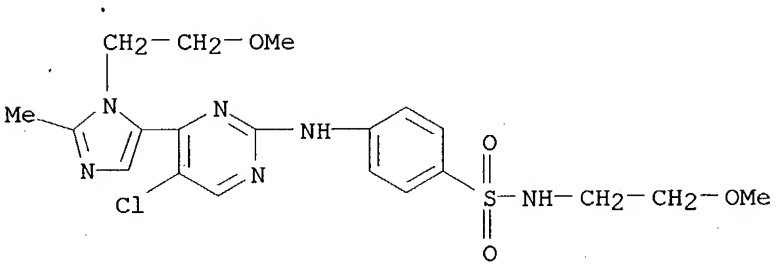
RN 403792-51-8 CAPLUS
CN Benzenesulfonamide, 4-[[5-chloro-4-(1-ethyl-2-methyl-1H-imidazol-5-yl)-2-pyrimidinyl]amino]-N-[(tetrahydro-2-furanyl)methyl]- (9CI) (CA INDEX NAME)



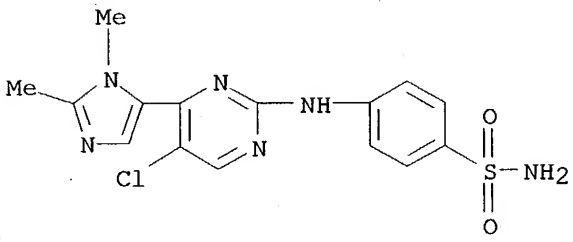
RN 403792-52-9 CAPLUS
CN Benzenesulfonamide, 4-[[5-chloro-4-(1-ethyl-2-methyl-1H-imidazol-5-yl)-2-pyrimidinyl]amino]-N-cyclopropyl- (9CI) (CA INDEX NAME)



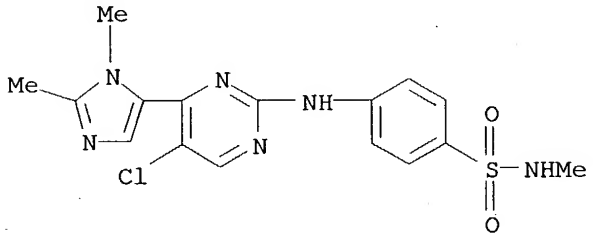
RN 403792-53-0 CAPLUS
CN Benzenesulfonamide, 4-[[5-chloro-4-[1-(2-methoxyethyl)-2-methyl-1H-imidazol-5-yl]-2-pyrimidinyl]amino]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)



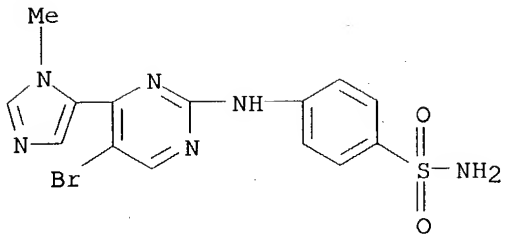
RN 403792-57-4 CAPLUS
CN Benzenesulfonamide, 4-[[5-chloro-4-(1,2-dimethyl-1H-imidazol-5-yl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



RN 403792-59-6 CAPLUS
CN Benzenesulfonamide, 4-[[5-chloro-4-(1,2-dimethyl-1H-imidazol-5-yl)-2-pyrimidinyl]amino]-N-methyl- (9CI) (CA INDEX NAME)

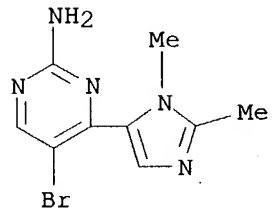


RN 403792-61-0 CAPLUS
CN Benzenesulfonamide, 4-[[5-bromo-4-(1-methyl-1H-imidazol-5-yl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)

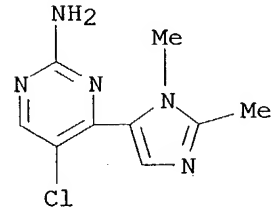


IT **403793-19-1P**, 2-Amino-5-bromo-4-(1,2-dimethylimidazol-5-yl)pyrimidine **403793-50-0P**, 2-Amino-4-(1,2-dimethylimidazol-5-yl)-5-chloropyrimidine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; imidazolo-5-yl-2-anilino-pyrimidines as agents for inhibition of cell proliferation)

RN 403793-19-1 CAPLUS
CN 2-Pyrimidinamine, 5-bromo-4-(1,2-dimethyl-1H-imidazol-5-yl)- (9CI) (CA INDEX NAME)



RN 403793-50-0 CAPLUS
CN 2-Pyrimidinamine, 5-chloro-4-(1,2-dimethyl-1H-imidazol-5-yl)- (9CI) (CA INDEX NAME)



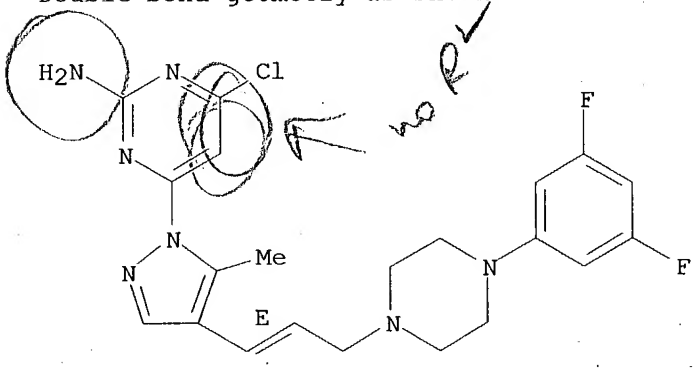
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 12 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:148739 CAPLUS
DN 136:205403
TI DDS compounds of drugs having hydroxy groups
IN Ousu, Satoru; Oki, Hitoshi; Naito, Hiroyuki; Hirotani, Kenji
PA Daiichi Seiyaku Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 24 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2002060351	A2	20020226	JP 2001-80188	20010321
PRAI	JP 2000-79655	A	20000322		

OS MARPAT 136:205403
AB The DDS (drug delivery system) compds. are represented by the formula AWN(R1)C(R2)(R3)OQ or PZN(R1)C(R2)(R3)OQ [A = polymeric carrier for drugs; W = spacer contg. amino acid or oligopeptide residue linked to N at the C-terminal; P = protective group for H or NH2; Z = amino acid residue or oligopeptide residue linked to N at the C-terminal; R1-R3 = H, (substituted) alkyl, (substituted) aryl, carboxyl, alkoxycarbonyl; 2 of R1-R3 may form 4- to 8-membered ring; OQ = residue of OH-contg. drugs]. Tert-Bu 13-[[1-[2-amino-6-[4-[(E)-3-[4-(3,5-difluorophenyl)-1-piperazinyl]-1-propenyl]-5-methyl-1H-pyrazol-1-yl]-4-pyrimidinyl]-3-azetidinyl]oxy]-7-benzyl-2,5,8,11-tetraoxo-3,6,9,12-tetraazatri-1-decylcarbamate (prepn. given) showed 89% release of 1-[2-amino-6-[4-[(E)-3-[4-(3,5-difluorophenyl)-1-piperazinyl]-1-propenyl]-1H-pyrazol-1-yl]-4-pyrimidinyl]-3-azetidinol (I) in murine fibrosarcoma Meth-A cell homogenate at 40.degree. and pH 4.5 and <1% release of I in a buffer at pH 4.5. I.v. administration of a carboxymethyl dextran polyol deriv. of I (linked through an oligopeptide and aminomethylene linker) at 10 mg/kg as I showed significant antitumor effect and did not cause diarrhea in mice.
IT **256930-32-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of amino acid or peptide derivs. of hydroxy-contg. drugs for DDS)
RN 256930-32-2 CAPLUS
CN 2-Pyrimidinamine, 4-chloro-6-[4-[(1E)-3-[4-(3,5-difluorophenyl)-1-piperazinyl]-1-propenyl]-5-methyl-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

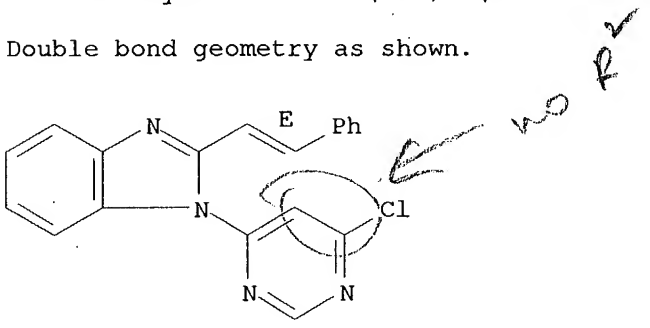


L6 ANSWER 13 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:792334 CAPLUS
DN 135:344480
TI Preparation of benzimidazole cyclooxygenase-2 inhibitors
IN Okumura, Yoshiyuki; Murata, Yoshinori; Mano, Takashi
PA Pfizer Inc., USA
SO U.S., 29 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6310079	B1	20011030	US 1999-244875	19990205
	US 2003013886	A1	20030116	US 2001-924351	20010808
PRAI	WO 1998-IB164	W	19980211		
	US 1999-244875	A3	19990205		

OS MARPAT 135:344480
AB The title compds. [I; Ar = 6-membered monocyclic heteroaryl having one N atom; X1, X2 = halo, alkyl, OH, etc.; R1 = (un)substituted Ph, 5-membered monocyclic heteroaryl; R2, R3 = H, halo, alkyl, etc.; or R1 and R2 can form, together with the carbon atom to which they are attached, a cycloalkyl ring; m = 0-5; n = 0-4] and their pharmaceutically acceptable salts, useful as analgesics and anti-inflammatory agents, were prepd. Thus, refluxing N-(2-pyridyl)-o-phenylenediamine with (E)-cinnamoyl chloride in PhMe afforded 41% (E)-I [Ar = 2-pyridyl; X1, X2 = H; R1 = Ph; R1, R2 = H]. Some compds. I showed low IC50 values of 0.01-1.0 .mu.M against COX-2.
IT **371110-27-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzimidazole cyclooxygenase-2 inhibitors)
RN 371110-27-9 CAPLUS
CN 1H-Benzimidazole, 1-(6-chloro-4-pyrimidinyl)-2-[(1E)-2-phenylethenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.



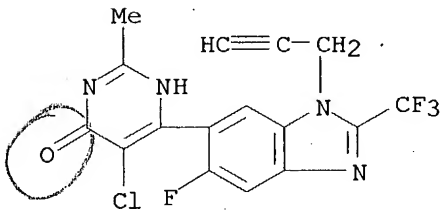
● HCl

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 14 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:693290 CAPLUS
DN 135:257254
TI Preparation of pyrimidinone derivatives as herbicides or pesticides
IN Kudo, Yoshihiro; Katsumata, Akira; Maeda, Kazushige; Akiyama, Shigeaki; Yaosaka, Manabu; Morimoto, Katsushi; Nakahira, Kunimitsu; Ohki, Tooru; Hamada, Nobuyuki; Yano, Tetsuhiko; Noguchi, Junko; Watanabe, Shigeomi
PA Nissan Chemical Industries, Ltd., Japan
SO PCT Int. Appl., 186 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001068613	A1	20010920	WO 2001-JP2158	20010319
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	JP 2002220377	A2	20020809	JP 2001-76067	20010316
PRAI	JP 2000-76493	A	20000317		
	JP 2000-357541	A	20001124		

OS MARPAT 135:257254
AB Title compds. [I; R = H, CHF2, CH3, (CH3)2CH, CHCCH2, CH3SCH2, CH3SO2CH2, CH3OCH2, CH2F(CH2)2; Y = O, S; X = H, C1-4 alkyl; Z1 = N, CR1; R1 = H, Cl, CN, CHCCH2O; Z2 = CH, N; Q = aryl, benzoheterocycle] and salts are prepd. as herbicides or pesticides. Thus, the title compd. II was prepd. and tested for herbicidal effect.
IT **361430-18-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of pyrimidinone derivs. as herbicides or pesticides)
RN 361430-18-4 CAPLUS
CN 4(1H)-Pyrimidinone, 5-chloro-6-[5-fluoro-1-(2-propynyl)-2-(trifluoromethyl)-1H-benzimidazol-6-yl]-2-methyl- (9CI) (CA INDEX NAME)

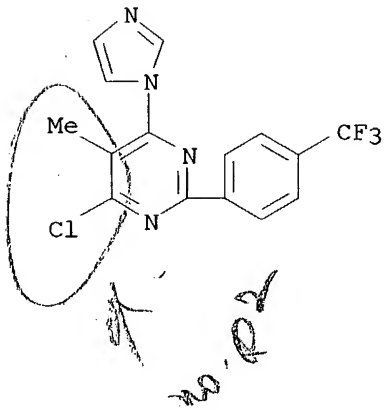


RE.CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 15 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:531965 CAPLUS
DN 135:107340
TI Preparation of (6-heteroarylpyrimidin-4-yl)oxyacetamides or -aminoacetamides having selective affinity to peripheral benzodiazepine receptor BZ.omega.3
IN Murata, Akiya; Kondo, Masanori; Furukawa, Kiyoshi; Oka, Makoto
PA Dainippon Pharmaceutical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 12 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2001199982	A2	20010724	JP 2000-5585	20000114
PRAI	JP 2000-5585		20000114		

OS MARPAT 135:107340
AB The title compds. [I; X = O, NR4; R1 = H, lower alkyl, lower alkenyl, cycloalkyl-lower alkyl; R2 = Ala, cycloalkyl, (un)substituted Ph-lower alkyl, (un)substituted Ph, (un)substituted heteroaryl; R3, R4 = H, lower alkyl; R5 = H, lower alkyl, halo; R6 = (un)substituted heteroaryl; A = (un)substituted heteroaryl or Ph] are prepd. These compds. are useful for the treatment and prevention of anxiety-related diseases, depression, and epilepsy. Thus, a mixt. of 4-chloro-2-phenyl-6-(3-pyridyl)pyrimidine, 2-amino-N-methyl-N-phenylacetamide, and Et3N was stirred under reflux at 150.degree. for 3 h to give N-methyl-N-phenyl-2-[2-phenyl-6-(3-pyridyl)-4-pyrimidinylamino]acetamide (II). 2-[6-(2-Furyl)-2-(4-pyridyl)-4-pyrimidinylamino]-N,N-dipropylacetamide showed IC50 of 2.9 .mu.g/mL for inhibiting the binding of [3H]4'-chlorodiazepam to benzodiazepine receptor BZ.omega.3. Pharmaceutical formulations, e.g. tablet contg. II, were described.
IT **350490-80-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of (heteroarylpyrimidinyl)oxyacetamides or -aminoacetamides having selective affinity to peripheral benzodiazepine receptor BZ.omega.3 for treatment and prevention of anxiety-related diseases, depression, and epilepsy)
RN 350490-80-1 CAPLUS
CN Pyrimidine, 4-chloro-6-(1H-imidazol-1-yl)-5-methyl-2-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



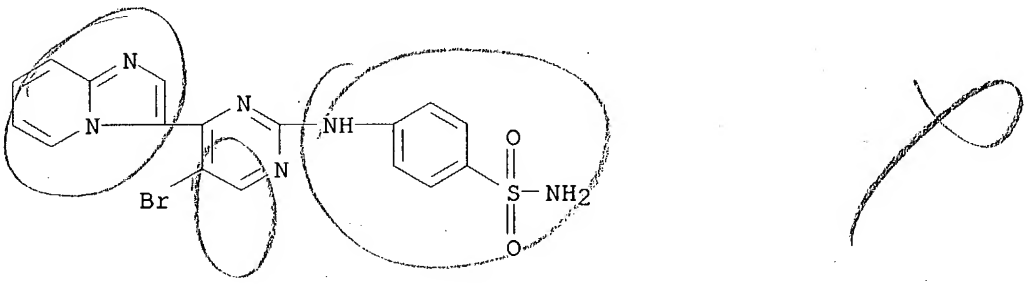
L6 ANSWER 16 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:152681 CAPLUS
DN 134:193444
TI Preparation of imidazo[1,2-a]pyridinylpyrimidines and pyrazolo[2,3-a]pyridinylpyrimidines as inhibitors of CDK2, CDK4, and CDK6 cell cycle kinases.
IN Thomas, Andrew Peter; Breault, Gloria Anne; Beattie, John Franklin; Jewsbury, Phillip John
PA Astrazeneca AB, Swed.; Astrazeneca UK Limited
SO PCT Int. Appl., 81 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001014375	A1	20010301	WO 2000-GB3139	20000815
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	BR 2000013476	A	20020430	BR 2000-13476	20000815
	EP 1214318	A1	20020619	EP 2000-953319	20000815
	EP 1214318	B1	20031008		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
	JP 2003507478	T2	20030225	JP 2001-518706	20000815
	AU 757639	B2	20030227	AU 2000-65833	20000815
	EE 200200080	A	20030616	EE 2002-80	20000815
	AT 251623	E	20031015	AT 2000-953319	20000815
	ZA 2002000028	A	20030402	ZA 2002-28	20020102
	BG 106383	A	20020930	BG 2002-106383	20020204
	NO 2002000832	A	20020412	NO 2002-832	20020220
PRAI	GB 1999-19778	A	19990821		
	WO 2000-GB3139	W	20000815		

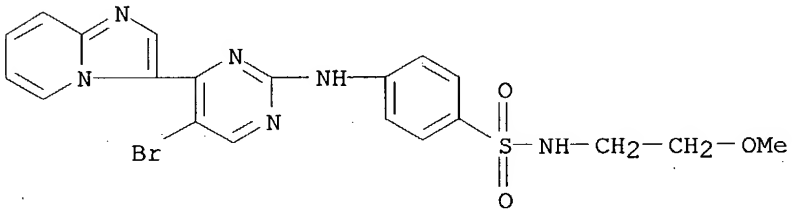
OS MARPAT 134:193444
AB Title compds. [I; A = imidazo[1,2a]pyrid-3-yl, pyrazolo[2,3a]pyrid-3-yl; R1 = halo, NO2, cyano, OH, CF3, OCF3, amino, CO2H, sulfamoyl, (substituted) alkyl, alkenyl, alkynyl, alkoxy, alkanoyl, alkanoyloxy, Ph, heterocyclyl, etc.; R2 = halo, NO2, cyano, OH, CF3, OCF3, amino, CO2H, SH, carbamoyl, sulfamoyl, (substituted) alkyl, alkenyl, alkynyl, alkoxyl, Ph, heterocyclyl, PhS, etc.; R3 = halo, NO2, cyano, OH, amino, CO2H, carbamoyl, SH, sulfamoyl, alkenyl, alkynyl; m = 0-5; n = 0-2; Ring B = Ph or Ph fused to a C5-7 cycloalkyl ring; p = 0-4; R4 = AE; A = (substituted) alkyl, Ph, heterocyclyl, cycloalkyl, phenylalkyl, heterocyclylalkyl, cycloalkylcycloalkyl; E = bond, O, CO, CO2, NRaCO, NRa, S, SO, SO2, SO2NRa; q = 0-2; p+q.ltoreq.5], were prepd. Thus, NaH was added to 3-chloroaniline in N-methylpyrrolidone; after 30 min. 4-(2-methylimidazo[1,2-a]pyridin-3-yl)-2-methylthiopyrimidine (prepn. given) in N-methylpyrrolidone was added and the mixt. was heated at 150.degree. for 3 h to give 21% 2-(3-chloroanilino)-4-(2-methylimidazo[1,2-a]pyrid-3-yl)pyrimidine. 2-[4-(2-Diethylaminoethoxy)anilino]-4-(imidazo[1,2-a]pyrid-3-yl)pyrimidine showed CDK2 inhibitory activity with IC50 = 0.17 .mu.M.

IT **328062-08-4P 328062-09-5P 328062-10-8P**
328062-11-9P 328062-26-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of imidazo[1,2-a]pyridinylpyrimidines and pyrazolo[2,3-a]pyridinylpyrimidines as inhibitors of CDK2, CDK4, and CDK6 cell cycle kinases)

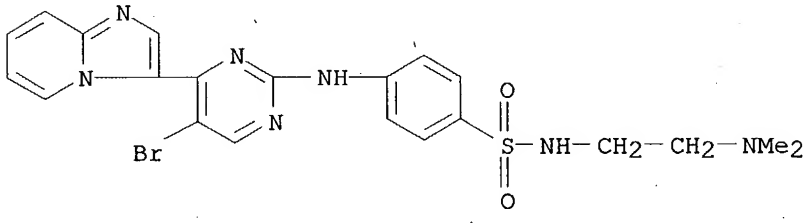
RN 328062-08-4 CAPLUS
CN Benzenesulfonamide, 4-[(5-bromo-4-imidazo[1,2-a]pyridin-3-yl-2-pyrimidinyl)amino]- (9CI) (CA INDEX NAME)



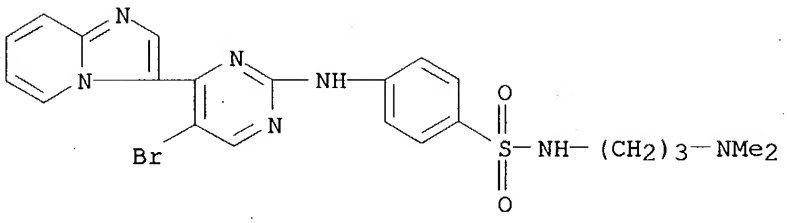
RN 328062-09-5 CAPLUS
CN Benzenesulfonamide, 4-[(5-bromo-4-imidazo[1,2-a]pyridin-3-yl-2-pyrimidinyl)amino]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)



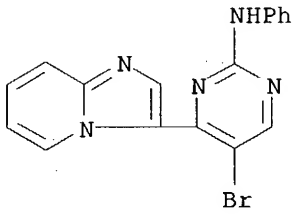
RN 328062-10-8 CAPLUS
CN Benzenesulfonamide, 4-[(5-bromo-4-imidazo[1,2-a]pyridin-3-yl-2-pyrimidinyl)amino]-N-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)



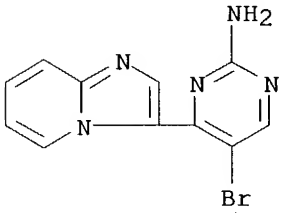
RN 328062-11-9 CAPLUS
CN Benzenesulfonamide, 4-[(5-bromo-4-imidazo[1,2-a]pyridin-3-yl-2-pyrimidinyl)amino]-N-[3-(dimethylamino)propyl]- (9CI) (CA INDEX NAME)



RN 328062-26-6 CAPLUS
CN 2-Pyrimidinamine, 5-bromo-4-imidazo[1,2-a]pyridin-3-yl-N-phenyl- (9CI) (CA INDEX NAME)



IT **328062-41-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of imidazo[1,2-a]pyridinylpyrimidines and pyrazolo[2,3-a]pyridinylpyrimidines as inhibitors of CDK2, CDK4, and CDK6 cell cycle kinases)
RN 328062-41-5 CAPLUS
CN 2-Pyrimidinamine, 5-bromo-4-imidazo[1,2-a]pyridin-3-yl- (9CI) (CA INDEX NAME)



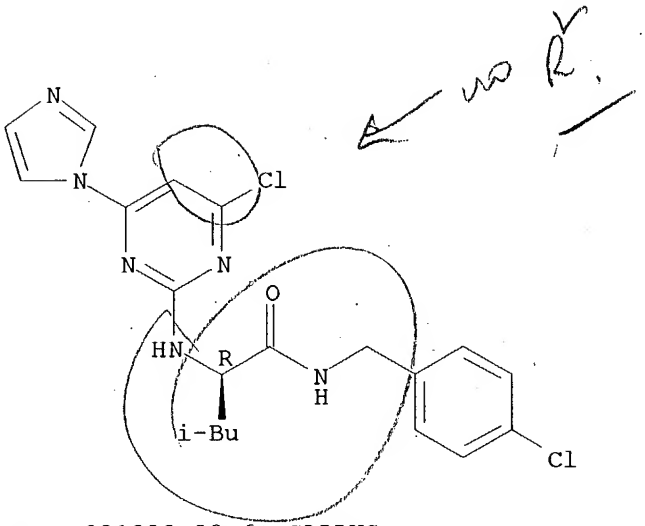
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 17 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:63992 CAPLUS
DN 134:116237
TI Preparation of bradykinin B1 receptor antagonists
IN Ohlmeyer, Michael H. J.; Baldwin, John J.; Dolle, Roland E., III; Paradkar, Vidyadhar; Quintero, Jorge Gabriel; Pan, Gonghua
PA Pharmacopeia, Inc., USA
SO PCT Int. Appl., 231 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001005783	A1	20010125	WO 2000-US19185	20000714
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1196411	A1	20020417	EP 2000-950343	20000714
	EP 1196411	B1	20030917		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2003505384	T2	20030212	JP 2001-511442	20000714
	AT 250053	E	20031015	AT 2000-950343	20000714
	US 2003229092	A1	20031211	US 2002-46616	20020114
PRAI	US 1999-143990P	P	19990715		
	WO 2000-US19185	W	20000714		

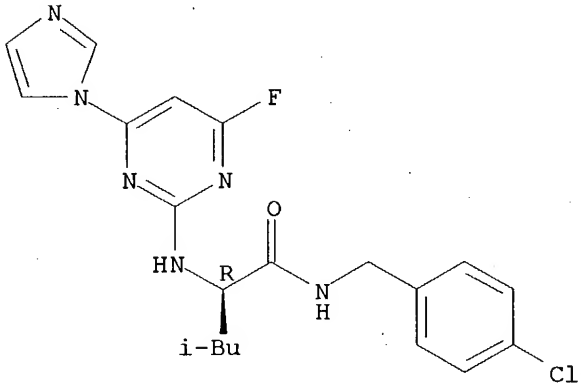
OS MARPAT 134:116237
AB Compds. I [X, Y, Z = CH or N; A = A1 or A2, where A1 is R4R5NCO (R4 = H, aryl, heteroaryl, substituted alkyl; R5 = H, alkyl), 5-aryl-1,2,4-triazol-3-yl, 2-aryl-4-imidazolyl, or 2-aryl-5-thiazolyl and A2 is R7CONH (R7 = aryl or alkylaryl), R7SO2NH, R4NH, R4O; Q = heteroaryl, aryl, CH2R13 (R13 = OH, OTHP, 1-imidazolyl, 1-pyrrolyl), CH:NOMe, or 1,3-dithian-2-yl; W = H, Cl, F, alkyl, aryl, heteroaryl, alkoxy, alkylthio, an amino group, arylcarbamoyl, etc.; R1 = alkyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, etc.; R2 = H or alkyl or R1R2C is a ring optionally contg. O, S or N; R3 = H or alkyl, or when n is zero, R2 and R3 taken together form a 6-membered ring (with provisos)] were prepd. as bradykinin B1 receptor antagonists. Thus, D-leucine deriv. II was prepd. by substitution reaction of D-leucine 4-chlorobenzylamide with 2,4-dichloro-(or difluoro)-6-(1H-imidazol-1-yl)pyrimidine and then 3-chlorobenzylamine. Pharmaceutical formulations contg. II are described.
IT **321328-31-8P 321328-39-6P 321328-43-2P**
RL: BYP (Byproduct); PREP (Preparation)
(prepn. of bradykinin B1 receptor antagonists)
RN 321328-31-8 CAPLUS
CN Pentanamide, 2-[[4-chloro-6-(1H-imidazol-1-yl)-2-pyrimidinyl]amino]-N-[(4-chlorophenyl)methyl]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

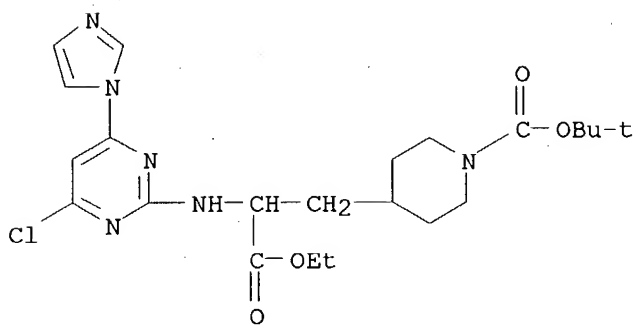


RN 321328-39-6 CAPLUS
CN Pentanamide, N-[(4-chlorophenyl)methyl]-2-[[4-fluoro-6-(1H-imidazol-1-yl)-2-pyrimidinyl]amino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

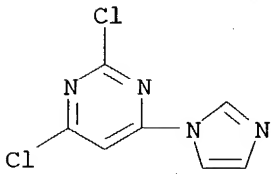
Absolute stereochemistry.



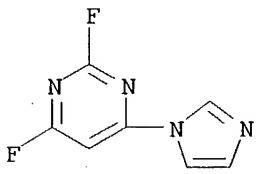
RN 321328-43-2 CAPLUS
CN 4-Piperidinepropanoic acid, .alpha.-[[4-chloro-6-(1H-imidazol-1-yl)-2-pyrimidinyl]amino]-1-[(1,1-dimethylethoxy)carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)



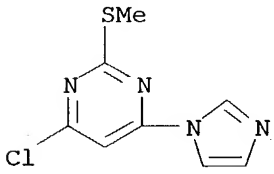
IT **212636-73-2 321328-37-4 321328-88-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of bradykinin B1 receptor antagonists)
RN 212636-73-2 CAPLUS
CN Pyrimidine, 2,4-dichloro-6-(1H-imidazol-1-yl)- (9CI) (CA INDEX NAME)



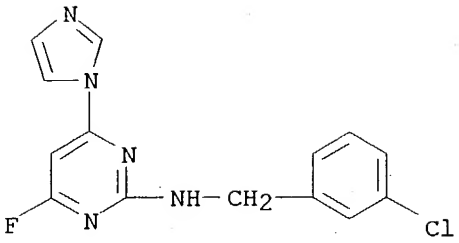
RN 321328-37-4 CAPLUS
CN Pyrimidine, 2,4-difluoro-6-(1H-imidazol-1-yl)- (9CI) (CA INDEX NAME)



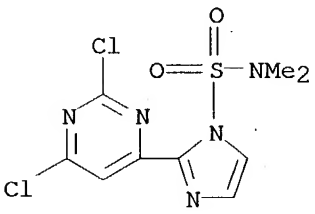
RN 321328-88-5 CAPLUS
CN Pyrimidine, 4-chloro-6-(1H-imidazol-1-yl)-2-(methylthio)- (9CI) (CA INDEX NAME)



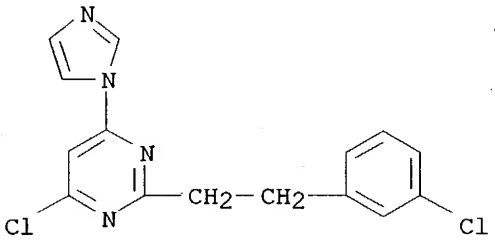
IT **321328-99-8P 321329-18-4P 321329-31-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of bradykinin B1 receptor antagonists)
RN 321328-99-8 CAPLUS
CN 2-Pyrimidinamine, N-[(3-chlorophenyl)methyl]-4-fluoro-6-(1H-imidazol-1-yl)- (9CI) (CA INDEX NAME)



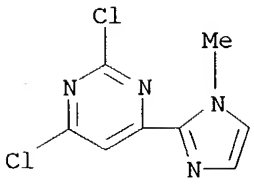
RN 321329-18-4 CAPLUS
CN 1H-Imidazole-1-sulfonamide, 2-(2,6-dichloro-4-pyrimidinyl)-N,N-dimethyl- (9CI) (CA INDEX NAME)



RN 321329-31-1 CAPLUS
CN Pyrimidine, 4-chloro-2-[2-(3-chlorophenyl)ethyl]-6-(1H-imidazol-1-yl)- (9CI) (CA INDEX NAME)



IT **321329-24-2P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of bradykinin B1 receptor antagonists)
RN 321329-24-2 CAPLUS
CN Pyrimidine, 2,4-dichloro-6-(1-methyl-1H-imidazol-2-yl)- (9CI) (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

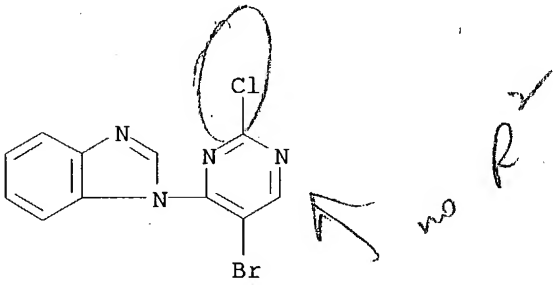
L6 ANSWER 18 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:12274 CAPLUS
DN 134:86272
TI Preparation of pyrimidine derivatives as Src-family protein tyrosine kinase inhibitor compounds
IN Hunt, Julianne A.; Mills, Sander G.; Sinclair, Peter J.; Zaller, Dennis M.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 181 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001000214	A1	20010104	WO 2000-US17472	20000626
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6316444	B1	20011113	US 2000-603699	20000626
EP 1194152	A1	20020410	EP 2000-944858	20000626
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2003503354	T2	20030128	JP 2001-505923	20000626
PRAI US 1999-141597P	P	19990630		
WO 2000-US17472	W	20000626		

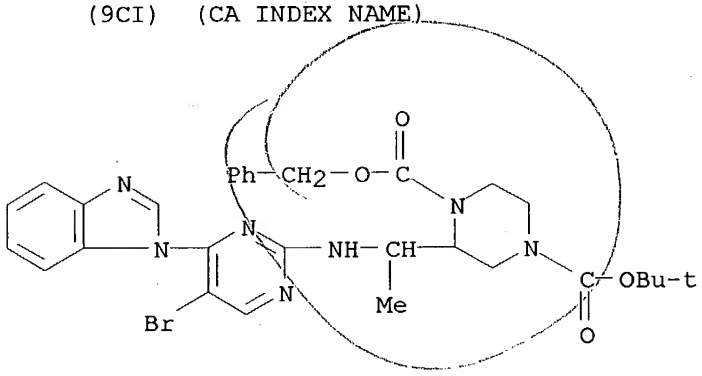
OS MARPAT 134:86272
AB What are claimed are pyrimidine compds. (shown as I), or their pharmaceutically acceptable salts, hydrates, solvates, crystal forms and individual diastereomers, and pharmaceutical compns. including the same and their use as inhibitors of tyrosine kinase enzymes and consequently their use in the prophylaxis and treatment of protein tyrosine kinase-assocd. disorders, such as immune diseases, hyperproliferative disorders and other diseases in which inappropriate protein kinase action is believed to play a role, such as cancer, angiogenesis, atherosclerosis, graft rejection, rheumatoid arthritis and psoriasis. In I, R1, R2 = independently H, halo, OH, SH, CN, NO2, alkyl, alkoxy, acyloxy, alkoxycarbonyloxy, carbamoyloxy, alkylthio, sulfinyl, sulfonyl, acyl, alkoxycarbonyl, carbamoyl, amino, acylamino, alkoxycarbonylamino, ureido, sulfamoyl, sulfonylamino, or R1 and R2 can join together to form a fused methylenedioxy ring or a fused 6-membered arom. ring; terms such as 'alkyl' here and below are further defined in the claims. R3, R5 = independently H, C1-C6-alkyl unsubstituted or substituted with 1-3 substituents, aryl (Ph or naphthyl unsubstituted or substituted with 1-3 substituents), or R3 and R5 taken together can represent :O. R4 = H, C1-C6-alkyl, C1-C6-alkoxyl, or R4 and X can join together to form a 5- or 6-membered ring with substituted methylene or ethylene. X1, X2, X3, X4 in -X1:X2-X3:X4- are substituted CH or N where 0-2 of X1, X2, X3, X4 are N. X5 = N, CH. R7 = H, alkyl, alkoxy, amino. X = O, S, SO, SO2, imino. Z = C:O, SO2, substituted P(:O)(OH) or a single bond. 44 Example prepns. are given, but no preparative method is claimed and no data relating to the usefulness of the compds. are given.
IT **293292-31-6P**, 2-Chloro-4-(benzimidazol-1-yl)-5-bromopyrimidine

317365-54-1P, 2-[1-(1-(Benzyloxycarbonyl)-4-(tert-butyloxycarbonyl)piperazin-2-yl)ethylamino]-4-(benzimidazol-1-yl)-5-bromopyrimidine **317365-56-3P**, 2-[1-(1-(Benzyloxycarbonyl)-4-(N-naphth-1-ylcarbamoyl)piperazin-2-yl)ethylamino]-4-(benzimidazol-1-yl)-5-bromopyrimidine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of pyrimidine derivs. acting as inhibitors of Src-family protein tyrosine kinases)

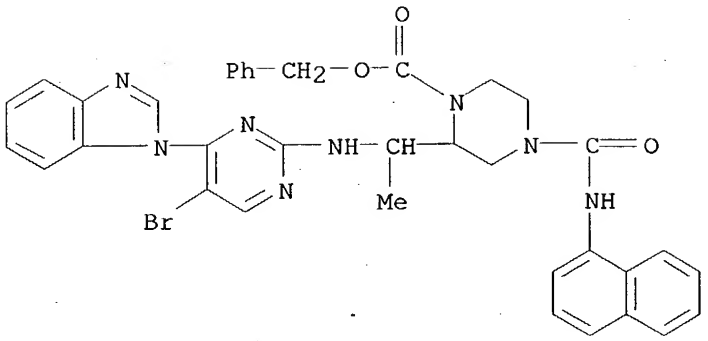
RN 293292-31-6 CAPLUS
CN 1H-Benzimidazole, 1-(5-bromo-2-chloro-4-pyrimidinyl)- (9CI) (CA INDEX NAME)



RN 317365-54-1 CAPLUS
CN 1,4-Piperazinedicarboxylic acid, 2-[1-[[4-(1H-benzimidazol-1-yl)-5-bromo-2-pyrimidinyl]amino]ethyl]-, 4-(1,1-dimethylethyl) 1-(phenylmethyl) ester (9CI) (CA INDEX NAME)



RN 317365-56-3 CAPLUS
CN 1-Piperazinecarboxylic acid, 2-[1-[[4-(1H-benzimidazol-1-yl)-5-bromo-2-pyrimidinyl]amino]ethyl]-4-[(1-naphthalenylamino)carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 19 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:12273 CAPLUS
DN 134:86271
TI Preparation of pyrimidine derivatives as Src-family protein tyrosine kinase inhibitor compounds
IN Armstrong, Helen M.; Beresis, Richard; Goulet, Joung L.; Holmes, Mark A.; Hong, Xingfang; Mills, Sander G.; Parsons, William H.; Sinclair, Peter J.; Steiner, Mark G.; Wong, Frederick; Zaller, Dennis M.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 470 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001000213	A1	20010104	WO 2000-US17443	20000626
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1206265	A1	20020522	EP 2000-941701	20000626
	EP 1206265	B1	20031112		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
	US 6498165	B1	20021224	US 2000-604305	20000626
	JP 2003523942	T2	20030812	JP 2001-505922	20000626
	AT 253915	E	20031115	AT 2000-941701	20000626
PRAI	US 1999-141639P	P	19990630		
	WO 2000-US17443	W	20000626		

OS MARPAT 134:86271
AB What are claimed are pyrimidine compds. (shown as I), or their pharmaceutically acceptable salts, hydrates, solvates, crystal forms and individual diastereomers, and pharmaceutical compns. including the same and their use as inhibitors of tyrosine kinase enzymes and consequently their use in the prophylaxis and treatment of protein tyrosine kinase-assocd. disorders, such as immune diseases, hyperproliferative disorders and other diseases in which inappropriate protein kinase action is believed to play a role, such as cancer, angiogenesis, atherosclerosis, graft rejection, rheumatoid arthritis and psoriasis. In I, R1, R2 = independently H, halo, OH, SH, CN, NO2, alkyl, alkoxy, acyloxy, alkoxycarbonyloxy, carbamoyloxy, alkylthio, sulfinyl, sulfonyl, acyl, alkoxycarbonyl, carbamoyl, amino, acylamino, ureido, sulfamoyl, sulfonylamino, or R1 and R2 can join together to form a fused methylenedioxy ring or a fused 6-membered arom. ring; terms such as 'alkyl' here and below are further defined in the claims. R3, R5 = independently H, C1-C6-alkyl unsubstituted or substituted with 1-3 substituents, aryl, or R3 and R5 taken together can represent :O; R3 or R5 can represent a 2 or 3 C methylene bridge forming a ring of 5-8 atoms fused to the A ring. R4 = H, C1-C6-alkyl, C1-C6-alkoxyl. X1, X2, X3, X4 in -X1:X2-X3:X4- are substituted or unsubstituted CH or N where 0-2 of X1, X2, X3, X4 are N. X5, X6 = independently N, C, optionally substituted CH. A ring = Ph, naphthyl, pyridyl, pyrazinyl, pyrimidinyl, pyrrolyl, thienyl,

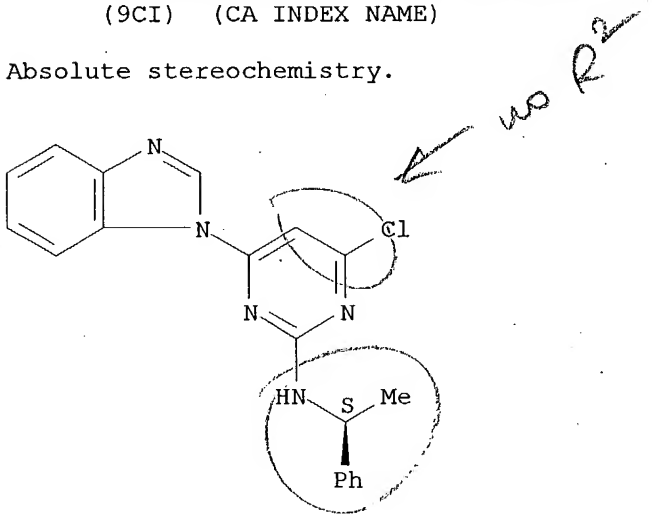
oxazolyl, isoxazolyl, thiazolyl, pyrazolyl, triazolyl, tetrazolyl, furanyl, benzothienyl, benzofuranyl, indolyl, imidazolyl, benzimidazolyl, thiadiazolyl. R7, R8, R9, R10 = independently H, halo, OH, SH, CN, NO2, N3, N2+BF4-, alkyl, alkoxy, alkylthio, sulfinyl, sulfonyl, C1-C6-alkyl, C1-C6-perfluoroalkyl, acyl, alkoxycarbonyl, carbamoyl, acyloxy, alkoxycarbonyloxy, carbamoyloxy, amino, acylamino, ureido, sulfamoyl, sulfonylamino, two of R7, R8, R9, and R10 when on adjacent carbons join together to form a methylenedioxy bridge. N = 0-2. More than 500 example prepns. are given, but no preparative method is claimed and no data relating to the usefulness of the compds. are given.

IT **317830-12-9P**, 2-[(S)-1-Phenylethylamino]-4-[benzimidazol-1-yl]-6-chloropyrimidine **317830-13-0P**, 2-[(S)-1-Phenylethylamino]-4-[5-methylbenzimidazol-1-yl]-6-chloropyrimidine **317830-14-1P**, 2-[(S)-1-Phenylethylamino]-4-[6-methylbenzimidazol-1-yl]-6-chloropyrimidine **317830-16-3P**, 2-[1-(3-Nitrophenyl)ethylamino]-4-[benzimidazol-1-yl]-6-chloropyrimidine **317830-54-9P**, 2-[(S)-1-Phenylethylamino]-4-[5-(pyridin-4-yl)benzimidazol-1-yl]-6-chloropyrimidine **317830-56-1P**, 2-[(S)-1-Phenylethylamino]-4-[6-(pyridin-4-yl)benzimidazol-1-yl]-6-chloropyrimidine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of pyrimidine derivs. as Src-family protein tyrosine kinase inhibitor compds.)

RN 317830-12-9 CAPLUS

CN 2-Pyrimidinamine, 4-(1H-benzimidazol-1-yl)-6-chloro-N-[(1S)-1-phenylethyl]- (9CI) (CA INDEX NAME)

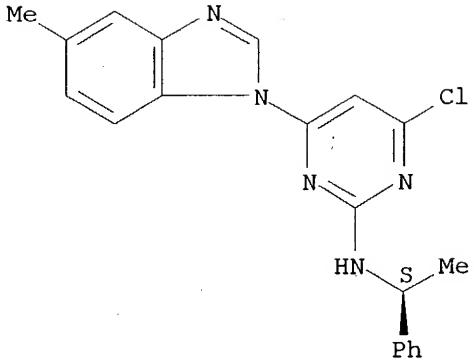
Absolute stereochemistry.



RN 317830-13-0 CAPLUS

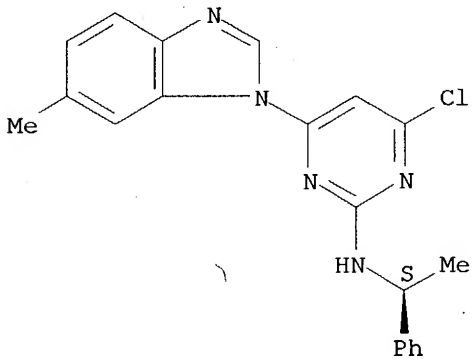
CN 2-Pyrimidinamine, 4-chloro-6-(5-methyl-1H-benzimidazol-1-yl)-N-[(1S)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

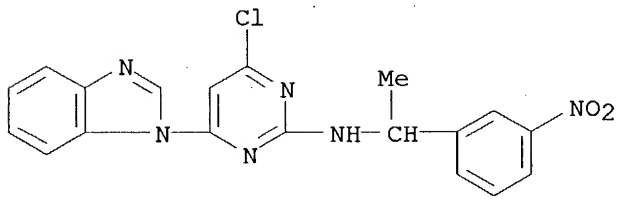


RN 317830-14-1 CAPLUS
CN 2-Pyrimidinamine, 4-chloro-6-(6-methyl-1H-benzimidazol-1-yl)-N-[(1S)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

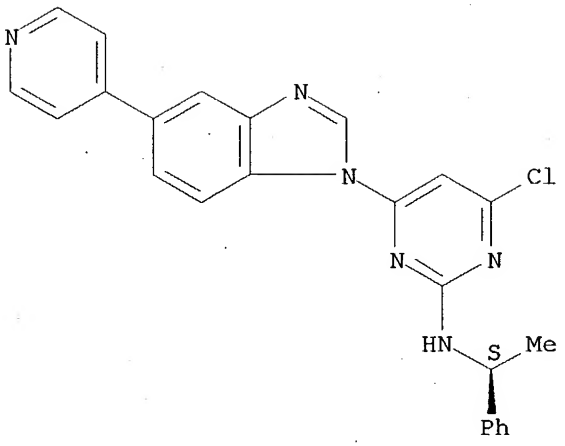


RN 317830-16-3 CAPLUS
CN 2-Pyrimidinamine, 4-(1H-benzimidazol-1-yl)-6-chloro-N-[1-(3-nitrophenyl)ethyl]- (9CI) (CA INDEX NAME)



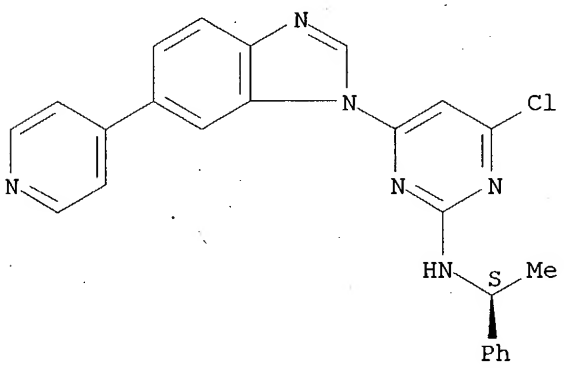
RN 317830-54-9 CAPLUS
CN 2-Pyrimidinamine, 4-chloro-N-[(1S)-1-phenylethyl]-6-[5-(4-pyridinyl)-1H-benzimidazol-1-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

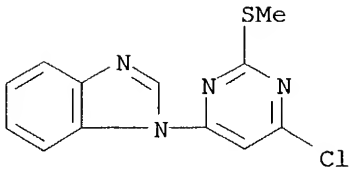


RN 317830-56-1 CAPLUS
CN 2-Pyrimidinamine, 4-chloro-N-[(1S)-1-phenylethyl]-6-[6-(4-pyridinyl)-1H-benzimidazol-1-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

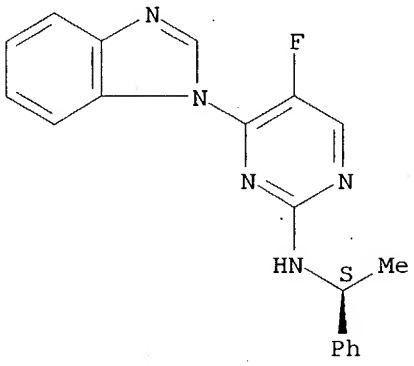


IT **317826-69-0P**, 2-Methylthio-4-(benzimidazol-1-yl)-6-chloropyrimidine **317827-13-7P**, 2-[(S)-1-Phenylethylamino]-4-[benzimidazol-1-yl]-5-fluoropyrimidine **317828-05-0P**, 2-[(S)-1-Phenylethylamino]-4-[5-(5-amino-6-chloropyrimidin-4-yl)benzimidazol-1-yl]pyrimidine **317828-07-2P**, 2-[(S)-1-Phenylethylamino]-4-[5-(2-amino-6-chloropyrimidin-4-yl)benzimidazol-1-yl]pyrimidine **317828-78-7P**, 2-[(S)-1-Phenylethylamino]-4-[5-(2-benzyl-6-chloropyrimidin-4-yl)benzimidazol-1-yl]pyrimidine
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyrimidine derivs. as Src-family protein tyrosine kinase inhibitor compds.)
RN 317826-69-0 CAPLUS
CN 1H-Benzimidazole, 1-[6-chloro-2-(methylthio)-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



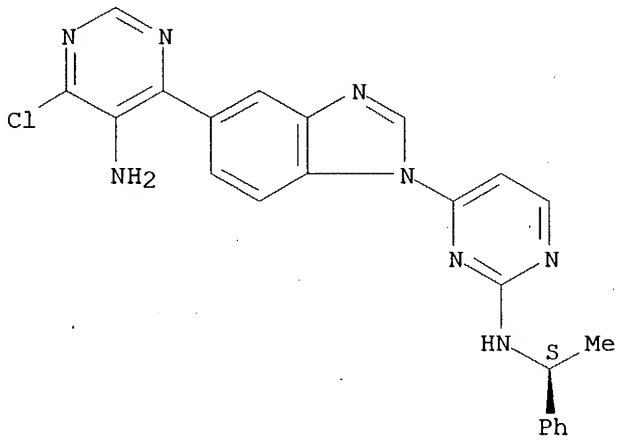
RN 317827-13-7 CAPLUS
CN 2-Pyrimidinamine, 4-(1H-benzimidazol-1-yl)-5-fluoro-N-[(1S)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



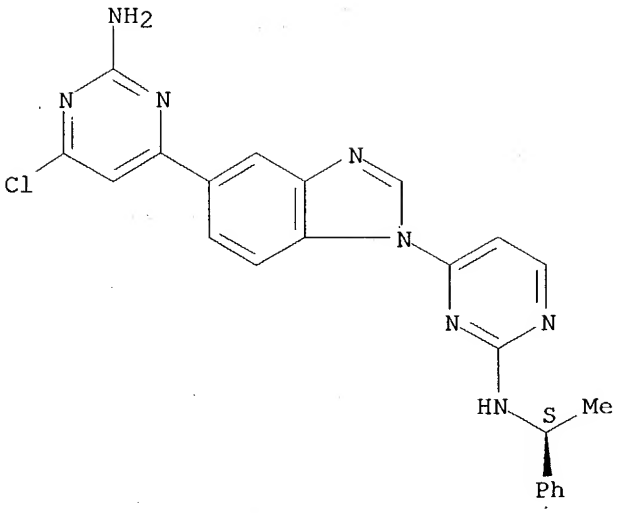
RN 317828-05-0 CAPLUS
CN 2-Pyrimidinamine, 4-[5-(5-amino-6-chloro-4-pyrimidinyl)-1H-benzimidazol-1-yl]-N-[(1S)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



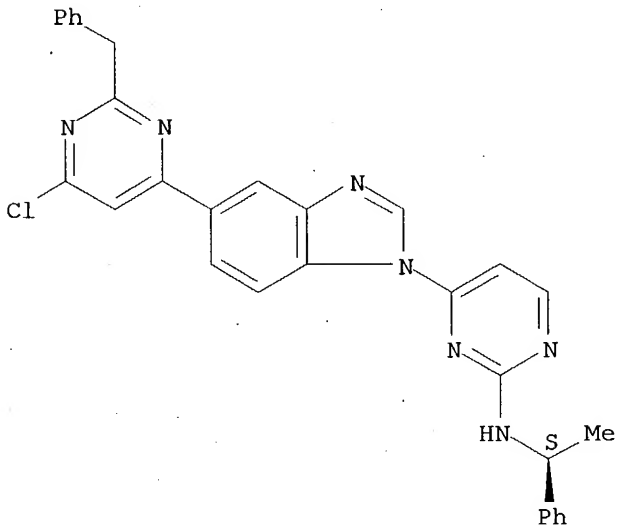
RN 317828-07-2 CAPLUS
CN 2-Pyrimidinamine, 4-[5-(2-amino-6-chloro-4-pyrimidinyl)-1H-benzimidazol-1-yl]-N-[(1S)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 317828-78-7 CAPLUS
CN 2-Pyrimidinamine, 4-[5-[6-chloro-2-(phenylmethyl)-4-pyrimidinyl]-1H-benzimidazol-1-yl]-N-[(1S)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



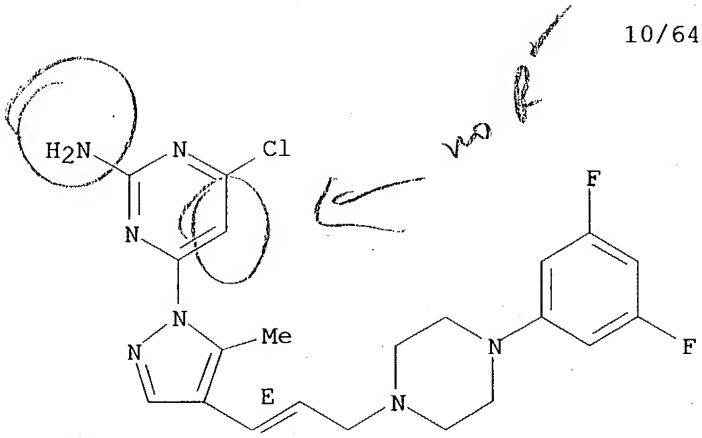
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 20 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:10085 CAPLUS
DN 134:86238
TI Preparation of pyrazole derivatives as antitumor agents
IN Ejima, Akio; Ohsuki, Satoru; Ohki, Hitoshi; Naito, Hiroyuki
PA Daiichi Pharmaceutical Co., Ltd., Japan
SO U.S., 51 pp., Cont.-in-part of Appl. No. PCT/JP98/00300.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 3

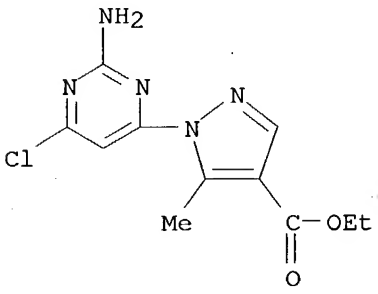
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6169086	B1	20010102	US 1999-359419	19990723
	WO 9832739	A1	19980730	WO 1998-JP300	19980126
	W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, GM, GW, HU, ID, IL, IS, JP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 6552018	B1	20030422	US 2000-688787	20001017
PRAI	JP 1997-12116	A	19970127		
	WO 1998-JP300	A2	19980126		
	JP 1998-208807	A	19980724		
	US 1999-359419	A3	19990723		

OS MARPAT 134:86238
AB Title compds. [I; R = CR3:CR4CHR5GZ; R1,R2 = H, halo, OH, alkoxy, NH2, alkylamino, aryl, alkyl; R3,R4 = H, halo, alkoxy, NH2, alkylamino, aryl, alkyl; R5 = H, alkyl, alkenyl, alkynyl, aryl(alkyl); Q = C(:NH)NH2, cycloalkyl, Ph, or monocyclic heterocycle (excluding pyrimidinyl bonded at the 2-position); G = at CHR5-N-attached azacycloalkylidene or -N-Z-attached diazacycloalkylidene; Z = Ph, heterocyclyl, etc.] were prepd. Thus, 2-amino-4,6-dichloropyrimidine was aminated by H2NH2 and the product cyclocondensed with MeCOC(:CHOEt)CO2Et to give I (Q = Z1R6, R1 = H, R2 = Me, Z1 = 2-aminopyrimidine-4,6-diyl)(II; R = CO2Et, R6 = Cl) which was converted in 5 steps to II [R = (E)-CH:CHCHO, R6 = NMe2]. The latter was reductively aminated by 1-(3,5-difluorophenyl)piperazine to give title compd. III. Data for biol. activity of I were given.
IT **256930-32-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of pyrazole derivs. as antitumor agents)
RN 256930-32-2 CAPLUS
CN 2-Pyrimidinamine, 4-chloro-6-[4-[(1E)-3-[4-(3,5-difluorophenyl)-1-piperazinyl]-1-propenyl]-5-methyl-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

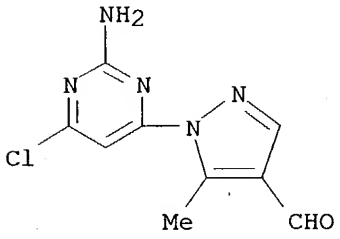
Double bond geometry as shown.



IT **256930-33-3P 256930-34-4P 256930-35-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of pyrazole derivs. as antitumor agents)
RN 256930-33-3 CAPLUS
CN 1H-Pyrazole-4-carboxylic acid, 1-(2-amino-6-chloro-4-pyrimidinyl)-5-methyl-, ethyl ester (9CI) (CA INDEX NAME)

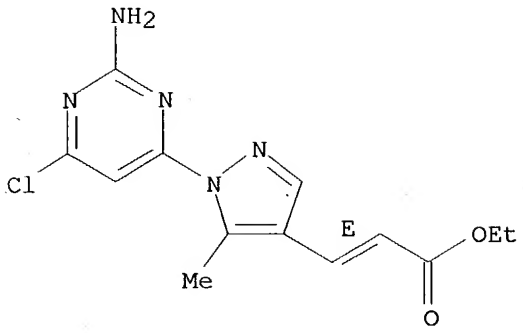


RN 256930-34-4 CAPLUS
CN 1H-Pyrazole-4-carboxaldehyde, 1-(2-amino-6-chloro-4-pyrimidinyl)-5-methyl-(9CI) (CA INDEX NAME)



RN 256930-35-5 CAPLUS
CN 2-Propenoic acid, 3-[1-(2-amino-6-chloro-4-pyrimidinyl)-5-methyl-1H-pyrazol-4-yl]-, ethyl ester, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

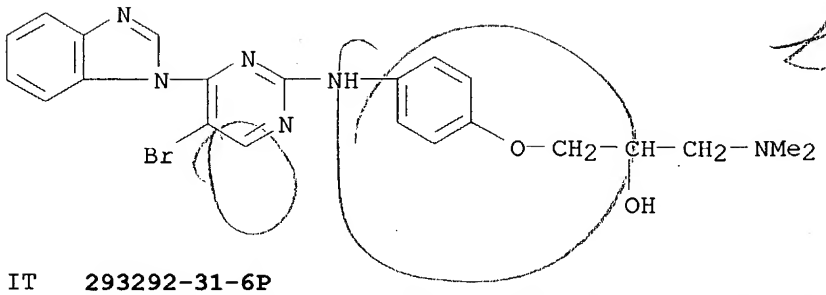


RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

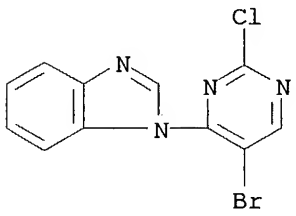
L6 ANSWER 21 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:646004 CAPLUS
DN 133:238016
TI Preparation of pyrimidinamines as anti-cancer agents
IN Breault, Gloria Anne; James, Stewart Russell; Pease, Jane Elizabeth
PA Astrazeneca AB, Swed.
SO PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000053595	A1	20000914	WO 2000-GB737	20000302
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	NZ 513893	A	20010928	NZ 2000-513893	20000302
	EP 1161428	A1	20011212	EP 2000-906531	20000302
	EP 1161428	B1	20030528		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	BR 2000008770	A	20020108	BR 2000-8770	20000302
	JP 2002539120	T2	20021119	JP 2000-604033	20000302
	AU 754967	B2	20021128	AU 2000-28187	20000302
	AT 241617	E	20030615	AT 2000-906531	20000302
	PT 1161428	T	20031031	PT 2000-906531	20000302
	ZA 2001007252	A	20021202	ZA 2001-7252	20010831
	NO 2001004317	A	20011101	NO 2001-4317	20010905
PRAI	GB 1999-5075	A	19990306		
	WO 2000-GB737	W	20000302		

OS MARPAT 133:238016
AB The title compds. [I or II; R1 = H, halo, OH, etc.; Q1 = (un)substituted Ph; Q1 bears X(CH2)nCY1Y2(CH2)mZ (wherein X = CH2, O, NH, etc.; Y1 = H, alkyl, Z; Y2 = H, alkyl; Z = RaO, RbRcN, RdS, etc.; Ra-Rd = H, alkyl, alkenyl, etc.; n, m = 1-3); NQ2 = (un)substituted heterocyclic moiety contg. one N atom and optionally contg. a further heteroatom] and their pharmaceutically acceptable salts, useful as anti-cancer agents, were prepd. E.g., a multi-step synthesis of the pyrimidinamine III was given. CDK4 and FAK inhibitory activity of compds. I and II was tested.
IT **293292-16-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyrimidinamines as anti-cancer agents)
RN 293292-16-7 CAPLUS
CN 2-Propanol, 1-[4-[[4-(1H-benzimidazol-1-yl)-5-bromo-2-pyrimidinyl]amino]phenoxy]-3-(dimethylamino)- (9CI) (CA INDEX NAME)



IT **293292-31-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of pyrimidinamines as anti-cancer agents)
RN 293292-31-6 CAPLUS
CN 1H-Benzimidazole, 1-(5-bromo-2-chloro-4-pyrimidinyl)- (9CI) (CA INDEX NAME)

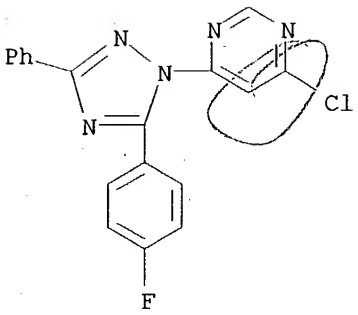


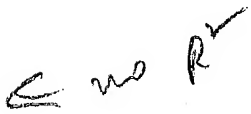
RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 22 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:144732 CAPLUS
DN 132:180581
TI Preparation of novel substituted triazoles as CSBP/p38 kinase inhibitors
IN Adams, Jerry L.; Lee, Dennis
PA SmithKline Beecham Corporation, USA
SO PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000010563	A1	20000302	WO 1999-US18640	19990817
	W: CA, JP, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2341370	AA	20000302	CA 1999-2341370	19990817
	EP 1112070	A1	20010704	EP 1999-942232	19990817
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 2003525201	T2	20030826	JP 2000-565884	19990817
	US 6599910	B1	20030729	US 2001-762809	20010611
	US 2003229110	A1	20031211	US 2003-401249	20030326
PRAI	US 1998-97300P	P	19980820		
	US 1998-97302P	P	19980820		
	US 1998-97322P	P	19980820		
	WO 1999-US18640	W	19990817		
	US 2001-762809	A3	20010611		

OS MARPAT 132:180581
AB The title compds. [I; R1 = (un)substituted 4-pyridyl, 4-pyrimidinyl, etc.; R2 = H, alkyl, aryl, etc.; R4 = (un)substituted Ph, naphthyl, heteroaryl], useful in therapy as CSBP/p38 kinase inhibitors, were prepd. Thus, reacting 4-fluorobenzoyl chloride with thiobenzamide in the presence of pyridine in Me2CO followed by treatment of the resulting N-(4-fluorobenzoyl)thiobenzamide with (4-pyridyl)hydrazine hydrochloride afforded triazole I [R1 = 4-pyridyl; R2 = Ph; R4 = 4-FC6H4] which found to be active in interleukin-1 (IL-1) assay having IC50 of < 7 .mu.M.
IT **259523-23-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of novel substituted triazoles as CSBP/p38 kinase inhibitors)
RN 259523-23-4 CAPLUS
CN Pyrimidine, 4-chloro-6-[5-(4-fluorophenyl)-3-phenyl-1H-1,2,4-triazol-1-yl]- (9CI) (CA INDEX NAME)





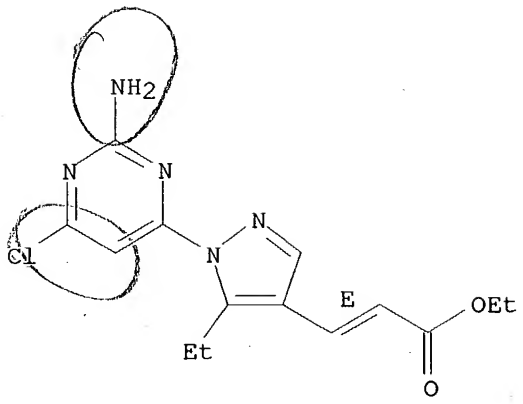
RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 23 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:84798 CAPLUS
DN 132:137383
TI Preparation of pyrazole derivatives as antitumor agents
IN Ejima, Akio; Ohsuki, Satoru; Ohki, Hitoshi; Naito, Hiroyuki; Makino, Chie
PA Daiichi Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 189 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000005230	A1	20000203	WO 1999-JP3962	19990723
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9948002	A1	20000214	AU 1999-48002	19990723
	EP 1103551	A1	20010530	EP 1999-931515	19990723
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2000169475	A2	20000620	JP 1999-211211	19990726
	NO 2001000405	A	20010322	NO 2001-405	20010123
	US 6573377	B1	20030603	US 2001-744428	20010124
PRAI	JP 1998-208807	A	19980724		
	JP 1998-274459	A	19980929		
	WO 1999-JP3962	W	19990723		

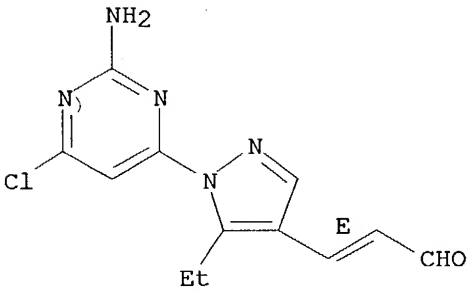
OS MARPAT 132:137383
AB The title compds. I [R1 = H, halo, etc.; R2 = H, halo, OH, etc.; R3 = H, amino, alkoxy, etc.; R4 = H, halo, alkylamino, etc.; R5 = H, alkyl, etc.; Q = heterocyclic ring, etc.; G = heterocyclic ring (further details on said ring are given)] are prepd. Compds. of this invention in vitro showed IC50 values of 0.6 ng/mL to 35 ng/mL against the growth of lung tumor cells.
IT **256928-80-0P 256928-82-2P 256928-84-4P**
256928-85-5P 256930-32-2P 256930-33-3P
256930-34-4P 256930-35-5P 256930-59-3P
256930-74-2P 256930-75-3P 256930-76-4P
256930-80-0P 256930-81-1P 256930-83-3P
256931-00-7P 256931-05-2P 256931-29-0P
256931-30-3P 256931-31-4P 256931-32-5P
256931-33-6P 256931-34-7P 256931-35-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of pyrazole derivs. as antitumor agents)
RN 256928-80-0 CAPLUS
CN 2-Propenoic acid, 3-[1-(2-amino-6-chloro-4-pyrimidinyl)-5-ethyl-1H-pyrazol-4-yl]-, ethyl ester, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



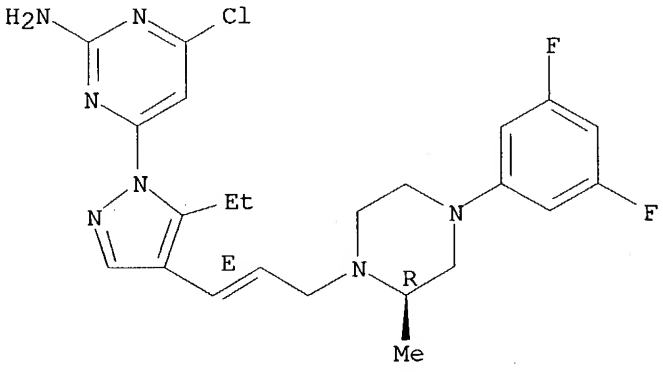
RN 256928-82-2 CAPLUS
CN 2-Propenal, 3-[1-(2-amino-6-chloro-4-pyrimidinyl)-5-ethyl-1H-pyrazol-4-yl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 256928-84-4 CAPLUS
CN 2-Pyrimidinamine, 4-chloro-6-[4-[(1E)-3-[(2R)-4-(3,5-difluorophenyl)-2-methyl-1-piperazinyl]-1-propenyl]-5-ethyl-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

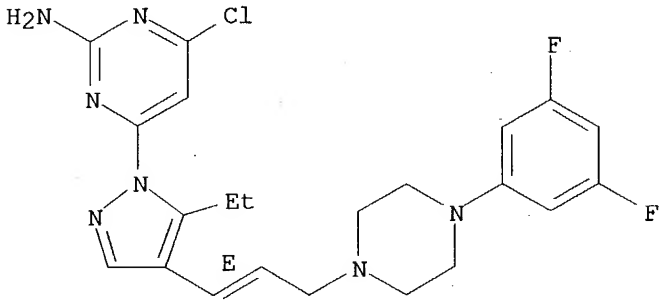
Absolute stereochemistry.
Double bond geometry as shown.



RN 256928-85-5 CAPLUS
CN 2-Pyrimidinamine, 4-chloro-6-[4-[(1E)-3-[4-(3,5-difluorophenyl)-1-

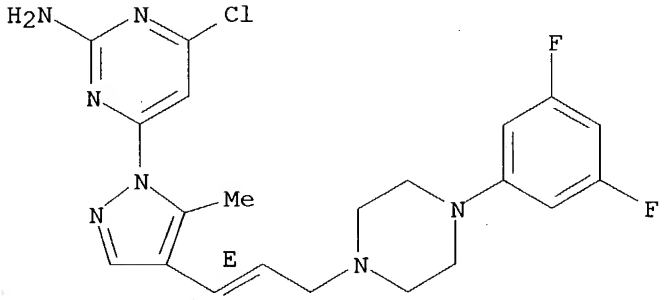
piperazinyl]-1-propenyl]-5-ethyl-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

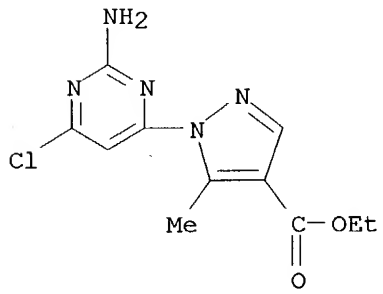


RN 256930-32-2 CAPLUS
CN 2-Pyrimidinamine, 4-chloro-6-[4-[(1E)-3-[4-(3,5-difluorophenyl)-1-piperazinyl]-1-propenyl]-5-methyl-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

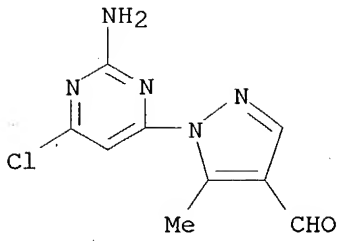
Double bond geometry as shown.



RN 256930-33-3 CAPLUS
CN 1H-Pyrazole-4-carboxylic acid, 1-(2-amino-6-chloro-4-pyrimidinyl)-5-methyl-, ethyl ester (9CI) (CA INDEX NAME)

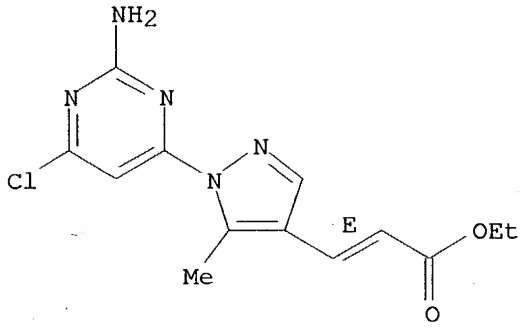


RN 256930-34-4 CAPLUS
CN 1H-Pyrazole-4-carboxaldehyde, 1-(2-amino-6-chloro-4-pyrimidinyl)-5-methyl- (9CI) (CA INDEX NAME)



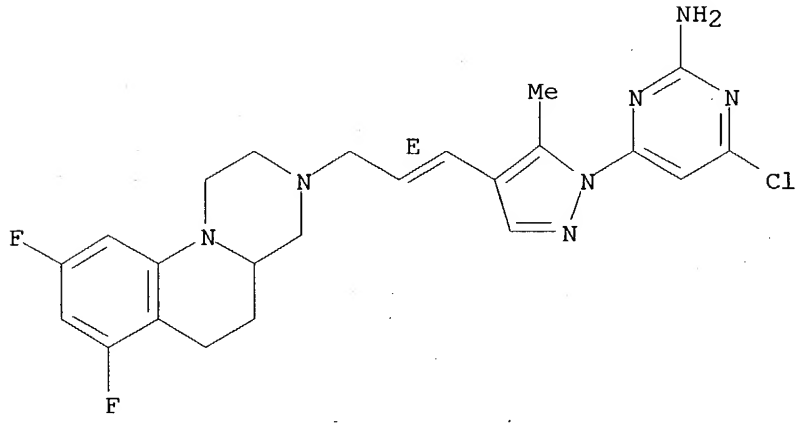
RN 256930-35-5 CAPLUS
CN 2-Propenoic acid, 3-[1-(2-amino-6-chloro-4-pyrimidinyl)-5-methyl-1H-pyrazol-4-yl]-, ethyl ester, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

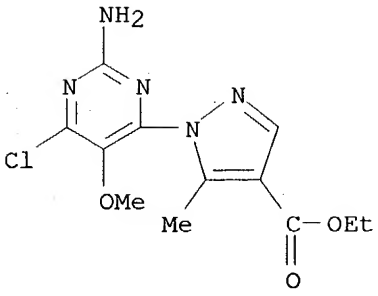


RN 256930-59-3 CAPLUS
CN 2-Pyrimidinamine, 4-chloro-6-[4-[(1E)-3-(7,9-difluoro-1,2,4,4a,5,6-hexahydro-3H-pyrazino[1,2-a]quinolin-3-yl)-1-propenyl]-5-methyl-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

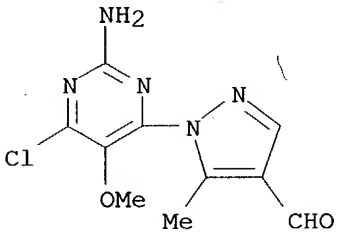
Double bond geometry as shown.



RN 256930-74-2 CAPLUS
CN 1H-Pyrazole-4-carboxylic acid, 1-(2-amino-6-chloro-5-methoxy-4-pyrimidinyl)-5-methyl-, ethyl ester (9CI) (CA INDEX NAME)

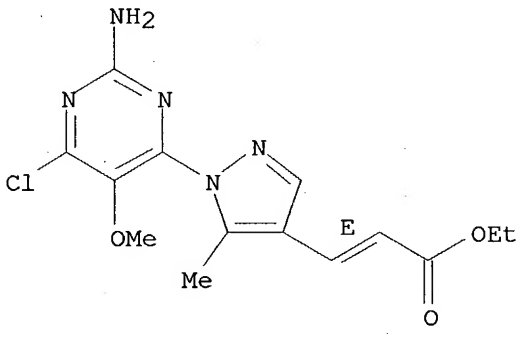


RN 256930-75-3 CAPLUS
CN 1H-Pyrazole-4-carboxaldehyde, 1-(2-amino-6-chloro-5-methoxy-4-pyrimidinyl)-5-methyl- (9CI) (CA INDEX NAME)



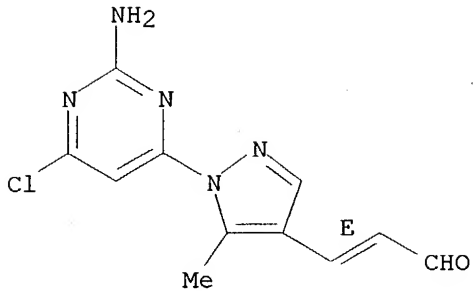
RN 256930-76-4 CAPLUS
CN 2-Propenoic acid, 3-[1-(2-amino-6-chloro-5-methoxy-4-pyrimidinyl)-5-methyl-1H-pyrazol-4-yl]-, ethyl ester, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



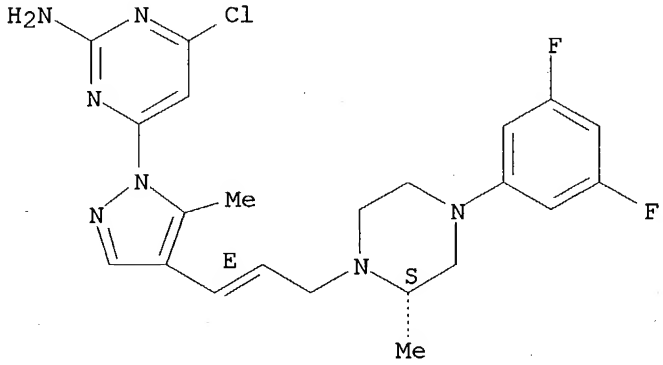
RN 256930-80-0 CAPLUS
CN 2-Propenal, 3-[1-(2-amino-6-chloro-4-pyrimidinyl)-5-methyl-1H-pyrazol-4-yl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



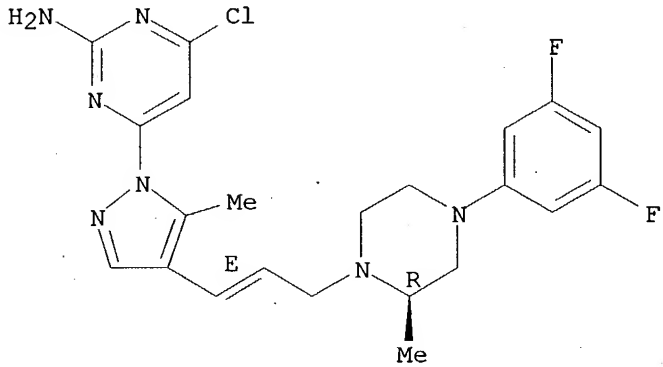
RN 256930-81-1 CAPLUS
CN 2-Pyrimidinamine, 4-chloro-6-[4-[(1E)-3-[(2S)-4-(3,5-difluorophenyl)-2-methyl-1-piperazinyl]-1-propenyl]-5-methyl-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



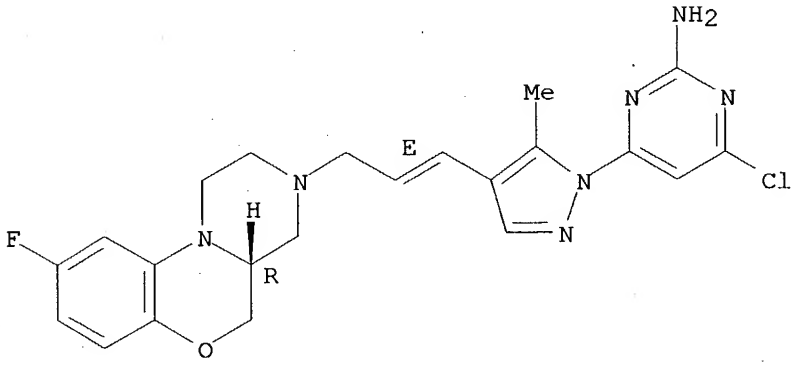
RN 256930-83-3 CAPLUS
CN 2-Pyrimidinamine, 4-chloro-6-[4-[(1E)-3-[(2R)-4-(3,5-difluorophenyl)-2-methyl-1-piperazinyl]-1-propenyl]-5-methyl-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



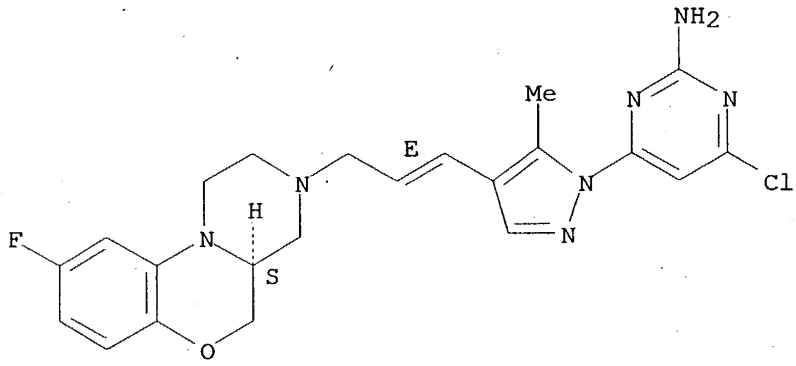
RN 256931-00-7 CAPLUS
CN 2-Pyrimidinamine, 4-chloro-6-[4-[(1E)-3-[(4aR)-9-fluoro-1,2,4a,5-tetrahydropyrazino[2,1-c][1,4]benzoxazin-3(4H)-yl]-1-propenyl]-5-methyl-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

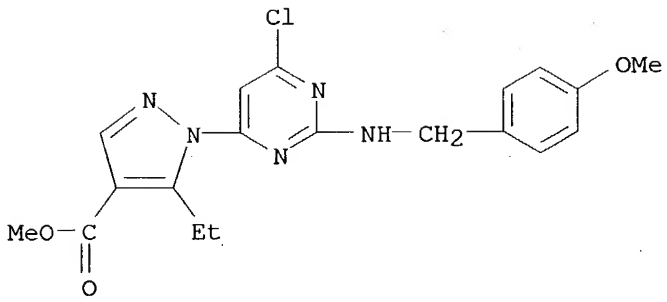


RN 256931-05-2 CAPLUS
CN 2-Pyrimidinamine, 4-chloro-6-[4-[(1E)-3-[(4aS)-9-fluoro-1,2,4a,5-tetrahydropyrazino[2,1-c][1,4]benzoxazin-3(4H)-yl]-1-propenyl]-5-methyl-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

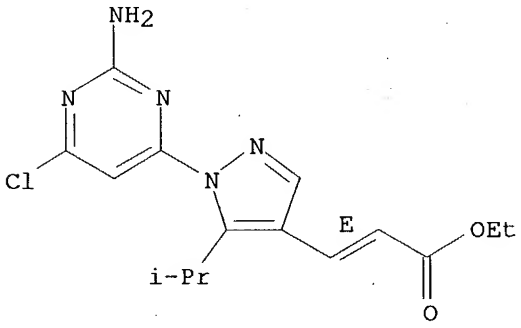


RN 256931-29-0 CAPLUS
CN 1H-Pyrazole-4-carboxylic acid, 1-[6-chloro-2-[[(4-methoxyphenyl)methyl]amino]-4-pyrimidinyl]-5-ethyl-, methyl ester (9CI) (CA INDEX NAME)



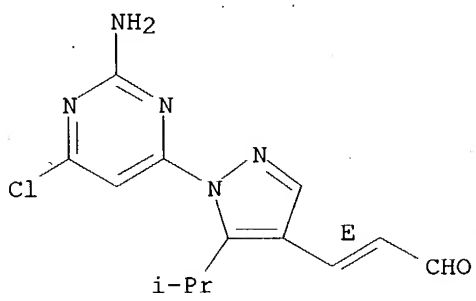
RN 256931-30-3 CAPLUS
CN 2-Propenoic acid, 3-[1-(2-amino-6-chloro-4-pyrimidinyl)-5-(1-methylethyl)-1H-pyrazol-4-yl]-, ethyl ester, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



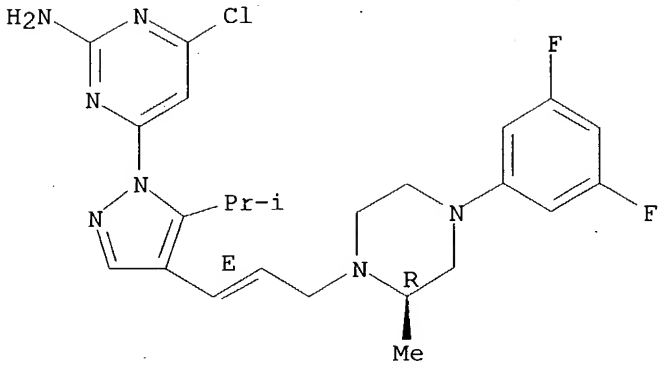
RN 256931-31-4 CAPLUS
CN 2-Propenal, 3-[1-(2-amino-6-chloro-4-pyrimidinyl)-5-(1-methylethyl)-1H-pyrazol-4-yl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



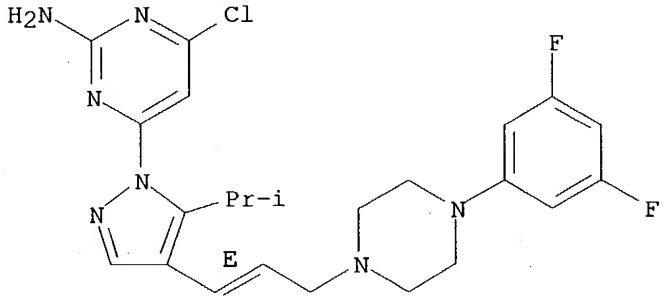
RN 256931-32-5 CAPLUS
CN 2-Pyrimidinamine, 4-chloro-6-[4-[(1E)-3-[(2R)-4-(3,5-difluorophenyl)-2-methyl-1-piperazinyl]-1-propenyl]-5-(1-methylethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

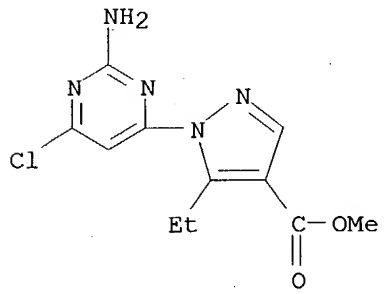


RN 256931-33-6 CAPLUS
CN 2-Pyrimidinamine, 4-chloro-6-[4-[(1E)-3-[4-(3,5-difluorophenyl)-1-piperazinyl]-1-propenyl]-5-(1-methylethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

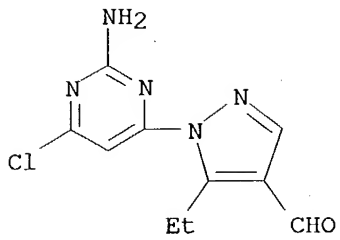
Double bond geometry as shown.



RN 256931-34-7 CAPLUS
CN 1H-Pyrazole-4-carboxylic acid, 1-(2-amino-6-chloro-4-pyrimidinyl)-5-ethyl-, methyl ester (9CI) (CA INDEX NAME)



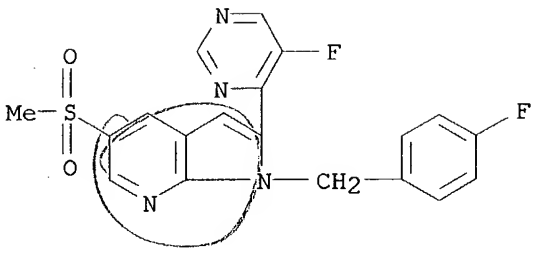
RN 256931-35-8 CAPLUS
CN 1H-Pyrazole-4-carboxaldehyde, 1-(2-amino-6-chloro-4-pyrimidinyl)-5-ethyl- (9CI) (CA INDEX NAME)


NH2
N
N
N
N
Cl
Et
CHO

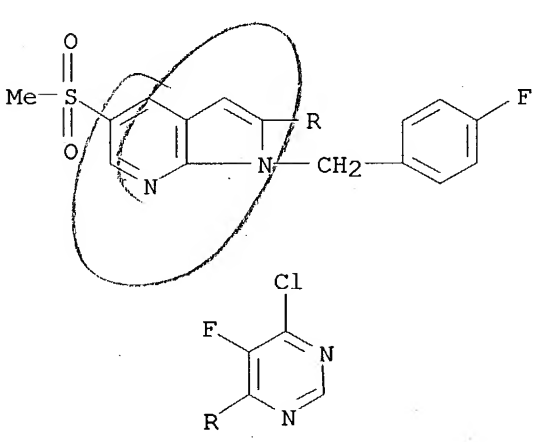
L6 ANSWER 24 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:764033 CAPLUS
DN 132:12319
TI Preparation of heterocyclic indole derivatives and mono- or diazaindole derivatives as cyclooxygenase-2 (COX-2) inhibitors
IN Matsuoka, Hiroharu; Kato, Nobuaki; Takahashi, Tadakatsu; Maruyama, Noriaki; Ishizawa, Takenori; Suzuki, Yukio
PA Chugai Seiyaku Kabushiki Kaisha, Japan
SO PCT Int. Appl., 106 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9961436	A1	19991202	WO 1999-JP2718	19990525
	W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9938511	A1	19991213	AU 1999-38511	19990525
	EP 1086950	A1	20010328	EP 1999-921245	19990525
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	US 6673797	B1	20040106	US 2000-701188	20001127
PRAI	JP 1998-143957	A	19980526		
	JP 1998-323553	A	19981113		
	WO 1999-JP2718	W	19990525		

OS MARPAT 132:12319
AB Indole derivs. and mono- or diazaindole derivs. represented by general formula (I; wherein Het represents an optionally substituted heterocycle; A1 and A2 independently represent each CH or N; A3 represents CH2, CO, or SO2; R1 represents 4-fluorophenyl, 5-methyl-4H-1,2,4-triazol-3-yl, 5-methylpyridin-2-yl, 4-methylpiperazin-1-yl, cyclohexyl, pyridin-2-yl, 3,4-dichlorophenyl, 2,4-difluorophenyl, or Q; wherein A4 = O, S, or NH; R2 represents linear or branched C1-3 alkyl; and n is 0, 1 or 2, provided that when A1 and A2 are both CH, then A3 is CH2 or SO2), pharmaceutically acceptable acid-addn. salts or base-addn. salts thereof or hydrates of the same, which have a COX-2 inhibitory activity and are useful as drugs such as anti-inflammatory agents, are prepd. Thus, 2-(2-furyl)-5-(methanesulfonyl)-1H-pyrrolo[2,3-b]pyridine (prepn. given) was stirred with NaH in DMF at 0.degree. for 30 min and then stirred with 4-fluorobenzyl bromide for 1 h to give the title compd. (II). II showed IC50 of 0.15 and >20 .mu.M against COX-2 and COX-1, resp.
IT **251548-69-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic indole derivs. and mono- or diazaindole derivs. as cyclooxygenase-2 (COX-2) inhibitors and anti-inflammatory agents)
RN 251548-69-3 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 1-[(4-fluorophenyl)methyl]-2-(5-fluoro-4-pyrimidinyl)-5-(methylsulfonyl)- (9CI) (CA INDEX NAME)



IT **251549-52-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of heterocyclic indole derivs. and mono- or diazaindole derivs. as cyclooxygenase-2 (COX-2) inhibitors and anti-inflammatory agents)
RN 251549-52-7 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine, 2-(6-chloro-5-fluoro-4-pyrimidinyl)-1-[(4-fluorophenyl)methyl]-5-(methylsulfonyl)- (9CI) (CA INDEX NAME)



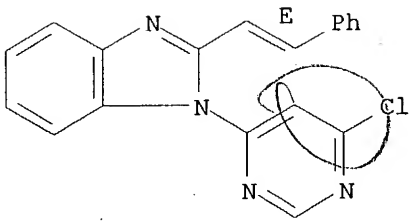
RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 25 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:618811 CAPLUS
DN 131:252564
TI Cyclooxygenase 2-inhibiting benzimidazoles and pharmaceutical compositions containing them
IN Shinno, Takashi; Murata, Yoshinori; Okumura, Yoshimasa
PA Pfizer Pharmaceutical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 48 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 11263788	A2	19990928	JP 1999-24907	19990202
	JP 3256513	B2	20020212		
	EP 937722	A1	19990825	EP 1999-300824	19990204
	EP 937722	B1	20020703		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	AT 220066	E	20020715	AT 1999-300824	19990204
	PT 937722	T	20021031	PT 1999-99300824	19990204
	ES 2175901	T3	20021116	ES 1999-300824	19990204
	CA 2261426	C	20020924	CA 1999-2261426	19990209
	CA 2261426	AA	19990811		
	BR 9900565	A	20000502	BR 1999-565	19990210
PRAI	WO 1998-IB164	A	19980211		

OS MARPAT 131:252564
AB Title compns., useful as inflammation inhibitors, analgesics, etc., contain benzimidazoles I [Ar = monocyclic 5- or 6-membered N-contg. heteroaryl; X1, X2 = halo, C1-4 alkyl, OH, C1-4 alkoxy, amino, C1-4 alkanoyl, etc.; R1 = H, (substituted) C1-4 alkyl, (substituted) C3-8 cycloalkyl, (substituted) C4-8 cycloalkenyl, (substituted) Ph, heteroaryl; R2, R3 = H, halo, C1-4 alkyl, (substituted) Ph; m = 0-5; n = 0-4] or their salts, and their carriers. N-(2-pyridyl)-o-phenylenediamine was cyclocondensed with (E)-cinnamoyl chloride in PhMe under reflux for 13 h to give 41% (E)-1-(2-pyridyl)-2-styryl-1H-benzimidazole.
IT **244758-37-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzimidazoles as cyclooxygenase 2 inhibitors)
RN 244758-37-0 CAPLUS
CN 1H-Benzimidazole, 1-(6-chloro-4-pyrimidinyl)-2-[(1E)-2-phenylethenyl]-, hydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.



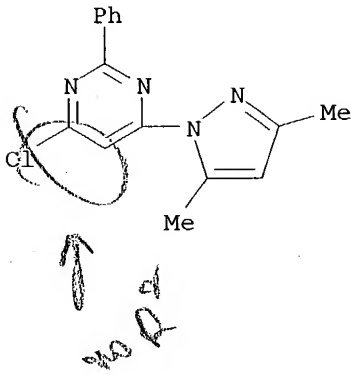
no R

●x HCl

L6 ANSWER 26 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:387716 CAPLUS
DN 131:78466
TI Adenosine A3 antagonists
IN Sugiura, Yoshihiro; Miwatari, Seiji; Kimura, Hiroyuki; Knzaki, Naoyuki
PA Takeda Chemical Industries, Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 30 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 11158073	A2	19990615	JP 1998-270755	19980925
PRAI JP 1997-262525		19970926		

OS MARPAT 131:78466
AB Adenosine A3 receptor antagonists contain (un)substituted amino-substituted N2-3-contg. heterocyclic [5-8 ring-contg.] compds. such as 2-chloro-4-ethylamino-6-phenylamino-1,3,5-triazine and 2,4-bis[phenylamino]-6-cyclohexylamino-1,3,5-triazine. Of 6 compds. tested, the IC50 values of adenosine A3 receptor antagonist activities ranged from 0.7 to 285.9 nM as detd. in human adenosine A3 receptor-expressing plasmid-transformed CHO (dhfr-) cell cultures. Tablets were formulated contg. 2,4-bis[phenylamino]-6-cyclohexylamino-1,3,5-triazine 50, lactose 34, corn starch 10.6, corn starch paste 5, magnesium stearate 0.4 and calcium CM-cellulose 20 mg. The drugs are useful for treating e.g. brain ischemic disease.
IT **228575-11-9**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(adenosine A3 receptor antagonists and pharmaceutical compns.)
RN 228575-11-9 CAPLUS
CN Pyrimidine, 4-chloro-6-(3,5-dimethyl-1H-pyrazol-1-yl)-2-phenyl- (9CI) (CA INDEX NAME)



L6 ANSWER 27 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1998:604917 CAPLUS
DN 129:231019
TI Preparation of N-heterocyclic derivatives as NOS inhibitors
IN Arnaiz, Damian O.; Baldwin, John J.; Davey, David D.; Devlin, James J.; Dolle, Roland Ellwood, III; Erickson, Shawn David; McMillan, Kirk; Morrissey, Michael M.; Ohlmeyer, Hichael H. J.; Pan, Gonghua; Paradkar, Vidyadhar Madhav; Parkinson, John; Phillips, Gary B.; Ye, Bin; Zhao, Zuchun; et al.
PA Berlex Laboratories, Inc., USA; Pharmacopeia, Inc.; et al.
SO PCT Int. Appl., 358 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9837079	A1	19980827	WO 1998-US3176	19980219
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9861749	A1	19980909	AU 1998-61749	19980219
	AU 732969	B2	20010503		
	EP 968206	A1	20000105	EP 1998-906555	19980219
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	GB 2338957	A1	20000112	GB 1999-19686	19980219
	NZ 337861	A	20010223	NZ 1998-337861	19980219
	NO 9903996	A	19991018	NO 1999-3996	19990819
	HK 1025952	A1	20020412	HK 2000-104236	20000711
	US 2003027794	A1	20030206	US 2002-121758	20020412
	US 2003060452	A1	20030327	US 2002-121212	20020412
	US 2003069210	A1	20030410	US 2002-122072	20020412
PRAI	US 1997-808975	A2	19970219		
	US 1998-25124	A	19980217		
	WO 1998-US3176	W	19980219		
	US 1999-383813	A3	19990826		

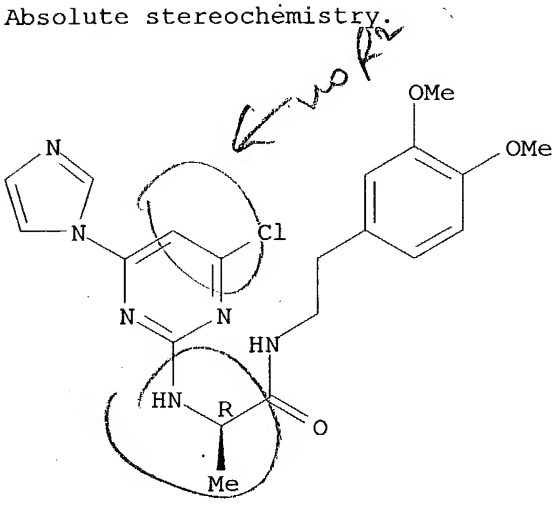
OS MARPAT 129:231019
AB N-Heterocyclic derivs. I [U = N, CR5 (R5 = H, halo, alkyl, optionally substituted aralkyl or aryl, etc.); V = NR4, S, O, CHR4 (R4 = H, alkyl, aryl, aralkyl, cycloalkyl); W = N, CH; X, Y, Z = N, CR19 (R19 = H, alkyl, cyclopropyl, halo, haloalkyl); A = R1, OR1, CONR1R2, PO(NR1R2)2, NR1COR2, etc. (R1, R2 = H, optionally substituted alkyl or cycloalkyl, etc. or R1R2N = N-heterocyclyl); B = CR17(CHR15)mQR3 (m = 1-4, R3 = H, alkyl, cycloalkyl, optionally substituted aryl, etc.; R15, R17 = H, alkyl; Q = CO, O, C:NR1, etc.); N-heterocyclyl; C = (CHR12)q(CHR13)r (q, r = 0 or 1; R12, R13 = H, alkyl); or B = C = null; R14, R20 = H, alkyl; n = 1-3] were prepd. as inhibitors of nitric oxide synthase. Thus, N-[(1,3-benzodioxol-5-yl)methyl]-1-[3-(1H-imidazol-1-yl)phenyl]piperidine-2-acetamide was prepd. by reaction of 1-(3-aminophenyl)imidazole, 7-chloro-3-oxoheptanoic acid Et ester, and piperonylamine.
IT **212635-74-0P 212636-73-2P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological

study); PREP (Preparation); USES (Uses)
(prepn. of N-heterocyclic derivs. as NOS inhibitors)

RN 212635-74-0 CAPLUS

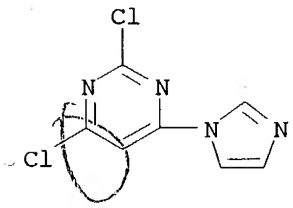
CN Propanamide, 2-[[4-chloro-6-(1H-imidazol-1-yl)-2-pyrimidinyl]amino]-N-[2-(3,4-dimethoxyphenyl)ethyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



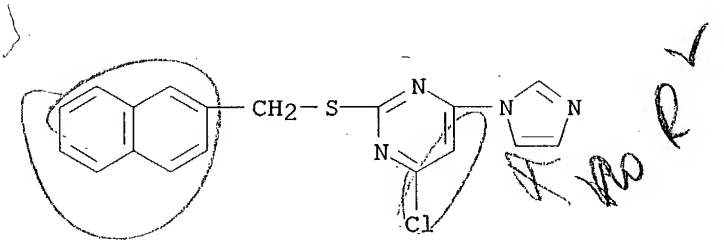
RN 212636-73-2 CAPLUS

CN Pyrimidine, 2,4-dichloro-6-(1H-imidazol-1-yl)- (9CI) (CA INDEX NAME)



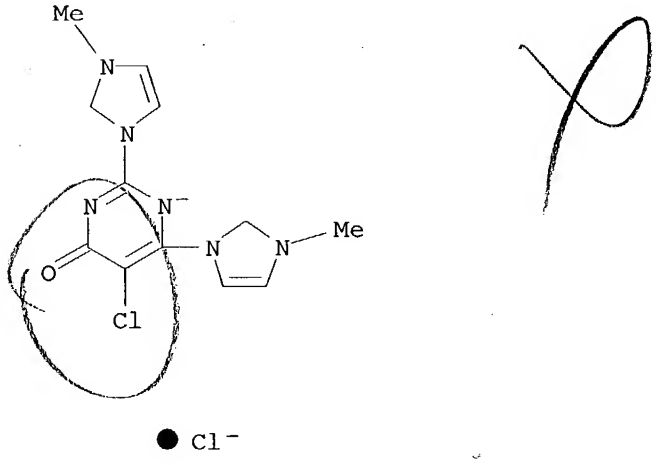
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 28 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1998:572926 CAPLUS
DN 129:302607
TI Pyrimidine thioethers: A novel class of HIV-1 reverse transcriptase inhibitors with activity against BHAP-resistant HIV
AU Nugent, Richard A.; Schlachter, Stephen T.; Murphy, Michael J.; Cleek, Gary J.; Poel, Toni J.; Wishka, Donn G.; Graber, David R.; Yagi, Yoshihiko; Keiser, Barbara J.; Olmsted, Robert A.; Kopta, Laurie A.; Swaney, Steven M.; Poppe, Susan M.; Morris, Joel; Tarpley, W. Gary; Thomas, Richard C.
CS Departments of Medicinal Chemistry Discovery Technology and Infectious Diseases, Pharmacia Upjohn, Kalamazoo, MI, 49001-0199, USA
SO Journal of Medicinal Chemistry (1998), 41(20), 3793-3803
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
AB A series of pyrimidine thioethers, e.g., I (R = 2-naphthyl, cyclohexyl, CONEt2, etc.), was synthesized and evaluated for inhibitory properties against wild-type HIV-1 reverse transcriptase (RT) and an RT carrying the resistance-conferring mutation P236L. Modifications of both the pyrimidine and the functionality attached through the thioether yielded several analogs, which demonstrated activity against both enzyme types, with IC50 values as low as 190 nM against wild-type and 66 nM against P236L RT. Evaluation of a select no. of pyrimidine thioethers in cell culture showed that these compds. have excellent activity against HIV-1IIIB-WT and retain good activity against a lab.-derived HIV-1MF delavirdine-resistant variant.
IT **214417-49-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and HIV-1 reverse transcriptase inhibitory activity of pyrimidine thioethers)
RN 214417-49-9 CAPLUS
CN Pyrimidine, 4-chloro-6-(1H-imidazol-1-yl)-2-[(2-naphthalenylmethyl)thio]- (9CI) (CA INDEX NAME)



RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 29 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1998:446690 CAPLUS
DN 129:148956
TI Heteroaromatic Tripoles. 2,6-Bis(hetarenio)pyrimidin-4-olates: Hybrids between Hetarenium Salts and Cross-Conjugated Mesomeric Betaines
AU Schmidt, Andreas; Kindermann, Markus Karl
CS Ernst-Moritz-Arndt-Universitaet Greifswald, Greifswald, D-17487, Germany
SO Journal of Organic Chemistry (1998), 63(14), 4636-4644
CODEN: JOCEAH; ISSN: 0022-3263
PB American Chemical Society
DT Journal
LA English
AB A novel tandem nucleophilic displacement reaction on 2,4,5,6-tetrachloropyrimidine leads to mols. with two delocalized pos. and one delocalized neg. charge, which comprise a common .pi.-electron system, plus one external anion. Thus, treatment of 2,4,5,6-tetrachloropyrimidine with an excess of heteroarom. nucleophiles such as 4-(dimethylamino)pyridine, 4-(pyrrolidin-1-yl)pyridine, and 1-methylimidazole, resp., followed by the addn. of water formed the tripolar bis(pyridinium)pyrimidin-4-olates I (R = Me2N, 1-pyrrolidinyl; R1 = O-; X = Cl, BPh4, I) and bis(methylimidazolium)pyrimidin-4-olates II (R1 = O-; X = Cl, BPh4, I). Addn. of anhyd. alcs. furnished the O-alkylated dicationic species I (R = Me2N, 1-pyrrolidinyl; R1 = MeO, EtO, Me2CHO; X = BPh4) and II (R1 = MeO, EtO, Me2CHO; X = BPh4). We contrast the spectroscopic features of the monocationic I and II (R1 = O-) and the dicationic I and II (R1 = MeO, EtO, Me2CHO) and performed a conformational study on I (R = Me2N; R1 = O-; X = no anion) (PM3). The HOMO/LUMO profile of I (R = Me2N; R1 = O-; X = no anion) was calcd. to evaluate our classification of I and II as cross-conjugated mesomeric betaine (CCMB) derivs.
IT **210833-74-2P 210833-76-4P 210833-77-5P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of bis(pyridinium)pyrimidinolates and bis(imidazolium)pyrimidinolates as tripolar mesoionic compds.)
RN 210833-74-2 CAPLUS
CN 1H-Imidazolium, 1,1'-(5-chloro-1,6-dihydro-6-oxo-2,4-pyrimidinediyl)bis[3-methyl-, inner salt, chloride (9CI) (CA INDEX NAME)

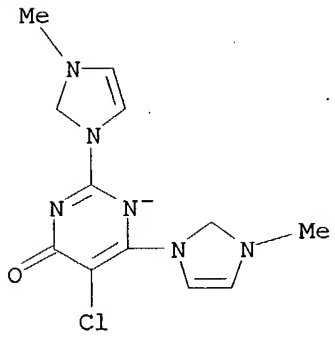


*** FRAGMENT DIAGRAM IS INCOMPLETE ***

RN 210833-76-4 CAPLUS
CN 1H-Imidazolium, 1,1'-(5-chloro-1,6-dihydro-6-oxo-2,4-pyrimidinediyl)bis[3-methyl-, inner salt, tetraphenylborate(1-) (9CI) (CA INDEX NAME)

CM 1

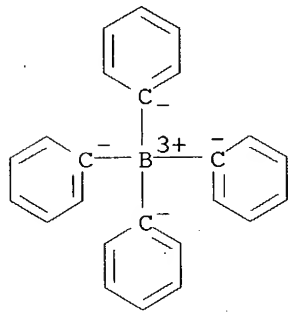
CRN 210833-75-3
CMF C12 H12 Cl N6 O



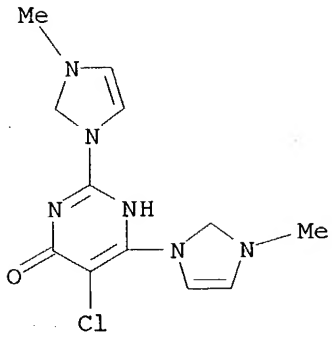
*** FRAGMENT DIAGRAM IS INCOMPLETE ***

CM 2

CRN 4358-26-3
CMF C24 H20 B
CCI CCS



RN 210833-77-5 CAPLUS
CN 1H-Imidazolium, 1,1'-(5-chloro-1,6-dihydro-6-oxo-2,4-pyrimidinediyl)bis[3-methyl-, diiodide (9CI) (CA INDEX NAME)



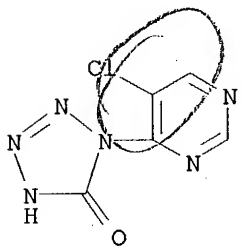
●2 I^-

*** FRAGMENT DIAGRAM IS INCOMPLETE ***
RE.CNT 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 30 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:405781 CAPLUS
DN 127:17695
TI Preparation of 1-azinyl-4-carbamoyl-5(4H)-tetrazolinone herbicides
IN Goto, Toshio; Ito, Seishi; Minegishi, Natsuko; Yamaoka, Tatsuya; Ueno, Chieko; Moriya, Koichi; Maurer, Fritz; Watanabe, Ryo
PA Nihon Bayer Agrochem K.K., Japan
SO Eur. Pat. Appl., 30 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 771797	A1	19970507	EP 1996-116754	19961018
	R: BE, CH, DE, ES, FR, GB, IT, LI, NL				
	JP 09183770	A2	19970715	JP 1996-78069	19960307
	CN 1155540	A	19970730	CN 1996-111754	19960802
	CN 1064679	B	20010418		
	AU 9670381	A1	19970508	AU 1996-70381	19961024
	US 5776858	A	19980707	US 1996-736867	19961025
	CA 2188990	AA	19970501	CA 1996-2188990	19961028
	CN 1153173	A	19970702	CN 1996-119238	19961031
	BR 9605387	A	19980728	BR 1996-5387	19961031
PRAI	JP 1995-305187	A	19951031		
	JP 1996-78069	A	19960307		

OS MARPAT 127:17695
AB The title compds. [I; R1, R2 = alkyl, haloalkyl, cycloalkyl, etc.; R1R2, together with the nitrogen atom to which they are bonded, may form a (un)substituted 5- or 6-membered heterocyclic ring; R3 = (un)substituted 6-membered heterocyclic arom. group consisting of carbon atoms and 2 or 3 nitrogen atoms] which exhibit an excellent herbicidal activity, were prepd. Thus, reaction of 1-(5-pyrimidyl)-5(4H)-tetrazolinone with Et2NCOCl in the presence of 4-dimethylaminopyridine in MeCN afforded I [R1 = R2 = Et; R3 = 5-pyrimidyl]. Compd. I [R1 = Et; R2 = cyclohexyl; R3 = 5-pyrimidyl] showed 100% herbicidal activity against Echinochloa crusgalli and Amaranthus Lividus at 1.0 kg/ha.
IT **190592-41-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 1-azinyl-4-carbamoyl-5(4H)-tetrazolinone herbicides)
RN 190592-41-7 CAPLUS
CN 5H-Tetrazol-5-one, 1-(5-chloro-4-pyrimidinyl)-1,2-dihydro- (9CI) (CA INDEX NAME)



L6 ANSWER 31 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1996:743723 CAPLUS
DN 126:18874
TI Preparation of benzimidazoles as modulators of the GABAA receptor complex
IN Teuber, Lene; Waetjen, Frank; Fukuda, Yoshimasa; Ushiroda, Osamu; Sasaki, Toshiro
PA Neurosearch A/s, Den.; Meiji Seika Kaisha, Ltd.; Teuber, Lene; Waetjen, Frank; Fukuda, Yoshimasa; Ushiroda, Osamu; Sasaki, Toshiro
SO PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9633194	A1	19961024	WO 1996-EP1606	19960417
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
	CA 2218493	AA	19961024	CA 1996-2218493	19960417
	AU 9656891	A1	19961107	AU 1996-56891	19960417
	AU 695957	B2	19980827		
	EP 821684	A1	19980204	EP 1996-914932	19960417
	EP 821684	B1	20011205		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, LT, LV, FI				
	CN 1182427	A	19980520	CN 1996-193419	19960417
	CN 1072669	B	20011010		
	JP 11501320	T2	19990202	JP 1996-531464	19960417
	JP 3342874	B2	20021111		
	RU 2135493	C1	19990827	RU 1997-119173	19960417
	BR 9608048	A	19991130	BR 1996-8048	19960417
	CZ 287545	B6	20001213	CZ 1997-3292	19960417
	AT 210132	E	20011215	AT 1996-914932	19960417
	EP 1164134	A1	20011219	EP 2001-112476	19960417
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	SK 282425	B6	20020107	SK 1997-1399	19960417
	PL 183853	B1	20020731	PL 1996-322892	19960417
	CA 2217601	AA	19961024	CA 1996-2217601	19960419
	CA 2217601	C	20020416		
	CN 1182426	A	19980520	CN 1996-193420	19960419
	NO 9704844	A	19971216	NO 1997-4844	19971020
	US 5922724	A	19990713	US 1998-945023	19980205
	HK 1015674	A1	20021011	HK 1998-111156	19981009
PRAI	DK 1995-460	A	19950421		
	EP 1996-914932	A3	19960417		
	WO 1996-EP1606	W	19960417		

OS MARPAT 126:18874
AB The title compds. [I; R1, R2 = H, (un)substituted furanyl, isoxazolyl; R3 = II (wherein A, B, D = each CH, or one or two of A, B and D = N and the others are CH; R4 = (un)substituted Ph, benzimidazolyl, or monocyclic heteroaryl)], useful for the treatment of various CNS disorders such as epilepsy and other convulsive disorders, anxiety, sleep disorders and memory disorders, were prepd. Thus, cyclization of N-[3-(1-

imidazolyl)phenyl]-2-amino-4-(3-furanyl)aniline with HCOOH afforded 84% I [R1 = 3-furanyl; R2 = H; A, B, D = CH; R4 = 1-imidazolyl] which showed IC50 of 0.4 nM against the specific binding of 3H-FNM.

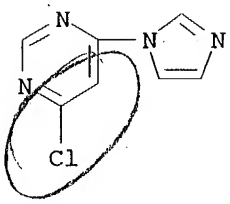
IT **114834-02-5P**

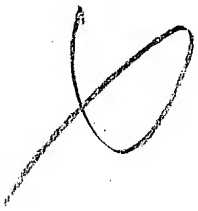
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of benzimidazoles as modulators of the GABAA receptor complex)

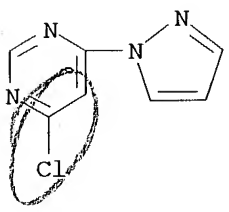
RN 114834-02-5 CAPLUS

CN Pyrimidine, 4-chloro-6-(1H-imidazol-1-yl)- (9CI) (CA INDEX NAME)



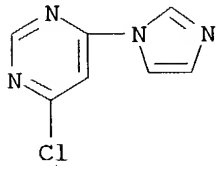


L6 ANSWER 32 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1996:596983 CAPLUS
DN 126:8058
TI Synthesis and cytoprotective antiulcer activity of 2- or 4-(1H-pyrazol-1-yl)pyrimidine derivatives related to mepirizole and dulcerozine
AU Ikeda, Masazumi; Maruyama, Kazumi; Nobuhara, Youichi; Yamada, Toshihiro; Okabe, Susumu
CS Kyoto Pharmaceutical Univ., Kyoto, 607, Japan
SO Chemical & Pharmaceutical Bulletin (1996), 44(9), 1700-1706
CODEN: CPBTAL; ISSN: 0009-2363
PB Pharmaceutical Society of Japan
DT Journal
LA English
AB A variety of (1H-pyrazol-1-yl)-, (1H-imidazol-1-yl)-, and (1H-1,2,4,-triazol-1-yl)pyrimidines were prepd. and evaluated for cytoprotective antiulcer activity. The compds. include members of structures I [R = pyrazol-1-yl, 3-methylpyrazol-1-yl, imidazol-1-yl, 1,2,4-triazol-1-yl; R1 = H, OMe, OEt; R2 = H, Me] and II [R = pyrazol-1-yl, imidazol-1-yl; R1 = OMe, OEt, OPh, NH2, NHMe, pyrrolidino, piperidino, H, SMe, etc.]. Among them, 4-methoxy-6-methyl-2-(1H-pyrazol-1-yl)pyrimidine (III) showed potent inhibition of HCl-EtOH-induced and water-immersion stress-induced ulcers in rats, as well as low acute toxicity.
IT **114833-95-3P**, 4-Chloro-6-(1H-pyrazol-1-yl)pyrimidine
114834-02-5P, 4-Chloro-6-(1H-imidazol-1-yl)pyrimidine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of azolylpyrimidines as cytoprotective antiulcer agents)
RN 114833-95-3 CAPLUS
CN Pyrimidine, 4-chloro-6-(1H-pyrazol-1-yl)- (9CI) (CA INDEX NAME)



no R^2

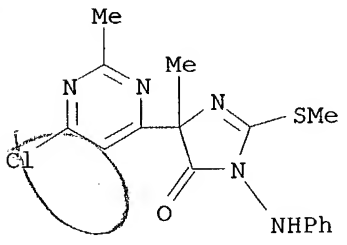
RN 114834-02-5 CAPLUS
CN Pyrimidine, 4-chloro-6-(1H-imidazol-1-yl)- (9CI) (CA INDEX NAME)



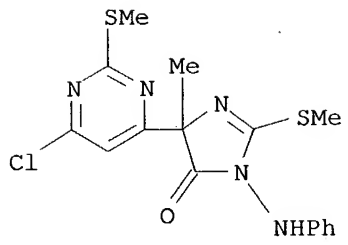
L6 ANSWER 33 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1996:349673 CAPLUS
DN 125:10818
TI Preparation of 2-imidazoline-5-ones as agrochemical fungicides
IN Emeric, Gilbert; Hutt, Jean; Perez, Joseph
PA Rhone Poulenc Agrochimie, Fr.
SO PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9602538	A1	19960201	WO 1995-FR920	19950710
	W: AU, BG, BY, CA, CZ, HU, PL, RO, RU, SI, SK, UA, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	FR 2722499	A1	19960119	FR 1994-8935	19940713
	FR 2722499	B1	19960823		
	AU 9529311	A1	19960216	AU 1995-29311	19950710
PRAI	FR 1994-8935		19940713		
	WO 1995-FR920		19950710		

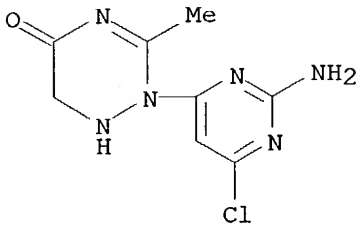
OS MARPAT 125:10818
AB Title compds. [I; R1 = H, vinyl, allyl, haloalkyl, etc.; R2 = heteroaryl; R3 = H (n = 0), (halo)alkyl, cyclopropyl; R4 = (hetero)aryl; R5 = H, alkyl, acyl, etc.; W = O, S, SO; Z = O or S; n = 0 or 1] were prepd. Thus, MeCR(CN)NCS (R = 2-phenyl-4-thiazolyl)(prepn. from 4-acetyl-2-phenylthiazole given) was cyclocondensed with PhNHNH2 and the product treated with MeI/KOCMe3 to give iminoimidazoline II (W = NH) which was hydrolized to II (W = O). Data for fungicidal activity of selected I were given.
IT **177487-67-1P 177487-68-2P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 2-imidazoline-5-ones as agrochem. fungicides)
RN 177487-67-1 CAPLUS
CN 4H-Imidazol-4-one, 5-(6-chloro-2-methyl-4-pyrimidinyl)-3,5-dihydro-5-methyl-2-(methylthio)-3-(phenylamino)- (9CI) (CA INDEX NAME)



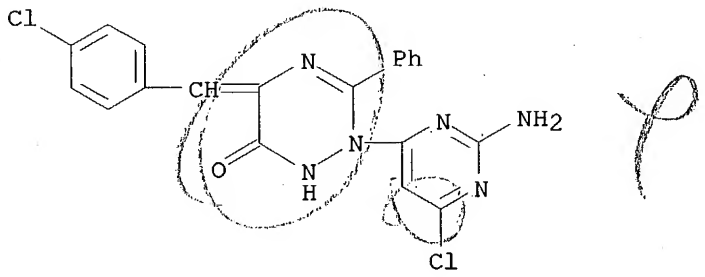
RN 177487-68-2 CAPLUS
CN 4H-Imidazol-4-one, 5-[6-chloro-2-(methylthio)-4-pyrimidinyl]-3,5-dihydro-5-methyl-2-(methylthio)-3-(phenylamino)- (9CI) (CA INDEX NAME)


SMe
Me
N
N
N
SMe
Cl
N
O
NHPh

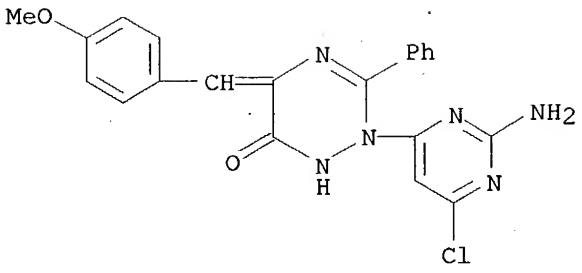
L6 ANSWER 34 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1994:323511 CAPLUS
DN 120:323511
TI Synthesis of some new 1,2,4-triazines containing aminopyrimidine moiety
AU Seada, M.; Abdel-Rahman, R. M.; Hanafy, F.
CS Fac. Educ., Ain Shams Univ., Cairo, Egypt
SO Journal of the Indian Chemical Society (1992), 69(12), 882-4
CODEN: JICSAH; ISSN: 0019-4522
DT Journal
LA English
AB A series of 1,2,4-triazino[4,3-c]pyrimidinones I (R = Ph, aryl) and pyrazolo[4,3-e][1,2,4]triazines II (same R) were prepd. starting from 2-amino-4-hydrazino-6-chloropyrimidine. Some (pyrimidinyl)triazinones III (same R) were also prepd.
IT **154989-44-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 154989-44-3 CAPLUS
CN 1,2,4-Triazin-5(2H)-one, 2-(2-amino-6-chloro-4-pyrimidinyl)-1,6-dihydro-3-methyl- (9CI) (CA INDEX NAME)



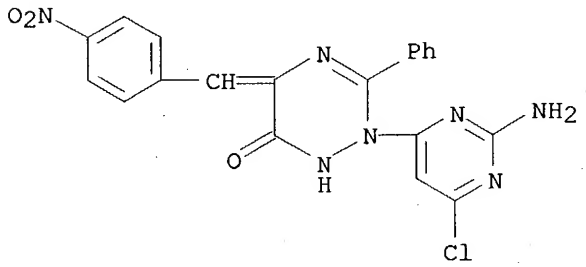
IT **154989-34-1P 154989-35-2P 154989-36-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for (pyrimidinyl)triazine)
RN 154989-34-1 CAPLUS
CN 1,2,4-Triazin-6(1H)-one, 2-(2-amino-6-chloro-4-pyrimidinyl)-5-[(4-chlorophenyl)methylene]-2,5-dihydro-3-phenyl- (9CI) (CA INDEX NAME)



RN 154989-35-2 CAPLUS
CN 1,2,4-Triazin-6(1H)-one, 2-(2-amino-6-chloro-4-pyrimidinyl)-2,5-dihydro-5-[(4-methoxyphenyl)methylene]-3-phenyl- (9CI) (CA INDEX NAME)



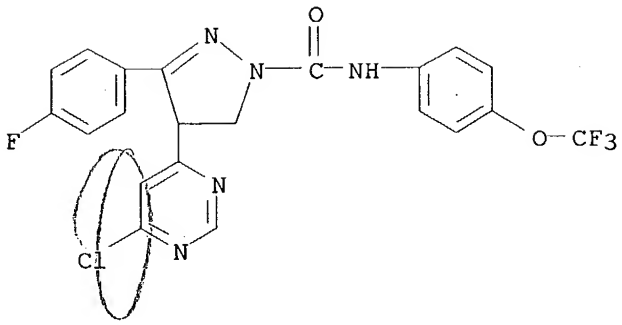
RN 154989-36-3 CAPLUS
CN 1,2,4-Triazin-6(1H)-one, 2-(2-amino-6-chloro-4-pyrimidinyl)-2,5-dihydro-5-[(4-nitrophenyl)methylene]-3-phenyl- (9CI) (CA INDEX NAME)



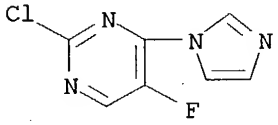
L6 ANSWER 35 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1993:101947 CAPLUS
DN 118:101947
TI Preparation of 3,4,N-triaryl-4,5-dihydro-1H-pyrazole-1-carboxamides as insecticides
IN McLaren, Kevin L.; Hertlein, Mark B.; Pechacek, James T.; Ricks, Michael J.; Tong, Yulan C.; Karr, Laura L.
PA DowElanco, USA
SO Eur. Pat. Appl., 36 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 508469	A1	19921014	EP 1992-106270	19920410
	EP 508469	B1	19990303		
	R: CH, DE, ES, FR, GB, GR, IT, LI, NL, PT				
	US 5250532	A	19931005	US 1992-842834	19920227
	JP 06025225	A2	19940201	JP 1992-113255	19920407
	CA 2065746	AA	19921012	CA 1992-2065746	19920410
	AU 9214825	A1	19921015	AU 1992-14825	19920410
	AU 646942	B2	19940310		
	HU 60729	A2	19921028	HU 1992-1236	19920410
	BR 9201305	A	19921201	BR 1992-1305	19920410
	CN 1067426	A	19921230	CN 1992-102609	19920410
	US 5493024	A	19960220	US 1993-71870	19930602
PRAI	US 1991-684525		19910411		
	US 1992-842834		19920227		

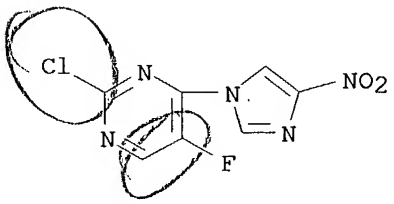
OS MARPAT 118:101947
AB Title compds. [I; R = C(:W)NTZ; Y = pyridyl, quinolyl, pyrimidyl, etc.; X = groups cited for Y, Ph, etc.; Z = groups cited for X, etc.; T = H, alkanoyl, alkoxycarbonyl, PhS, etc.; W = O, S] were prepd. Thus, 4-ClC6H4COMe was condensed with 2-fluoro-5-(trifluoromethyl)pyridine and the product condensed with CH2(NMe2)2 to give 4-ClC6H4COCY:CH2 (Y = 5-trifluoromethyl-2-pyridiyl) which was cyclocondensed with H2NNH2 to give I (X = 4-ClC6H5, Y = 5-trifluoromethyl-2-pyridyl) (II; R = H). The latter was condensed with 4-(MeS)C6H4NCO to give II [R = CONHC6H4(SMe)-4]. I [X = Ph, Y = 5-pyrimidinyl, R = CONHC6H4(OCF3)-4] had LC50 of 0.9 and 1.2 ppm in contact and ingestion test against Spodoptera exigua and Heliothis visescens, resp.
IT **145834-10-2P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as insecticide)
RN 145834-10-2 CAPLUS
CN 1H-Pyrazole-1-carboxamide, 4-(6-chloro-4-pyrimidinyl)-3-(4-fluorophenyl)-4,5-dihydro-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)


O
N
N
C
NH
F
O
CF3
N
N
Cl

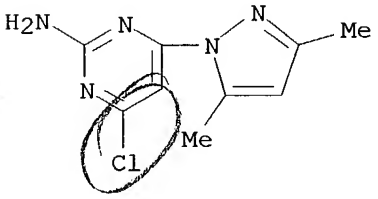
L6 ANSWER 36 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1993:101909 CAPLUS
DN 118:101909
TI Synthesis and amination of 2,4-dichloro-5-fluoropyrimidine
AU Kovalenko, A. L.; Krutikov, V. I.; Zolotukhina, M. M.; Alekseeva, L. E.
CS VNITekhnol. Inst. Antibiot. Ferment. Med. Naznachen., St. Petersburg, Russia
SO Zhurnal Obshchei Khimii (1992), 62(6), 1363-6
CODEN: ZOKHA4; ISSN: 0044-460X
DT Journal
LA Russian
AB Chlorination of 5-fluorouracil by PCl5 in the absence of solvent gave 92% dichlorofluoropyrimidine I which underwent amination by primary, secondary, and heterocyclic amines in aq. soln. to give 42-100% amines II [R = NH2, H2NC(:NH)NH, CH2:CHCH2NH, piperidino, 1-propylpiperazinyl, morpholino, imidazol-1-yl]. Amines II were fungicidal at 100 .mu.g/mL against Candida, Cryptococcus, Aspergillus et al.
IT **145694-79-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and fungicidal activity activity of)
RN 145694-79-7 CAPLUS
CN Pyrimidine, 2-chloro-5-fluoro-4-(1H-imidazol-1-yl)- (9CI) (CA INDEX NAME)



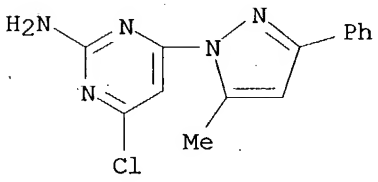
IT **139336-22-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 139336-22-4 CAPLUS
CN Pyrimidine, 2-chloro-5-fluoro-4-(4-nitro-1H-imidazol-1-yl)- (9CI) (CA INDEX NAME)



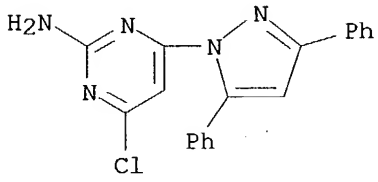
L6 ANSWER 37 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1992:448481 CAPLUS
DN 117:48481
TI Synthesis of some new heterocyclic compounds derived from 2-amino-4-hydrazino-6-substituted pyrimidines
AU Seada, M.; Abdel-Rahman, R. M.; El-Behairy, M.; Hanafy, Fatin
CS Fac. Educat., Ain Shams Univ., Roxy, Egypt
SO Asian Journal of Chemistry (1992), 4(3), 604-14
CODEN: AJCHEW; ISSN: 0970-7077
DT Journal
LA English
AB A no. of new heterocyclic compds. contg. 2-amino-6-substituted pyrimidin-4-yl moiety were prepd. from the reactions of 2-amino-4-hydrazinopyrimidines I (R = Cl, Me). The structures of the prepd. compds. were established by elemental and spectral anal.
IT **142077-26-7P 142077-27-8P 142077-28-9P**
142077-31-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 142077-26-7 CAPLUS
CN 2-Pyrimidinamine, 6-chloro-4-(3,5-dimethyl-1H-pyrazol-1-yl)- (9CI) (CA INDEX NAME)



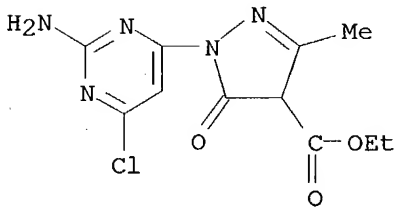
RN 142077-27-8 CAPLUS
CN 2-Pyrimidinamine, 6-chloro-4-(5-methyl-3-phenyl-1H-pyrazol-1-yl)- (9CI) (CA INDEX NAME)



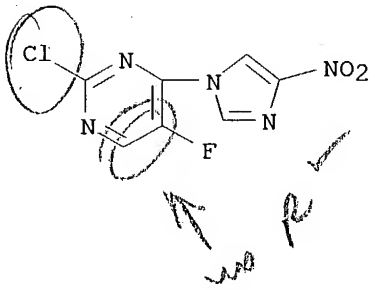
RN 142077-28-9 CAPLUS
CN 2-Pyrimidinamine, 6-chloro-4-(3,5-diphenyl-1H-pyrazol-1-yl)- (9CI) (CA INDEX NAME)



RN 142077-31-4 CAPLUS
CN 1H-Pyrazole-4-carboxylic acid, 1-(2-amino-6-chloro-4-pyrimidinyl)-4,5-dihydro-3-methyl-5-oxo-, ethyl ester (9CI) (CA INDEX NAME)



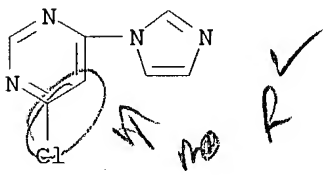
L6 ANSWER 38 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1992:128855 CAPLUS
DN 116:128855
TI Reaction of 5-nitroimidazole with 2,4-dichloro-5-fluoropyrimidine
AU Kovalenko, A. L.; Smirnov, S. N.; Alekseeva, L. E.; Gindin, V. A.
CS Vses. Nauchno-Issled. Inst. Antibiot. Ferment. Med. Naznachen., Leningrad, USSR
SO Zhurnal Obshchei Khimii (1991), 61(9), 2126-7
CODEN: ZOKHA4; ISSN: 0044-460X
DT Journal
LA Russian
AB The title reaction gives only one of the 2 possible regioisomeric products, I, in 26% yield.
IT **139336-22-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 139336-22-4 CAPLUS
CN Pyrimidine, 2-chloro-5-fluoro-4-(4-nitro-1H-imidazol-1-yl)- (9CI) (CA INDEX NAME)



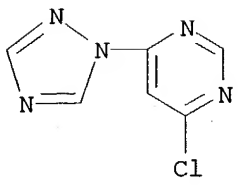
L6 ANSWER 39 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1991:536117 CAPLUS
DN 115:136117
TI Preparation of 2-(2-pyrimidinyloxyphenyl)-3-methoxypropenoic acid methyl esters as agrochemical fungicides
IN Clough, John Martin; Godfrey, Christopher Richard Ayles; Streeting, Ian Thomas; Bacon, David Philip
PA Imperial Chemical Industries PLC, UK
SO Eur. Pat. Appl., 29 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 430471	A1	19910605	EP 1990-312244	19901108
	EP 430471	B1	19940907		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	ES 2058818	T3	19941101	ES 1990-312244	19901108
	AU 9066531	A1	19910718	AU 1990-66531	19901113
	US 5179098	A	19930112	US 1990-616454	19901120
	JP 03220178	A2	19910927	JP 1990-315675	19901122
	JP 3025001	B2	20000327		
	US 5314892	A	19940524	US 1992-957232	19921006
PRAI	GB 1989-26630	A	19891124		
	US 1990-616454	A1	19901120		

OS MARPAT 115:136117
AB The title compds. [I; any 2 of K, L, and M = N and the other = CH; X = (un)substituted 3- to 6-membered heterocyclyl] are prepd. Thus, to a soln. of 4,6-dichloropyrimidine in DMF was added K2CO3 at 0.degree. followed by a soln. of (E)-Me 2-(2-hydroxyphenyl)-3-methoxypropenoate in DMF and the mixt. was stirred at room temp. over the weekend to give (E)-I (K = L = N, M = CH) (II; X = Cl) which was condensed with 3-methyl-2-pyridone and Ag2CO3 in refluxing PhMe to give II (X = 3-methyl-2-oxopyridinyl). II (X = imidazol-1-yl) at 10 ppm foliar spray controlled 60-100% 5 fungi, e.g. Erysiphe graminis in barley plants and Pyricularia oryzae in rice plants. Addnl. 4 I were prepd. and tested for antifungal activities.
IT **114834-02-5P 135948-75-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for (pyrimidinyloxyphenyl)propenoate fungicide)
RN 114834-02-5 CAPLUS
CN Pyrimidine, 4-chloro-6-(1H-imidazol-1-yl)- (9CI) (CA INDEX NAME)



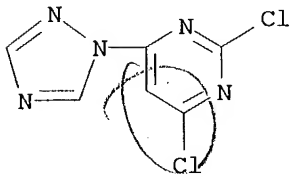
RN 135948-75-3 CAPLUS
CN Pyrimidine, 4-chloro-6-(1H-1,2,4-triazol-1-yl)- (9CI) (CA INDEX NAME)


N
N
N
N
N
Cl

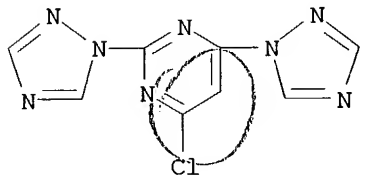
L6 ANSWER 40 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1991:466812 CAPLUS
DN 115:66812
TI Synergistic herbicides containing benzothiadiazine and azolylpyrimidine and/or azolyltriazine derivatives.
IN Zipplies, Matthias; Sauter, Hubert; Tuerk, Wolfgang; Moore, Barbara Auxier; Carlson, Dale R.; Wuerzer, Bruno; Zorner, Paul Steffen; Westphalen, Karl Otto
PA BASF A.-G., Germany
SO Eur. Pat. Appl., 25 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 421266	A1	19910410	EP 1990-118529	19900927
R: BE, CH, DE, FR, GB, IT, LI, NL				
CA 2027187	AA	19910411	CA 1990-2027187	19901009
PRAI US 1989-418222		19891006		

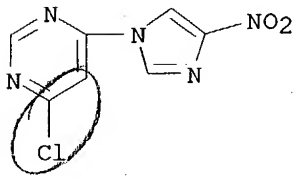
OS MARPAT 115:66812
AB Synergistic herbicide compns. contain I (R3 = H, halo, C1-4 alkyl or alkoxy; R4 = H or cyano) or a I salt, and II [e.g. 2-(1-imidazolyl)pyrimidine, prepn. given] and/or III (R1 = substituted 5 membered-heterocyclyl, contg. N and bound over N; R2 = halo, OH, C1-4 alkyl, haloalkyl, alkoxy, etc.) or their salt. The herbicides are useful for crops, such as rice, wheat, bean, etc.
IT **135052-29-8P 135052-30-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, synergistic herbicide contg. benzothiadiazine and)
RN 135052-29-8 CAPLUS
CN Pyrimidine, 2,4-dichloro-6-(1H-1,2,4-triazol-1-yl)- (9CI) (CA INDEX NAME)



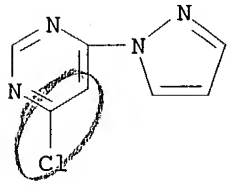
RN 135052-30-1 CAPLUS
CN Pyrimidine, 4-chloro-2,6-bis(1H-1,2,4-triazol-1-yl)- (9CI) (CA INDEX NAME)



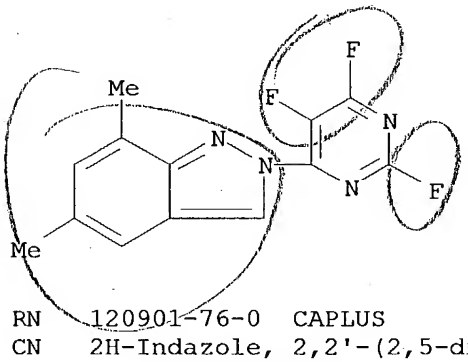
L6 ANSWER 41 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1990:178783 CAPLUS
DN 112:178783
TI Improved syntheses of N-substituted nitroimidazoles
AU Searcey, M.; Pye, P. L.; Lee, J. B.
CS Chem. Sci. Div., Hatfield Polytech., Hatfield, AL10 9AB, UK
SO Synthetic Communications (1989), 19(7-8), 1309-15
CODEN: SYNCAV; ISSN: 0039-7911
DT Journal
LA English
OS CASREACT 112:178783
AB The prepn. of 1-substituted 4-nitroimidazoles by reaction of tetrabutylammonium 4(5)-nitroimidazole (I, R = Bu4N) with toluenesulfonyl, aryl and alkyl halides is reported. Thus, I (R = Bu4N) was treated with PhCH2Br in DMF at ambient temp. for 7 days to give 70% I (R = PhCH2).
IT **126401-83-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 126401-83-0 CAPLUS
CN Pyrimidine, 4-chloro-6-(4-nitro-1H-imidazol-1-yl)- (9CI) (CA INDEX NAME)



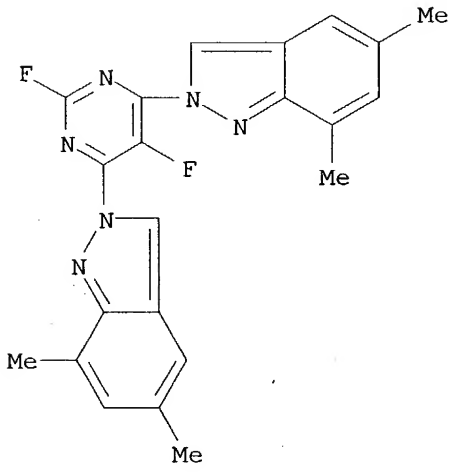
L6 ANSWER 42 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1989:594717 CAPLUS
DN 111:194717
TI Syntheses of new pyrazole-derived chelating ligands
AU Steel, Peter J.; Constable, Edwin C.
CS Chem. Dep., Univ. Canterbury, Christchurch, N. Z.
SO Journal of Chemical Research, Synopses (1989), (7), 189
CODEN: JRPSDC; ISSN: 0308-2342
DT Journal
LA English
OS CASREACT 111:194717
AB The title compds. I (R = 2-pyrimidinyl, 2-pyrazinyl, 4-pyrimidinyl, 3-pyridazinyl, 2-quinolinyl, 2-thiazolyl, 4-thiazolyl, 2-benzothiazolyl, 2-benzoxazolyl) were prepd. via substitution of Na pyrazolide with heteroarom. halides. Thus, pyrazole was treated with NaH in THF and then 2-chloropyrazine to give 72% I (R = 2-pyrazinyl).
IT **114833-95-3P**, 4-Chloro-6-(1-pyrazolyl)pyrimidine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and hydrogenolysis of)
RN 114833-95-3 CAPLUS
CN Pyrimidine, 4-chloro-6-(1H-pyrazol-1-yl)- (9CI) (CA INDEX NAME)



L6 ANSWER 43 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1989:231570 CAPLUS
DN 110:231570
TI Thermal conversion of fluorinated azo compounds into indazoles: the case of 2,5,6-trifluoro-4-(2,4,6-trimethylphenylazo)pyrimidine
AU Alty, Adam Charles; Banks, Ronald Eric
CS Inst. Sci., Univ. Manchester, Manchester, M60 1QD, UK
SO Journal of Fluorine Chemistry (1988), 41(3), 439-42
CODEN: JFLCAR; ISSN: 0022-1139
DT Journal
LA English
OS CASREACT 110:231570
AB Thermal dehydrofluorination of 2,5,6-trifluoro-4-(2,4,6-trimethylphenylazo)pyrimidine in boiling xylene to 2,4-difluoro-7,9-dimethyl-5H-pyrimido[4,5-c]benzo[1,2]diazepine is accompanied by the formation, inter alia, of 5,7-dimethyl-2-(2,5,6-trifluoropyrimidin-4-yl)-2H-indazole. The latter is formed when tetrafluoropyrimidine is treated with 5,7-dimethylindazole.
IT **120870-30-6P 120901-76-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 120870-30-6 CAPLUS
CN 2H-Indazole, 5,7-dimethyl-2-(2,5,6-trifluoro-4-pyrimidinyl)- (9CI) (CA INDEX NAME)



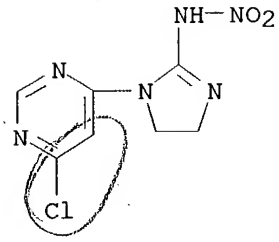
RN 120901-76-0 CAPLUS
CN 2H-Indazole, 2,2'-(2,5-difluoro-4,6-pyrimidinediyl)bis[5,7-dimethyl- (9CI) (CA INDEX NAME)



L6 ANSWER 44 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1989:8210 CAPLUS
DN 110:8210
TI Preparation of insecticidal 2-(nitroimino or cyanoimino)imidazolidine and -hexahydropyrimidine derivatives, process for their preparation, and their intermediates
IN Shiokawa, Kozo; Tsuboi, Shinichi; Moriie, Koichi; Shibuya, Katsuhiko
PA Nihon Tokushu Noyaku Seizo K. K., Japan
SO Jpn. Kokai Tokkyo Koho, 49 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 63156786	A2	19880629	JP 1986-301333	19861219
	JP 07084461	B4	19950913		
	EP 277317	A1	19880810	EP 1987-118054	19871207
	EP 277317	B1	19910403		
	R: BE, CH, DE, FR, GB, IT, LI, NL				
	US 4880933	A	19891114	US 1987-130376	19871208
	IL 84843	A1	19920621	IL 1987-84843	19871216
	CA 1320202	A1	19930713	CA 1987-554583	19871217
	BR 8706927	A	19880726	BR 1987-6927	19871218
	HU 47085	A2	19890130	HU 1987-5872	19871218
	HU 200753	B	19900828		
	JP 07278140	A2	19951024	JP 1994-291932	19941102
	JP 3209649	B2	20010917		
PRAI	IL 1986-77750	A	19860131		
	JP 1986-301333	A	19861219		

OS CASREACT 110:8210; MARPAT 110:8210
AB The title compds. [I; R = H, alkyl; W = 5- or 6-membered heterocyclyl contg. at least 1 N, O, S; Y = O2N, cyano; A = (un)substituted (CH2)2-3; Z = (un)substituted alkyl, alkenyl, alkynyl, aryl, alkoxy, alkylthio, arylthio, or cycloalkyl, cyano, CHO, aryloxy, alkenyloxy, (un)substituted heterocyclyl contg. N, O, or S, (un)substituted (thio)carbamoyl, CO2R1, etc.; R1 = Q, (un)substituted heterocyclyl contg. N, O, or S; T = S, S2, (CO)2, C(S), S(O)2], useful as insecticides, were prepd. 60% NaH (0.4 g) was added at room temp. to a soln. of 3.2 g 1-[2-(3,5-dichloropyrid-2-yloxy)ethyl]-2-nitroiminoimidazolidine in DMF and the mixt. was stirred until evolution of H ceased. Then, 1.7 g 2-chloro-5-(chloromethyl)thiazole was added at room temp. and the mixt. was stirred at room temp. for 1 h and at 40.degree. for 30 min to give 2.7 g an imidazolidine deriv. II. I at .ltoreq.200 ppm exhibited excellent insecticidal activity against Nephotettix cincticeps and Sogatella furcifera.
IT **117905-55-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for insecticide)
RN 117905-55-2 CAPLUS
CN 1H-Imidazol-2-amine, 1-(6-chloro-4-pyrimidinyl)-4,5-dihydro-N-nitro- (9CI) (CA INDEX NAME)


NH—NO2
N
N
N
N
Cl

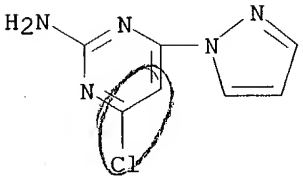
L6 ANSWER 45 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1988:510453 CAPLUS
DN 109:110453
TI Preparation of N-(arylsulfonyl)-N'-(triazinyl)pyrimidinylureas as herbicides
IN Eicken, Karl; Plath, Peter; Wuerzer, Bruno; Meyer, Norbert
PA BASF A.-G., Fed. Rep. Ger.
SO Ger. Offen., 13 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3639563	A1	19880601	DE 1986-3639563	19861120
	US 4808211	A	19890228	US 1987-116902	19871105
	EP 268295	A2	19880525	EP 1987-117076	19871119
	EP 268295	A3	19890809		
	EP 268295	B1	19921007		
	R: DE, FR, GB, IT				
PRAI	DE 1986-3639563		19861120		

OS CASREACT 109:110453; MARPAT 109:110453
AB The title compds. [I; R = Ph or thienyl moieties Q-Q2; R1 = H, C1-3 alkyl; R2 = C1-3 (halo)alkyl, C1-3 (halo)alkoxy, C1-3 alkylthio, halo; R3 = N-attached azolyl; R4 = H, C1-4 (halo)alkyl, C1-4 alkoxy, R7S(O)m, R8CO, halo, cyano, NO2, esterified SO3H; R5 = H, halo, Me, Et, MeO, EtO; R6 = H, MeO, halo, NO2; R7 = C1-4 alkyl; R8 = H, C1-4 alkyl, C3-5 alkenyloxy, C3-5 alkynyloxy, C1-5 alkylthio, PhO, PhCH2O, (un)substituted C1-5 alkoxy; X = O, S; Y = CH, N; m = 0-2] and their salts were prepd. as herbicides (no data). 1,2,4-Triazole (7.6 g) was treated with NaH in DMF followed by addn. of 14.4 g 2-amino-4-chloro-6-methylpyrimidine and stirring the mixt. 3 h at 100.degree. to give 11.8 g 2-amino-4-methyl-6-(1,2,4-triazol-1-yl)pyrimidine. The latter (6.3 g) was stirred at room temp. with 8.6 g 2-MeO2CC6H4SO2NCO in THF contg. diazabicyclooctane to give 12.6 g triazolylpyrimidinylurea II. Several I were tested preemergence at 0.06 kg/ha and postemergence at 0.0075-0.25 kg/ha, giving good control of weeds, esp. grasses, and being well tolerated by crop plants.

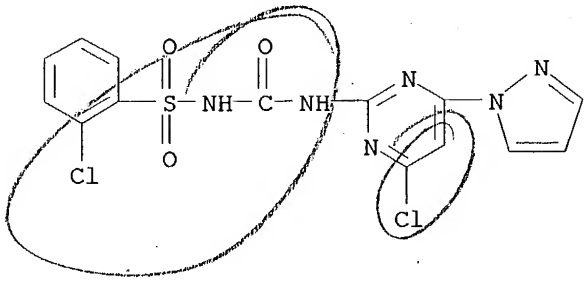
IT **115930-71-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and carbamoylation of, in prepn. of herbicides)

RN 115930-71-7 CAPLUS
CN 2-Pyrimidinamine, 4-chloro-6-(1H-pyrazol-1-yl)- (9CI) (CA INDEX NAME)



IT **115930-86-4P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as herbicide)

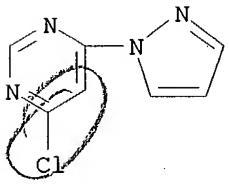
RN 115930-86-4 CAPLUS
CN Benzenesulfonamide, 2-chloro-N-[[[4-chloro-6-(1H-pyrazol-1-yl)-2-pyrimidinyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



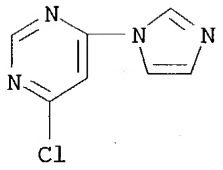
L6 ANSWER 46 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1988:406543 CAPLUS
DN 109:6543
TI Preparation, testing, and formulation of heterocyclylpyrimidines as ulcer inhibitors
IN Ikeda, Masazumi; Okabe, Susumu
PA Nissin Food Products Co., Ltd., Japan
SO Eur. Pat. Appl., 28 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 257850	A2	19880302	EP 1987-306868	19870803
	EP 257850	A3	19890823		
	EP 257850	B1	19931208		
	R: BE, CH, DE, FR, GB, IT, LI				
	JP 63039875	A2	19880220	JP 1986-184484	19860805
	JP 05024917	B4	19930409		
	US 4849424	A	19890718	US 1987-82056	19870805
	CA 1291757	A1	19911105	CA 1987-543812	19870805
PRAI	JP 1986-184484		19860805		

OS CASREACT 109:6543; MARPAT 109:6543
AB The title compds. (I; R1 = pyrazolyl, imidazolyl, triazolyl; R2 = H, alkyl; R3 = halo, amino, alkoxy, R1, piperidinyl, aryloxy; one of X, Y = N, the other = CH) were prepd. as ulcer inhibitors. Pyrazole was stirred with NaH in THF under cooling. 4,6-Dichloropyrimidine in THF was then added under cooling and the mixt. was stirred at room temp. for 12 h to give 4,6-bis(1-pyrazolyl)pyrimidine (II). At 10 mg/kg orally in rats II gave 95.6% inhibition of HCl-EtOH induced ulcer.
IT **114833-95-3P 114834-02-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as ulcer inhibitor)
RN 114833-95-3 CAPLUS
CN Pyrimidine, 4-chloro-6-(1H-pyrazol-1-yl)- (9CI) (CA INDEX NAME)



RN 114834-02-5 CAPLUS
CN Pyrimidine, 4-chloro-6-(1H-imidazol-1-yl)- (9CI) (CA INDEX NAME)


N
N
N
N
Cl

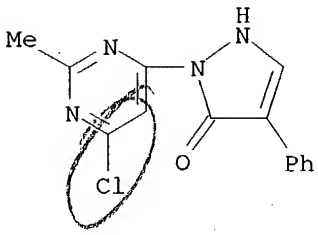
L6 ANSWER 47 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1986:553057 CAPLUS
DN 105:153057
TI 1-Heteroaryl-4-arylpyrazolin-5-ones for use as pharmaceuticals
IN Sasse, Klaus; Hammond, Michael; Seuter, Friedel; Perzborn, Elisabeth; Pelster, Bernhard; Sturton, Graham; Abram, Trevor
PA Bayer A.-G. , Fed. Rep. Ger.
SO Ger. Offen., 72 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3443308	A1	19860528	DE 1984-3443308	19841128
	EP 183159	A2	19860604	EP 1985-114618	19851118
	EP 183159	A3	19870114		
	R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE				
	US 4698344	A	19871006	US 1985-800485	19851121
	ES 549299	A1	19871216	ES 1985-549299	19851126
	JP 61137878	A2	19860625	JP 1985-265156	19851127
	ZA 8509065	A	19860730	ZA 1985-9065	19851127
PRAI	DE 1984-3443308		19841128		

AB The title compds. [I; A = halo, OH, alkyl, fluoroalkyl, fused carbocyclic or heterocyclic residue, (substituted) alkoxy, phenoxy, alkylthio, alkylsulfonyl; M = H, halo, alkoxy, alkylthio, alkyl, haloalkyl, NO2, cyano, CONH2, fused benzo ring; R = H, alkyl; X, Y, Z = N, CH, CM; m = 0-5; n = 0-4] were prepd. as lipoxygenase inhibitors useful for treatment of respiratory, circulatory, and inflammatory disorders, dermatosis, and metastases, and for cytoprotection in the gastrointestinal tract. For example, Et (4-chlorophenyl)acetate was treated with DMF di-Me acetal. The resulting Et [(dimethylamino)methylene](4-chlorophenyl)acetate reacted with 2-hydrazinopyrimidine to give I (A = 4-Cl; M = R = H; X = Z = N; Y = CH; m = 1). Selected I inhibited human lipoxygenase 85-100% at 1-10 .mu.g/mL.

IT **101770-71-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, for cardiovascular, inflammatory and respiratory disease treatment)

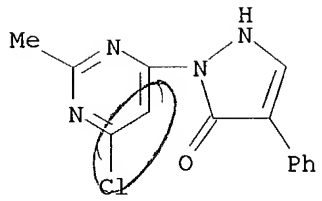
RN 101770-71-2 CAPLUS
CN 3H-Pyrazol-3-one, 2-(6-chloro-2-methyl-4-pyrimidinyl)-1,2-dihydro-4-phenyl- (9CI) (CA INDEX NAME)



L6 ANSWER 48 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1986:406504 CAPLUS
DN 105:6504
TI 1-Heteroaryl-4-arylpyrazolin-5-ones
IN Sasse, Klaus; Brandes, Wilhelm; Haenssler, Gerd; Reinecke, Paul
PA Bayer A.-G. , Fed. Rep. Ger.
SO Ger. Offen., 60 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3419127	A1	19851128	DE 1984-3419127	19840523
	US 4663327	A	19870505	US 1985-733450	19850510
	EP 165448	A2	19851227	EP 1985-105794	19850511
	EP 165448	A3	19880907		
	EP 165448	B1	19911016		
	R: AT, BE, CH, DE, FR, GB, IT, LI, NL				
	AT 68493	E	19911115	AT 1985-105794	19850511
	AU 8542565	A1	19851128	AU 1985-42565	19850516
	AU 571971	B2	19880428		
	IL 75240	A1	19880731	IL 1985-75240	19850520
	BR 8502395	A	19860121	BR 1985-2395	19850521
	CA 1261331	A1	19890926	CA 1985-481883	19850521
	DK 8502283	A	19851124	DK 1985-2283	19850522
	JP 60255788	A2	19851217	JP 1985-108473	19850522
	JP 06070033	B4	19940907		
	ZA 8503876	A	19860129	ZA 1985-3876	19850522
	ES 543386	A1	19860516	ES 1985-543386	19850522
	HU 38502	A2	19860630	HU 1985-1957	19850523
	HU 196691	B	19890130		
PRAI	DE 1984-3419127		19840523		
	DE 1984-3430433		19840818		
	EP 1985-105794		19850511		

OS CASREACT 105:6504
AB The title compds. [I; R = H, alkyl; R1 = halo, OH, NO2, R3S(O)p, condensed carbocycle or heterocycle, (un)substituted alkyl, alkoxy, amino, PhO; R2 = alkoxy, alkylthio, halo, NO2, cyano, CONH2, condensed carbocycle, (un)substituted alkyl; R3 = (un)substituted alkyl; X, Y, Z = N, CH, CR2; m = 0-5; n = 0-4; p = 0-2] were prepd. Thus, HOCH:CPhCO2Et and 2-hydrazinopyrimidine were cyclocondensed by refluxing 3 h in EtOH to give 63% pyrimidinylpyrazolinone II.
IT **101770-71-2P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as agricultural fungicide)
RN 101770-71-2 CAPLUS
CN 3H-Pyrazol-3-one, 2-(6-chloro-2-methyl-4-pyrimidinyl)-1,2-dihydro-4-phenyl- (9CI) (CA INDEX NAME)


Me
N
N
Cl
N
H
N
O
Ph

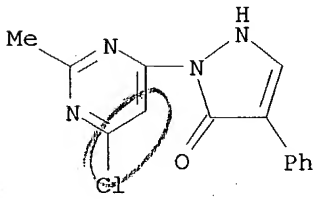
L6 ANSWER 49 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1986:186404 CAPLUS
DN 104:186404
TI 2-Heteroaryl-4-aryl-4-pyrazolin-3-ones
IN Sasse, Klaus; Brandes, Wilhelm; Haenssler, Gerd; Reinecke, Paul; Schmitt, Hans Georg; Paulus, Wilfried
PA Bayer A.-G. , Fed. Rep. Ger.
SO Eur. Pat. Appl., 66 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 165448	A2	19851227	EP 1985-105794	19850511
	EP 165448	A3	19880907		
	EP 165448	B1	19911016		
	R: AT, BE, CH, DE, FR, GB, IT, LI, NL				
	DE 3419127	A1	19851128	DE 1984-3419127	19840523
	DE 3430433	A1	19860227	DE 1984-3430433	19840818
	AT 68493	E	19911115	AT 1985-105794	19850511
PRAI	DE 1984-3419127		19840523		
	DE 1984-3430433		19840818		
	EP 1985-105794		19850511		

AB Fungicidal title compds. [I; R = H, alkyl; R1 = halo, OH, NO2, R3S(O)p, amino, (un)substituted alkyl, alkoxy, condensed carbocycle, heterocycle; R2 = alkoxy, alkylthio, halo, cyano, NO2, CONH2, (un)substituted alkyl, condensed carbocyclo; R3 = (un)substituted alkyl; X, Y, Z = N, CH, CR2; m = 0-5; n = 0-4; p = 0-2] were prepd. Thus, HOCH:CPhCO2Et and 2-hydrazinopyrimidine were refluxed in EtOH followed by addn. of aq. NaOH and further refluxing to give 63% I (R = H, X = Z = N, Y = CH, m = n = 0). I are more effective fungicides against, e.g., Phytophthora infestans on tomato plants than known agricultural fungicides.

IT **101770-71-2P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as agricultural fungicide)

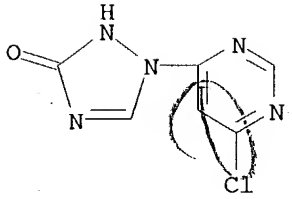
RN 101770-71-2 CAPLUS
CN 3H-Pyrazol-3-one, 2-(6-chloro-2-methyl-4-pyrimidinyl)-1,2-dihydro-4-phenyl- (9CI) (CA INDEX NAME)



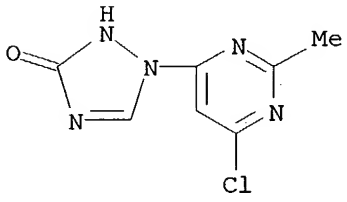
L6 ANSWER 50 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1982:85744 CAPLUS
DN 96:85744
TI Heterocyclic substituted triazolyl phosphorus compounds and their use as insecticides
IN Pawloski, Chester E.
PA Dow Chemical Co., USA
SO U.S., 30 pp. Cont.-in-part of U.S. Ser. No. 951,923, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4298602	A	19811103	US 1979-84697	19791015
	AU 7951573	A1	19800417	AU 1979-51573	19791008
	AU 529628	B2	19830616		
	DK 7904269	A	19800414	DK 1979-4269	19791010
	CA 1100967	A1	19810512	CA 1979-337298	19791010
	IL 58427	A1	19831031	IL 1979-58427	19791010
	ZA 7905431	A	19800924	ZA 1979-5431	19791011
	HU 25772	O	19830829	HU 1979-DO437	19791011
	HU 182946	B	19840328		
	EP 10891	A1	19800514	EP 1979-302206	19791012
	EP 10891	B1	19820901		
	R: BE, CH, DE, FR, IT, LU, NL, SE				
	GB 2035325	A	19800618	GB 1979-35448	19791012
	GB 2035325	B2	19830302		
	BR 7906567	A	19800715	BR 1979-6567	19791012
	IN 151525	A	19830514	IN 1979-CA1069	19791012
	US 4357327	A	19821102	US 1981-260533	19810504
	US 4357328	A	19821102	US 1981-260550	19810504
	US 4400516	A	19830823	US 1981-260527	19810504
	US 4433148	A	19840221	US 1982-440933	19821112
	US 4451653	A	19840529	US 1982-440934	19821112
PRAI	US 1978-951923		19781013		
	US 1979-84697		19791015		
	US 1981-260527		19810504		

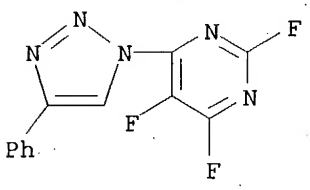
OS CASREACT 96:85744
AB Approx. 100 insecticidal and acaricidal title compds. [I; R1 = H, halo, C1-4 alkyl, C3-6 cycloalkyl, Ph, PhS, C1-4 alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, SCN, CF3, CCl3, amino; R2 = heterocyclic radical, R3 = Me, Et, Pr, Me2CHCH2; R4 = MeO, EtO, PrO, Et, amino, C1-4 alkylthio, Ph; Z = O, S] were prepd. by esterification of hydroxytriazoles II with XP(Z)(OR3)R4 (X = halo). Thus, 0.05 mol II (R1 = H, R2 = 6-fluoro-2-pyridinyl) and 0.05 mol ClP(S)(OEt)2 gave 84% I (R1 = H, R2 = 6-fluoro-2-pyridinyl, R3 = Et, R4 = OEt, Z = S) (III). At <1.5 ppm, III gave 100% kill of Western spotted cucumber beetle larvae.
IT **80713-87-7P 80713-89-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and esterification of, with phosphorus acid halides)
RN 80713-87-7 CAPLUS
CN 3H-1,2,4-Triazol-3-one, 1-(6-chloro-4-pyrimidinyl)-1,2-dihydro- (9CI) (CA INDEX NAME)



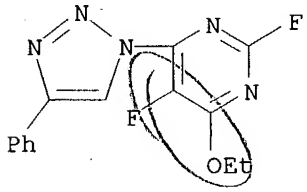
RN 80713-89-9 CAPLUS
CN 3H-1,2,4-Triazol-3-one, 1-(6-chloro-2-methyl-4-pyrimidinyl)-1,2-dihydro-
(9CI) (CA INDEX NAME)



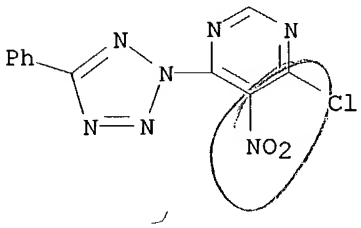
L6 ANSWER 51 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1981:65612 CAPLUS
DN 94:65612
TI Studies in azide chemistry. Part IX. Investigations involving fluorinated azidopyrimidines and 4-azido-3-chloro-2,5,6-trifluoropyridine
AU Banks, R. E.; Prakash, A.; Venayak, N. D.
CS Inst. Sci. Technol., Univ. Manchester, Mancherster, M60 1QD, UK
SO Journal of Fluorine Chemistry (1980), 16(4), 325-38
CODEN: JFLCAR; ISSN: 0022-1139
DT Journal
LA English
OS CASREACT 94:65612
AB 4-Azido-2,5,6-trifluoro- and 4,6-diazido-2,5-difluoropyrimidine were obtained by treating tetrafluoropyrimidine with NaN3 in MeCN. A similar azidation of 5-chlorotrifluoropyrimidine gave 4-azido-5-chloro-2,6-difluoro- and 4,6-diazido-5-chloro-2-fluoro-pyrimidine. Each monoazide reacted with Ph3P to yield the corresponding iminophosphorane (Staudinger reaction), and the trifluoro compd. gave 4-phenyl-1-(2,5,6-trifluoro-4-pyrimidinyl)-1,2,3-triazole when heated with PhC.tplbond.CH and 2-cyano-1-(2,5,6-trifluoro-4-pyrimidinyl)aziridine when treated with H2C:CHCN. Attack on the trifluoro-azide by the sodium salt of pentafluoroaniline produced 4-azido-2,5-difluoro-6-(pentafluorophenylamino)pyrimidine and bis(4-azido-2,5-difluoro-6-pyrimidinyl)(pentafluorophenyl)amine. Attempts to intercept nitrenes during thermal decompn. of both monoazides failed. Thermolysis of 4-azido-3-chloro-2,5,6-trifluoropyridine in the presence of Me2SO, cyclohexane, or pentafluoroaniline gave products RN:S(O)Me2, RNHC6H11, and RN:NC6F5 (R = 3-chlorotrifluoro-4-pyridyl), resp., compatible with release of the corresponding nitrene.
IT **76411-51-3P 76411-52-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 76411-51-3 CAPLUS
CN Pyrimidine, 2,4,5-trifluoro-6-(4-phenyl-1H-1,2,3-triazol-1-yl)- (9CI) (CA INDEX NAME)



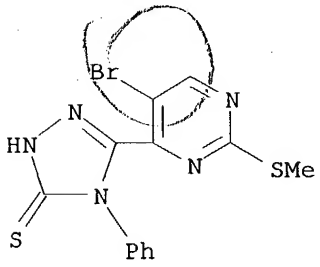
RN 76411-52-4 CAPLUS
CN Pyrimidine, 4-ethoxy-2,5-difluoro-6-(4-phenyl-1H-1,2,3-triazol-1-yl)- (9CI) (CA INDEX NAME)



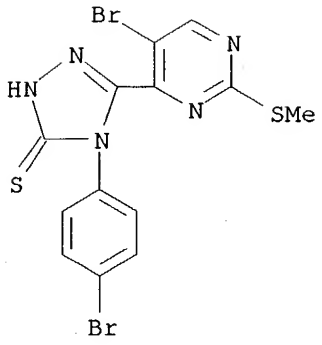
L6 ANSWER 52 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1978:579924 CAPLUS
DN 89:179924
TI N-hetaryltetrazoles. III. Competitive intramolecular 1,x-dipolar cyclizations. Thermally generated nitrilimines
AU Koennecke, Andreas; Doerre, Ronald; Lippmann, Eberhard
CS Sek. Chem., Karl Marx Univ., Leipzig, Ger. Dem. Rep.
SO Tetrahedron Letters (1978), (24), 2071-4
CODEN: TELEAY; ISSN: 0040-4039
DT Journal
LA German
OS CASREACT 89:179924
AB RC.tplbond.N+N-R1 (I, R, R1 = aryl) undergo preferential 1,5-dipolar intramol. cyclizations. E.g., I (R = C6H4NO2-2, R1 = COPh and II), formed by reaction of tetrazole III with PhCOCl and 7-chloro-5-methyltetrazolo[1,5-a]pyrimidine and thermolysis of the resulting tetrazoles IV, gave 92% oxadiazole V and 97% pyrimidine deriv. VI by 1,5-cyclizations.
IT **68028-54-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and thermolysis of, benzonitrilimine deriv. by)
RN 68028-54-6 CAPLUS
CN Pyrimidine, 4-chloro-5-nitro-6-(5-phenyl-2H-tetrazol-2-yl)- (9CI) (CA INDEX NAME)



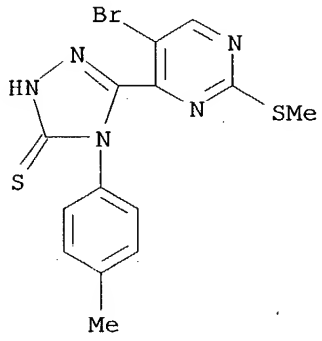
L6 ANSWER 53 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1976:494306 CAPLUS
DN 85:94306
TI Pyrimidines. VI. Synthesis of 2-methylthio-5-bromopyrimidine-4-carboxylic acid thiosemicarbazides, 3-pyrimidyl-1,2,4-4H-triazoles and 2-arylamino-1,3,4-thiadiazoles
AU Bennur, S. C.; Jigajinni, V. B.; Badiger, V. V.
CS Dep. Chem., Karnatak Univ., Dharwar, India
SO Revue Roumaine de Chimie (1976), 21(5), 757-62
CODEN: RRCHAX; ISSN: 0035-3930
DT Journal
LA English
OS CASREACT 85:94306
AB Me 2-methylthio-5-bromo-4-pyrimidinecarboxylate on reaction with NH2NH2.H2O gave the corresponding hydrazide, which was heated with p-RC6H4NCS (R = H, Br, Cl, Me, OMe, OEt) in EtOH at reflux to give thiosemicarbazides I, which (1) on cyclization with 5% aq. NaOH soln. at reflux gave triazoles II, and (2) on cyclization with H3PO4 at 120.degree. gave thiadiazoles III. The compds. prepd. were evaluated for antimicrobial and antitubercular activity; I did not show any antitubercular activity but some I showed antibacterial and antifungal activity; II and III also showed antibacterial activity but less than that of I.
IT **60137-57-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antibacterial activity of)
RN 60137-57-7 CAPLUS
CN 3H-1,2,4-Triazole-3-thione, 5-[5-bromo-2-(methylthio)-4-pyrimidinyl]-2,4-dihydro-4-phenyl- (9CI) (CA INDEX NAME)



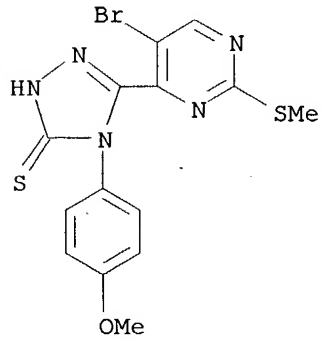
IT **60137-58-8P 60137-59-9P 60137-60-2P**
60137-61-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 60137-58-8 CAPLUS
CN 3H-1,2,4-Triazole-3-thione, 5-[5-bromo-2-(methylthio)-4-pyrimidinyl]-4-(4-bromophenyl)-2,4-dihydro- (9CI) (CA INDEX NAME)



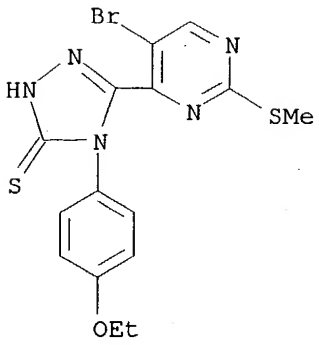
RN 60137-59-9 CAPLUS
CN 3H-1,2,4-Triazole-3-thione, 5-[5-bromo-2-(methylthio)-4-pyrimidinyl]-2,4-dihydro-4-(4-methylphenyl)- (9CI) (CA INDEX NAME)



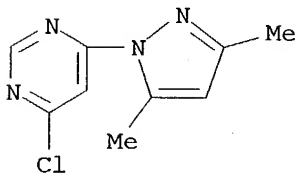
RN 60137-60-2 CAPLUS
CN 3H-1,2,4-Triazole-3-thione, 5-[5-bromo-2-(methylthio)-4-pyrimidinyl]-2,4-dihydro-4-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



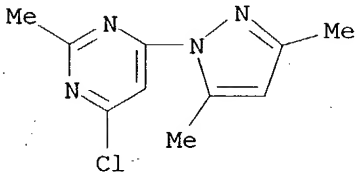
RN 60137-61-3 CAPLUS
CN 3H-1,2,4-Triazole-3-thione, 5-[5-bromo-2-(methylthio)-4-pyrimidinyl]-4-(4-ethoxyphenyl)-2,4-dihydro- (9CI) (CA INDEX NAME)


Br
N
HN
N
N
SMe
N
S
OEt

L6 ANSWER 54 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1974:403864 CAPLUS
DN 81:3864
TI Anticancer agents. IX. Derivatives of pyridine, pyridazine, and phthalazine
AU Twomey, Dermot
CS Lab. Med. Res. Counc. Ireland, Trinity Coll., Dublin, Ire.
SO Proceedings of the Royal Irish Academy, Section B: Biological, Geological and Chemical Science (1974), 74(4), 37-52
CODEN: PRIBAN; ISSN: 0035-8983
DT Journal
LA English
AB Six 0- or p-(4-methylthiosemicarbazido)pyridines (I, R = NH2, NO2, NHC(S)NHMe) were prepd. by treating the corresponding hydrazinopyridine with SCNMe. Pyridazine derivs. (II, R = Cl, Me; R1 = NHNHC(S)NHMe, 3,5-dimethylpyrazol-1-yl) were obtained by reaction of II (R = Cl, Me; R1 = NHNH2) with SCNMe or MeCOCH2COMe. Addnl., 6 III (R = Cl, R1 = N3, NHNHC(S)NHMe, NHNHCO2Et, 3,5-dimethylpyrazol-1-yl, NHNHCHO, NHN:CHOEt) were prepd. by treating III (R = Cl, R1 = NHNH2) with HNO2, SCNMe, ClCO2Et, MeCOCH2COMe, HCO2H, and HC(OEt)3, resp. Analogously, phthalazine derivs. (IV, R, R1 = Cl, NHNHC(S)NHMe, 3,5-dimethylpyrazol-1-yl, OH; V, R = Cl, 3,5-dimethylpyrazol-1-yl) were prepd.
IT **52476-65-0P 52551-21-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 52476-65-0 CAPLUS
CN Pyrimidine, 4-chloro-6-(3,5-dimethyl-1H-pyrazol-1-yl)- (9CI) (CA INDEX NAME)



RN 52551-21-0 CAPLUS
CN Pyrimidine, 4-chloro-6-(3,5-dimethyl-1H-pyrazol-1-yl)-2-methyl- (9CI) (CA INDEX NAME)



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L2             14 S L1 SSS SAM
L3                STRUCTURE UPLOADED
L4              0 S L3 SSS SAM
L5            155 S L3 SSS FUL

     FILE 'CAPLUS' ENTERED AT 17:14:58 ON 26 FEB 2004
L6             54 S L5

     FILE 'CAOLD' ENTERED AT 17:15:59 ON 26 FEB 2004

=> s l5
L7              0 L5

=> log y
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                      ENTRY     SESSION
FULL ESTIMATED COST                                    0.42      416.72

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                      ENTRY     SESSION
CA SUBSCRIBER PRICE                                    0.00      -37.42

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